

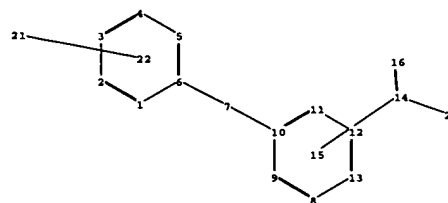
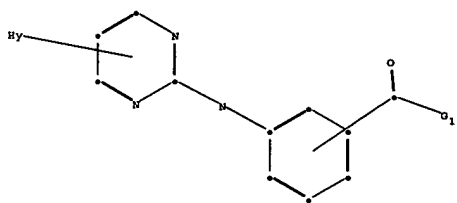
## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2014	((544/331) or (514/275)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/03/20 18:44

NPL

		Results
4.	TITLE-ABSTR-KEY(protein tyrosine kinase inhibitor) and TITLE-ABSTR-KEY(leukemia) [All Sources(- All Sciences -)]	81
3.	TITLE-ABSTR-KEY(bcr-abl) and TITLE-ABSTR-KEY(cancer) [All Sources(- All Sciences -)]	417
2.	TITLE-ABSTR-KEY(c-abl) and TITLE-ABSTR-KEY(cancer) [All Sources(- All Sciences -)]	135
1.	TITLE-ABSTR-KEY(c-abl) and TITLE-ABSTR-KEY(leukemia) [All Sources(- All Sciences -)]	635

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chain nodes :

7 14 16 20 21

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13

ring/chain nodes :

17

chain bonds :

6-7 7-10 14-16 14-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13

exact/norm bonds :

6-7 7-10 14-16 14-20

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13

isolated ring systems :

containing 1 : 8 :

G1:OH, [\*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom  
12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 20:CLASS 21:Atom 22:CLASS

Generic attributes :

21:

Saturation : Unsaturated  
Number of Carbon Atoms : less than 7  
Number of Hetero Atoms : less than 2  
Type of Ring System : Monocyclic

Element Count :

Node 21: Limited

C,C5

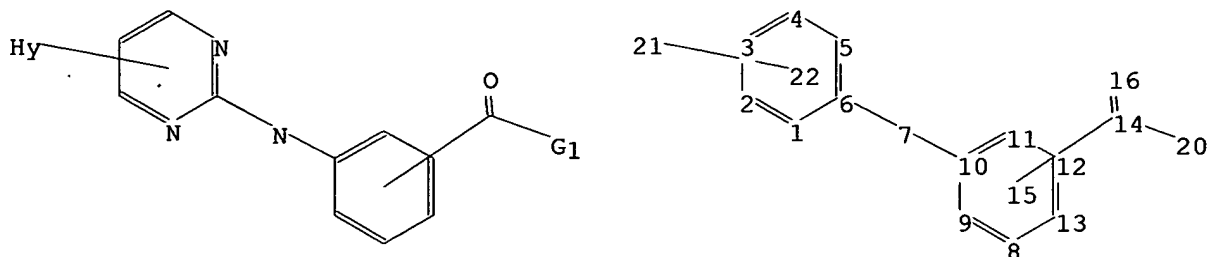
N,N1

O,O0

S,S0

=&gt;

Uploading C:\Program Files\Stnexp\Queries\10520359.str

N<sup>\*1</sup>17<sup>1</sup>

chain nodes :

7 14 16 20 21

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13

ring/chain nodes :

17

chain bonds :

6-7 7-10 14-16 14-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13

exact/norm bonds :

6-7 7-10 14-16 14-20

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13

isolated ring systems :

containing 1 : 8 :

G1:OH,[\*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 20:CLASS

21:Atom 22:CLASS

Generic attributes :

21:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : less than 2

Type of Ring System : Monocyclic

Element Count :

Node 21: Limited

C,C5

N,N1  
O,O0  
S,S0

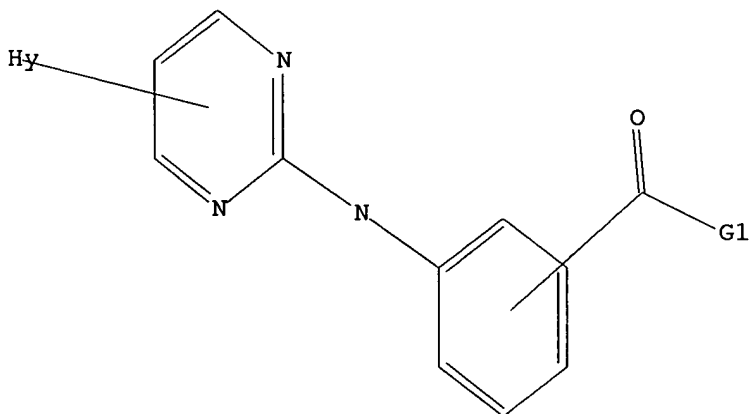
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

N 1



G1 OH,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 17:45:07 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1596 TO ITERATE

100.0% PROCESSED 1596 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 29524 TO 34316

PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

10/520,359

=> => s l1 sss ful

FULL SEARCH INITIATED 17:45:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 32904 TO ITERATE

100.0% PROCESSED 32904 ITERATIONS

158 ANSWERS

SEARCH TIME: 00.00.02

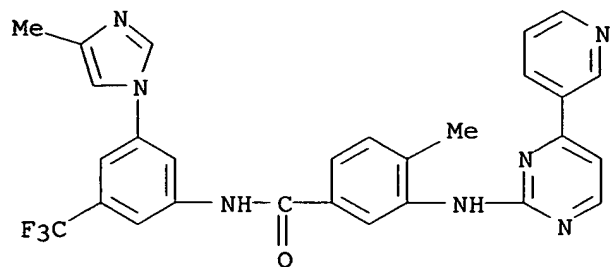
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=> => s l3

L4 36 L3

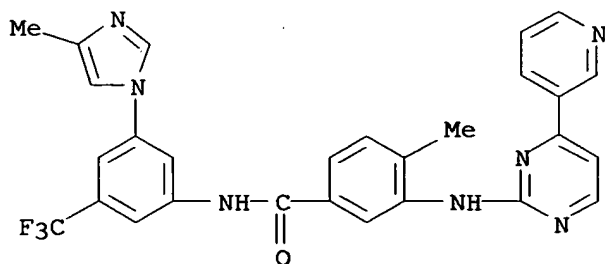
=> d l4 1-36 bib,ab,hitstr

L4 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:66390 CAPLUS  
 DN 144:121186  
 TI PKC412 inhibits in vitro growth of neoplastic human mast cells expressing the D816V-mutated variant of KIT: Comparison with AMN107, imatinib, and cladribine (2CdA) and evaluation of cooperative drug effects  
 AU Gleixner, Karoline V.; Mayerhofer, Matthias; Aichberger, Karl J.; Derdak, Sophia; Sonneck, Karoline; Boehm, Alexandra; Gruze, Alexander; Samorapoompichit, Puchit; Manley, Paul W.; Fabbro, Dorian; Pickl, Winfried F.; Sillaber, Christian; Valent, Peter  
 CS Department of Internal Medicine I, Division of Hematology and Hemostaseology, Center of Excellence in Clinical and Experimental Oncology (CLEXO), Institute of Immunology, Medical University of Vienna, Austria  
 SO Blood (2006), 107(2), 752-759  
 CODEN: BLOOAW; ISSN: 0006-4971  
 PB American Society of Hematology  
 DT Journal  
 LA English  
 AB In most patients with systemic mastocytosis (SM), including aggressive SM and mast cell leukemia (MCL), neoplastic cells express the oncogenic KIT mutation D816V. KIT D816V is associated with constitutive tyrosine kinase (TK) activity and thus represents an attractive drug target. However, imatinib and most other TK inhibitors fail to block the TK activity of KIT D816V. We show that the novel TK-targeting drugs PKC412 and AMN107 counteract TK activity of D816V KIT and inhibit the growth of Ba/F3 cells with doxycycline-inducible expression of KIT D816V as well as the growth of primary neoplastic mast cells and HMC-1 cells harboring this KIT mutation. PKC412 was a superior agent with median inhibitory concentration (IC50) values of 50 to 250 nM without differences seen between HMC-1 cells exhibiting or lacking KIT D816V. By contrast, AMN107 exhibited more potent effects in KIT D816V- HMC-1 cells. Corresponding results were obtained with Ba/F3 cells exhibiting wild-type or D816V-mutated KIT. The growth-inhibitory effects of PKC412 and AMN107 on HMC-1 cells were associated with induction of apoptosis and down-regulation of CD2 and CD63. PKC412 was found to cooperate with AMN107, imatinib, and cladribine (2CdA) in producing growth inhibition in HMC-1, but synergistic drug interactions were observed only in cells lacking KIT D816V. Together, PKC412 and AMN107 represent promising novel agents for targeted therapy of SM.  
 IT **641571-10-0, AMN107**  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (PKC412 inhibits in vitro growth of neoplastic human mast cells expressing the D816V-mutated variant of KIT: comparison with AMN107, imatinib, and cladribine (2CdA) and evaluation of cooperative drug effects)  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 54      THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:58730 CAPLUS  
 TI Targeted CML therapy: controlling drug resistance, seeking cure  
 AU O'Hare, Thomas; Corbin, Amie S.; Druker, Brian J.  
 CS Howard Hughes Medical Institute, Oregon Health & Science University Cancer  
 Institute, Portland, OR, 97239, USA  
 SO Current Opinion in Genetics & Development (2006), 16(1), 92-99  
 CODEN: COGDET; ISSN: 0959-437X  
 PB Elsevier Ltd.  
 DT Journal; General Review  
 LA English  
 AB A review with refs. Targeted cancer therapy with imatinib (Gleevec) has  
 the capability to drive chronic myeloid leukemia (CML) into clin.  
 remission. Some patients, particularly those with advanced disease,  
 develop resistance to imatinib. To counteract this problem, two new  
 BCR-ABL kinase inhibitors for imatinib-refractory disease are currently in  
 clin. trials: the imatinib derivative AMN107 and the dual-specificity SRC/ABL  
 inhibitor dasatinib. Using imatinib to reduce leukemic burden also  
 facilitates the detailed investigation into how the persistence of CML  
 disease depends on BCR-ABL signaling, particularly within the leukemic  
 stem cell compartment. Math. models of drug resistance and disease  
 relapse, in addition to exptl. systems that recapitulate crucial aspects of  
 advanced disease have deepened our understanding of CML biol. Together,  
 these advances are contributing to a high level of disease control, and  
 might ultimately lead to disease eradication.  
 IT 641571-10-0, AMN107  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (targeted CML therapy - controlling drug resistance)  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-  
 (trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1346218 CAPLUS  
 DN 144:88321  
 TI Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase  
 IN Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana; Raepfel, Stephane; Frechette, Sylvie; Bouchain, Giliane  
 PA Methylgene, Inc., Can.  
 SO U.S. Pat. Appl. Publ., 324 pp., Cont.-in-part of U.S. Ser. No. 358,556.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005288282	A1	20051229	US 2005-91025	20050325
	US 2004106599	A1	20040603	US 2002-242304	20020912
	US 2004142953	A1	20040722	US 2003-358556	20030204
	US 6897220	B2	20050524		
	JP 2005255683	A2	20050922	JP 2005-80310	20050318
PRAI	US 2001-322402P	P	20010914		
	US 2002-391728P	P	20020626		
	US 2002-242304	A2	20020912		
	US 2003-358556	A2	20030204		
	JP 2003-528544	A3	20020912		

OS MARPAT 144:88321

AB The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. Such compds. include carboxamides I [Cy2 = (un)substituted cycloalkyl, aryl, heteroaryl, heterocyclyl (each of which is optionally fused to one or two aryl or heteroaryl rings, or to one or two (un)saturated cycloalkyl or heterocyclic rings); X1 = a bond, M1L2M1, L2M2L2 (wherein L2 = a bond, alkylene, alkenylene, alkynylene; M1 = O, S, SO, NHCO, etc.; M2 = M1, heteroarylene, heterocyclylene); Ar2 = (un)substituted (hetero)arylene; R5, R6 = H, alkyl, aryl, aralkyl; q = 0-1; Ay2 = (un)substituted 5-6 membered cycloalkyl, heterocyclyl or heteroaryl substituted with an amino or hydroxy moiety; with provisos] which were prepared and claimed. E.g., a multi-step synthesis of II, starting from Me 4-(aminomethyl)benzoate.HCl, was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. Although the methods of preparation are not claimed, hundreds of example preps. are included.

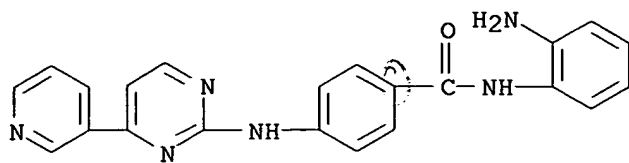
IT **866002-95-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 866002-95-1 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-(9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1323194 CAPLUS

DN 144:100239

TI Advances in the structural biology, design and clinical development of Bcr-Abl kinase inhibitors for the treatment of chronic myeloid leukaemia

AU Manley, Paul William; Cowan-Jacob, Sandra W.; Mestan, Jürge

CS Novartis Institutes for Biomedical Research, Basel, CH-4002, Switz.

SO Biochimica et Biophysica Acta, Proteins and Proteomics (2005), 1754(1-2), 3-13

CODEN: BBAPBW; ISSN: 1570-9639

PB Elsevier B.V.

DT Journal; General Review

LA English

AB A review. The constitutively activated Abl tyrosine kinase domain of the chimeric Bcr-Abl oncoprotein is responsible for the transformation of hematopoietic stem cells and the symptoms of chronic myeloid leukemia (CML). Imatinib targets the tyrosine kinase activity of Bcr-Abl and is a first-line therapy for this malignancy. Although highly effective in chronic phase CML, patients who have progressed to the advanced phase of the disease frequently fail to respond to imatinib or develop resistance to therapy and relapse. This is often due to the emergence of clones expressing mutant forms of Bcr-Abl, which exhibit a decreased sensitivity towards inhibition by imatinib. Considerable progress has recently been made in understanding the structural biol. of Abl and the mol. basis for resistance, facilitating the discovery and development of second generation drugs designed to combat mutant forms of Bcr-Abl. The first of these compds. to enter clin. development were BMS-354825 (BristolMyersSquibb) and AMN107 (Novartis Pharma) and, from Phase I results, both of these promise a breakthrough in the treatment of imatinib-resistant CML. Recent advances with these and other promising classes of new CML drugs are reviewed.

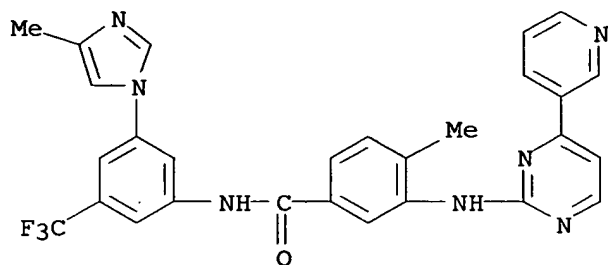
IT 641571-10-0, AMN107

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(advances in structural biol., design and clin. development of Bcr-Abl kinase inhibitors for treatment of chronic myeloid leukemia)

RN 641571-10-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



RE.CNT 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1290025 CAPLUS  
 DN 144:36329  
 TI Thiazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy  
 IN Epple, Robert; Cow, Christopher; Xie, Yongping; Wang, Xing; Russo, Ross; Azimioara, Mihai; Saez, Enrique  
 PA IRM LLC, Bermuda  
 SO PCT Int. Appl., 187 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

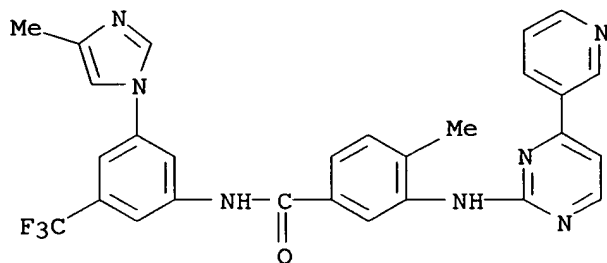
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2004-574137P	P	20040524		
	US 2005-648985P	P	20050131		

OS MARPAT 144:36329

AB The invention relates to thiazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPAR $\delta$ . In compds. I, p is 0-3; L is selected from -XOX-, -XS(O)mX-, and -XS(O)mXO-, where m is 0-2 and X is a bond or (un)substituted C1-4 alkylene; R1 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; R2 is -XOXCO2R5 or -XCO2R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R3 and R4 are independently selected from R6 and R6Y, where R6 is (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl, and Y is selected from C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, -C(O)N(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Cyclocondensation of 2-bromo-4'-methoxyacetophenone with thioacetamide followed by bromination, demethylation, and alkylation with iso-Pr iodide gave bromothiazole II, which was brominated and substituted with phenol III (preparation in 3 steps from 4-hydroxy-3-methylacetophenone given) to give thiazole IV. Compound IV underwent Suzuki coupling with 4-(trifluoromethoxy)phenylboronic acid and

ester hydrolysis to give thiazole V. Most preferred compds. of the invention express an EC50 value for PPAR $\delta$  of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPAR $\delta$  over PPAR $\gamma$ .

IT **641571-10-0**, 4-Methyl-N-[3-(4-methylimidazol-1-yl)-5-trifluoromethylphenyl]-3-(4-pyridin-3-ylpyrimidin-2-ylamino)benzamide  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of thiazole compds. as PPAR modulators and their use for treatment and prevention of diseases associated with PPAR $\delta$  activity)  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1289979 CAPLUS  
 DN 144:36326  
 TI Oxazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy  
 IN Epple, Robert; Xie, Yongping; Wang, Xing; Cow, Christopher; Russo, Ross  
 PA IRM LLC, Bermuda  
 SO PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005116016	A1	20051208	WO 2005-US18166	20050524
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	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2004-574137P	P	20040524		
	US 2005-649671P	P	20050202		

OS MARPAT 144:36326

AB The invention relates to oxazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPAR $\delta$ . In compds. I, p is 0-3; L is selected from -XOX-, -XS(O)mX-, and -XS(O)mXO-, where m is 0-2 and X is a bond or (un)substituted C1-4 alkylene; R1 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; R2 is -XOXCOR5 or -XCO2R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R3 and R4 are independently selected from R6 and R6Y, where R6 is (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl, and Y is selected from C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, -C(O)N(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Diazotization of 4-(trifluoromethoxy)acetophenone followed by heterocyclization with acetonitrile, and bromination gave bromooxazole II, which was brominated and substituted with phenol III (preparation in 3 steps from 4-hydroxy-3-methylacetophenone given) to give oxazole IV. Compound IV underwent Suzuki coupling with 2-isopropoxyppyridin-5-ylboronic acid (preparation from 2-chloro-5-bromopyridine given) and ester hydrolysis to give

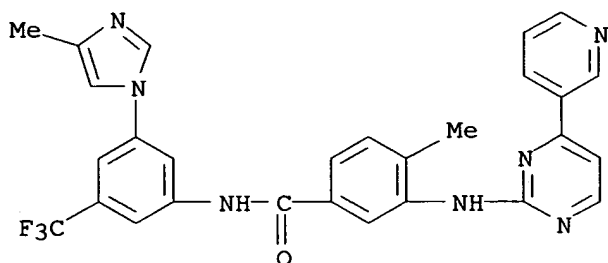
oxazole V. Most preferred compds. of the invention express an EC50 value for PPAR $\delta$  of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPAR $\delta$  over PPAR $\gamma$ .

IT **641571-10-0**, 4-Methyl-N-[3-(4-methylimidazol-1-yl)-5-trifluoromethylphenyl]-3-(4-pyridin-3-ylpyrimidin-2-ylamino)benzamide  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of oxazoles as PPAR modulators and their use for treatment and prevention of diseases associated with PPAR $\delta$  activity)

RN 641571-10-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1262399 CAPLUS  
 DN 144:22712  
 TI Triaryl compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy  
 IN Epple, Robert; Azimioara, Mihai  
 PA Irm LLC, Bermuda  
 SO PCT Int. Appl., 59 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005113506	A1	20051201	WO 2005-US16747	20050513
	W:	AE, AG, AL, AM, AN, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2004-571004P P 20040514

OS MARPAT 144:22712

AB The invention relates to aryl compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPAR $\delta$ . In compds. I, m is 0-3; X, Y, and Z are independently selected from CH and N; L is (un)substituted (CH<sub>2</sub>)<sub>n</sub>O(CH<sub>2</sub>)<sub>n</sub> or (CH<sub>2</sub>)<sub>n</sub>S(O)<sub>p</sub>(CH<sub>2</sub>)<sub>n</sub>, where each n is independently selected from 0-4 and p is 0-2; R<sub>1</sub> and R<sub>2</sub> are independently selected from (un)substituted C<sub>3</sub>-12 cycloalkyl-A-, (un)substituted C<sub>3</sub>-8 heterocyclyl-A-, (un)substituted C<sub>6</sub>-10 aryl-A-, and (un)substituted C<sub>5</sub>-13 heteroaryl-A-, where A is a bond, C<sub>1</sub>-6 alkylene, C<sub>2</sub>-6 alkenylene, or C<sub>2</sub>-6 alkynylene; R<sub>3</sub> is selected from halo, C<sub>1</sub>-6 alkyl, C<sub>1</sub>-6 alkoxy, C<sub>1</sub>-6 hydroxyalkyl, C<sub>1</sub>-6 haloalkyl, C<sub>1</sub>-6 haloalkoxy, (un)substituted C<sub>6</sub>-10 aryl, (un)substituted C<sub>5</sub>-10 heteroaryl, (un)substituted C<sub>3</sub>-12 cycloalkyl, and (un)substituted C<sub>3</sub>-8 heterocyclyl; and R<sub>4</sub> is selected from (CH<sub>2</sub>)<sub>n</sub>O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>5</sub> and (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>5</sub>, where n is as defined previously and R<sub>5</sub> is H or C<sub>1</sub>-6 alkyl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Substitution of Me bromoacetate with 4-hydroxy-3-methylacetophenone followed by Baeyer-Villiger oxidation and methanolysis gave phenoxyacetate II, which underwent substitution of 3,5-dibromobenzyl bromide to give dibromobenzyl ether III. Treatment of III with an excess of 4-trifluoromethylphenylboronic acid and ester hydrolysis resulted in the formation of terphenyl IV. Most preferred compds. of the invention express an EC<sub>50</sub> value for PPAR $\delta$  of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPAR $\delta$  over PPAR $\gamma$ .

IT 641571-10-0, 4-Methyl-N-[3-(4-methylimidazol-1-yl)-5-

trifluoromethylphenyl]-3-(4-pyridin-3-ylpyrimidin-2-ylamino)benzamide

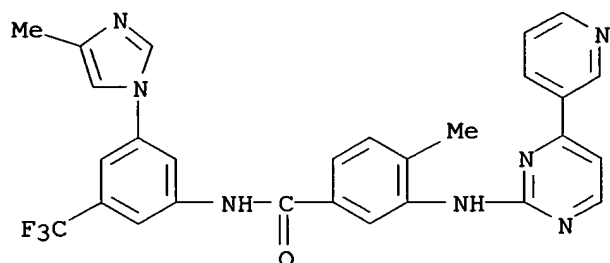
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(preparation of triaryl compds. as PPAR modulators and their use for treatment and prevention of diseases associated with PPAR $\delta$  activity)

RN 641571-10-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1259663 CAPLUS  
 DN 144:22911  
 TI Isoxazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy  
 IN Epple, Robert; Russo, Ross; Azimioara, Mihai; Xie, Yongping  
 PA IRM LLC, Bermuda  
 SO PCT Int. Appl., 79 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

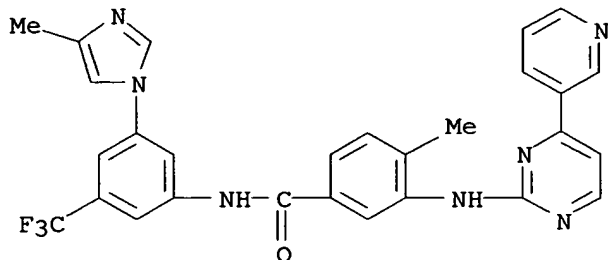
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005113519	A1	20051201	WO 2005-US16672	20050512
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2004-571003P P 20040514

OS MARPAT 144:22911

AB The invention relates to isoxazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPAR $\delta$ . In compds. I, R1 is selected from (un)substituted C1-6 alkyl, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; R2 is selected from (CH<sub>2</sub>)<sub>n</sub>O(CH<sub>2</sub>)<sub>n</sub>OR<sub>5</sub>, (CH<sub>2</sub>)<sub>n</sub>OR<sub>5</sub>, CO<sub>2</sub>R<sub>5</sub>, C(O)N(R<sub>4</sub>)<sub>2</sub>, C(O)N(R<sub>4</sub>)(CH<sub>2</sub>)<sub>n</sub>OR<sub>4</sub>, CO<sub>2</sub>(CH<sub>2</sub>)<sub>n</sub>OR<sub>5</sub>, C(O)(CH<sub>2</sub>)<sub>n</sub>OR<sub>5</sub>, C(O)N(R<sub>4</sub>)(CH<sub>2</sub>)<sub>n</sub>OR<sub>5</sub>, C(O)N(R<sub>4</sub>)(R<sub>5</sub>), and C(O)N(R<sub>4</sub>)(CH<sub>2</sub>)<sub>n</sub>R<sub>5</sub>, where n is 0-4, R<sub>4</sub> is H or C1-6 alkyl, and R<sub>5</sub> is C1-6 alkyl, C3-12 cycloalkyl, C3-8 heterocyclyl, C6-10 aryl, or C5-10 heteroaryl, or R<sub>4</sub> and R<sub>5</sub>, together with the nitrogen atom to which they are attached, form C3-8 heterocyclyl or C5-10 heteroaryl; and R3 is selected from (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Esterification of 3-bromophenylacetic acid followed by coupling with cyanide, reduction of the nitrile to an aldehyde, condensation with hydroxylamine, and chlorination gave chlorooxime II. N-Boc-2-bromoethylamine was substituted with 2,4-dichlorophenol followed by deprotection, amidation with Et benzoylacetate to give benzoylacetamide III, which underwent cyclocondensation with chlorooxime II and ester hydrolysis, resulting in the formation of isoxazole IV. Most preferred compds. of the invention express an EC<sub>50</sub> value for PPAR $\delta$  of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPAR $\delta$  over PPAR $\gamma$ .

IT **641571-10-0**, 4-Methyl-N-[3-(4-methylimidazol-1-yl)-5-trifluoromethylphenyl]-3-(4-pyridin-3-ylpyrimidin-2-ylamino)benzamide  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compds. and compns. as PPAR modulators and their use for treatment and prevention of diseases associated with activity of PPAR families, particularly PPAR $\delta$ )  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1214441 CAPLUS

DN 143:432219

TI The small molecule tyrosine kinase inhibitor AMN107 inhibits  
TEL-PDGFR $\beta$  and FIP1L1-PDGFR $\alpha$  in vitro and in vivo

AU Stover, Elizabeth H.; Chen, Jing; Lee, Benjamin H.; Cools, Jan; McDowell,  
Elizabeth; Adelsperger, Jennifer; Cullen, Dana; Coburn, Allison; Moore,  
Sandra A.; Okabe, Rachel; Fabbro, Dorian; Manley, Paul W.; Griffin, James  
D.; Gilliland, D. Gary

CS Division of Hematology, Department of Medicine, Brigham and Women's  
Hospital, Boston, MA, USA

SO Blood (2005), 106(9), 3206-3213

CODEN: BLOOAW; ISSN: 0006-4971

PB American Society of Hematology

DT Journal

LA English

AB AMN107 is a small mol. tyrosine kinase inhibitor developed, in the first  
instance, as a potent inhibitor of breakpoint cluster region-abelson  
(BCR-ABL). The authors tested its effectiveness against fusion tyrosine  
kinases TEL-platelet-derived growth factor receptor $\beta$   
(TEL-PDGFR $\beta$ ) and FIP1-like-1 (FIP1L1)-PDGFR $\alpha$ , which cause  
chronic myelomonocytic leukemia and hypereosinophilic syndrome, resp. In  
vitro, AMN107 inhibited proliferation of Ba/F3 cells transformed by both  
TEL-PDGFR $\beta$  and FIP1L1-PDGFR $\alpha$  with IC<sub>50</sub> (inhibitory concentration 50%)  
values less than 25 nM and inhibited phosphorylation of the fusion kinases  
and their downstream signaling targets. The imatinib mesylate-resistant  
mutant TEL-PDGFR $\beta$  T681I was sensitive to AMN107, whereas the  
analogous mutation in FIP1L1-PDGFR $\alpha$ , T674I, was resistant. In an in  
vivo bone marrow transplantation assay, AMN107 effectively treated  
myeloproliferative disease induced by TEL-PDGFR $\beta$  and  
FIP1L1-PDGFR $\alpha$ , significantly increasing survival and disease latency  
and reducing disease severity as assessed by histopathol. and flow  
cytometry. In summary, AMN107 can inhibit myeloid proliferation driven by  
TEL-PDGFR $\beta$  and FIP1L1-PDGFR $\alpha$  and may be a useful drug for  
treatment of patients with myeloproliferative disease who harbor these  
kinase fusions.

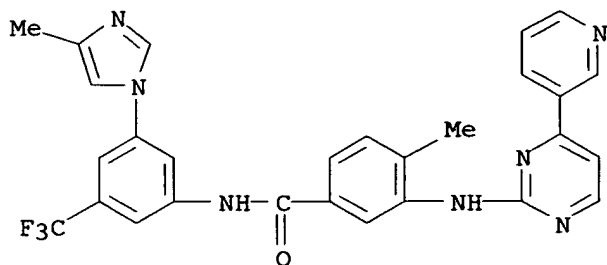
IT 641571-10-0, AMN107

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(small mol. tyrosine kinase inhibitor AMN107 inhibits TEL-PDGFR $\beta$   
and FIP1L1-PDGFR $\alpha$  in vitro and in vivo)

RN 641571-10-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-  
(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



L4 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1115936 CAPLUS

DN 144:4484

TI Low-Level Expression of Proapoptotic Bcl-2-Interacting Mediator in Leukemic Cells in Patients with Chronic Myeloid Leukemia: Role of BCR/ABL, Characterization of Underlying Signaling Pathways, and Reexpression by Novel Pharmacologic Compounds

AU Aichberger, Karl J.; Mayerhofer, Matthias; Krauth, Maria-Theresa; Vales, Anja; Kondo, Rudin; Derdak, Sophia; Pickl, Winfried F.; Selzer, Edgar; Deininger, Michael; Druker, Brian J.; Sillaber, Christian; Esterbauer, Harald; Valent, Peter

CS Department of Internal Medicine I, Division of Hematology and Hemostaseology - The Center of Excellence in Clinical and Experimental Oncology, Medical University of Vienna, Vienna, Austria

SO Cancer Research (2005), 165(20), 9436-9444  
CODEN: CNREA8; ISSN: 0008-5472

PB American Association for Cancer Research

DT Journal

LA English

AB Chronic myeloid leukemia (CML) is a myeloproliferative disease in which BCR/ABL enhances survival of leukemic cells through modulation of proapoptotic and antiapoptotic mols. Recent data suggest that proapoptotic Bcl-2-interacting mediator (Bim) plays a role as a tumor suppressor in myeloid cells, and that leukemic cells express only low amts. of this cell death activator. We here show that primary CML cells express significantly lower amts. of bim mRNA and Bim protein compared with normal cells. The BCR/ABL inhibitors imatinib and AMN107 were found to promote expression of Bim in CML cells. To provide direct evidence for the role of BCR/ABL in Bim modulation, we employed Ba/F3 cells with doxycycline-inducible expression of BCR/ABL and found that BCR/ABL decreases expression of bim mRNA and Bim protein in these cells. The BCR/ABL-induced decrease in expression of Bim was found to be a posttranscriptional event that depended on signaling through the mitogen-activated protein kinase pathway and was abrogated by the proteasome inhibitor MG132. Interestingly, MG132 up-regulated the expression of bim mRNA and Bim protein and suppressed the growth of Ba/F3 cells containing wild-type BCR/ABL or imatinib-resistant mutants of BCR/ABL. To show functional significance of "Bim reexpression", a Bim-specific small interfering RNA was applied and found to rescue BCR/ABL-transformed leukemic cells from imatinib-induced cell death. In summary, our data identify BCR/ABL as a Bim suppressor in CML cells and suggest that reexpression of Bim by novel tyrosine kinase inhibitors, proteasome inhibition, or by targeting signaling pathways downstream of BCR/ABL may be an attractive therapeutic approach in imatinib-resistant CML.

IT 641571-10-0, AMN107

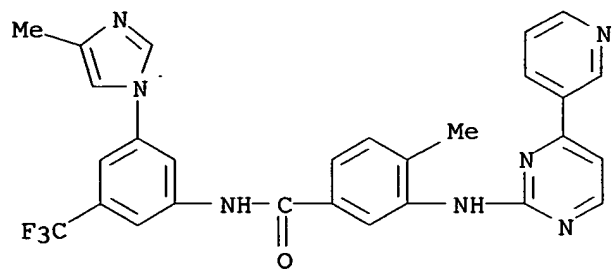
RL: BUU (Biological use, unclassified); PAC (Pharmacological activity);

THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(role of BCR/ABL, characterization of underlying signaling pathways, and pharmacol. compds. in chronic myeloid leukemia)

RN 641571-10-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



RE.CNT 48

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1078469 CAPLUS

DN 144:121098

TI AMN107, a novel aminopyrimidine inhibitor of p190 Bcr-Abl activation and of in vitro proliferation of philadelphia-positive acute lymphoblastic leukemia cells

AU Verstovsek, Srdan; Golemovic, Mirna; Kantarjian, Hagop; Manshour, Tashi; Estrov, Zeev; Manley, Paul; Sun, Tong; Arlinghaus, Ralph B.; Alland, Leila; Dugan, Margaret; Cortes, Jorge; Giles, Francis; Beran, Miloslav

CS Department of Leukemia, University of Texas M. D. Anderson Cancer Center, Houston, TX, USA

SO Cancer (New York, NY, United States) (2005), 104(6), 1230-1236

CODEN: CANCAR; ISSN: 0008-543X

PB John Wiley & Sons, Inc.

DT Journal

LA English

AB Background: Previous studies have shown that patients with Bcr-Abl-pos. acute lymphoblastic leukemia (ALL) either have primary disease that is refractory to imatinib mesylate or develop disease recurrence after an initial response. Methods: The authors investigated the effects of a newly designed Bcr-Abl inhibitor, AMN107, by comparing its in vitro inhibitory potency on p190 Bcr-Abl ALL cell lines with that of imatinib. Results: In two Philadelphia (Ph)-pos. ALL cell lines, AMN107 was found to be 30-40 times more potent than imatinib in inhibiting cellular proliferation. AMN107 was also more effective than imatinib in inhibiting phosphorylation of p190 Bcr-Abl tyrosine kinase in cell lines and primary ALL cells. The inhibition of cellular proliferation was associated with the induction of apoptosis in only one of the cell lines. No activity was observed in cell lines lacking the BCR-ABL genotype. Conclusions: The results of the current study suggest the superior potency of AMN107 compared with imatinib in Ph-pos. ALL and support clin. trials of AMN107 in patients with Ph-pos. ALL.

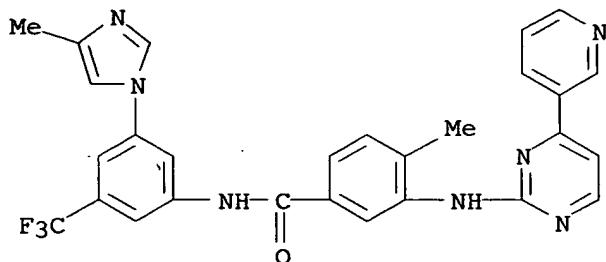
IT 641571-10-0, AMN107

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Bcr-Abl inhibitor AMN107 show superior potency in inhibiting cell proliferation compared to imatinib in Ph-pos. ALL cell line and in primary leukemic cell derived from patient with Ph-pos. ALL)

RN 641571-10-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1075803 CAPLUS  
 DN 143:367317  
 TI Preparation of N-(2-amino and 2-hydroxy)phenyl carboxamides as inhibitors of histone deacetylase  
 IN Delorme, Daniel; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana; Raepfel, Stephane; Frechette, Sylvie; Bouchain, Giliane; Zhou, Zhihong; Paquin, Isabelle; Gaudette, Frederic; Isakovic, Ljubomir  
 PA Methylgene Inc., Can.  
 SO PCT Int. Appl., 245 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005092899	A1	20051006	WO 2005-CA454	20050329
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2005245518	A1	20051103	US 2005-90713	20050325
PRAI	US 2004-556828P	P	20040326		
	US 2005-90713	A	20050325		
	WO 2005-IB802	A	20050325		

OS MARPAT 143:367317

AB The invention relates to N-(2-amino and 2-hydroxy)phenyl carboxamides (2-TC6H4NHC(O)(CH:CH)qAr-X-Cy (I); variables defined below; e.g. (E)-N-(2-Aminophenyl)-3-[4-[[2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylamide (shown as II)) useful for inhibiting histone deacetylase (HDAC) enzymic activity. The invention also provides a method for inhibiting histone deacetylase in a cell using said compds. as well as a method for treating cell proliferative diseases and conditions using said HDAC inhibitors. Further, the invention provides pharmaceutical compns. comprising the HDAC inhibiting compds. and a pharmaceutically acceptable carrier. For I: Cy is aryl, heteroaryl, cycloalkyl, or heterocyclyl, each of which is (un)substituted and each of which is optionally fused to  $\geq 1$  aryl or heteroaryl rings, or to  $\geq 1$  saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings is (un)substituted; X = a chemical bond, L, W-L, L-W, and L-W-L, wherein W, at each occurrence, is S, O, C=O, or N(R9), where R9 = H, alkyl, hydroxyalkyl, and tert-butoxycarbonyl; and L = C1-C4 alkylene; Ar is arylene or heteroarylene, each of which is (un)substituted; q = 0-1; and T is NH2 or OH, provided that when Cy is naphthyl, X is -CH2-, Ar is Ph, and q = 0-1, T is not OH. Although the methods of preparation are not claimed, 215 example preps. and/or characterization data are included. For example, II was prepared in 6 steps (59, 83, 97, 79, 96 and 80 % yields) starting from (E)-4-formylcinnamic acid and involving intermediates Me (E)-3-(4-formylphenyl)acrylate, Me (E)-3-[4-[[2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylate, Me (E)-3-[4-[[2-[(tert-butyl)dimethylsilyl]oxy]ethyl] [2-(1H-indol-3-

yl)ethyl]amino]methyl]phenyl]acrylate, (E)-3-[4-[[[2-[(tert-butyl)dimethylsilyl]oxy]ethyl][2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylic acid and (E)-N-(2-aminophenyl)-3-[4-[[[2-[(tert-butyl)dimethylsilyl]oxy]ethyl][2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylamide.

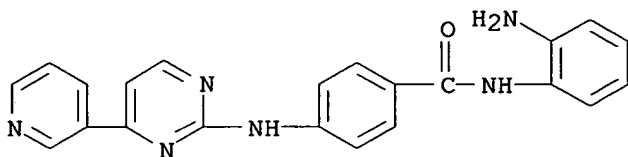
IT **866002-95-1P**, 4-[[4-(Pyridin-3-yl)pyrimidin-2-yl]amino]-N-(2-aminophenyl)benzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-(2-amino and 2-hydroxy)phenyl carboxamides as inhibitors of histone deacetylase)

RN 866002-95-1 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



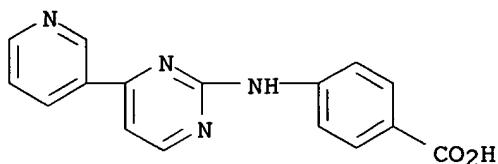
IT **112677-66-4P**, 4-[[4-(Pyridin-3-yl)pyrimidin-2-yl]amino]benzoic acid

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(2-amino and 2-hydroxy)phenyl carboxamides as inhibitors of histone deacetylase)

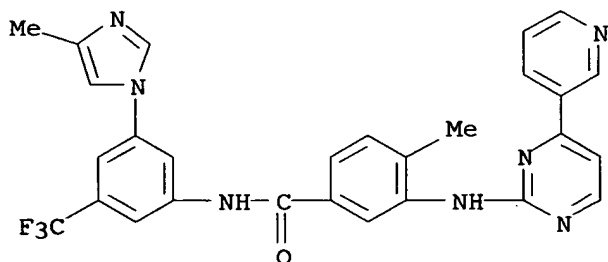
RN 112677-66-4 CAPLUS

CN Benzoic acid, 4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:887100 CAPLUS  
 DN 144:121047  
 TI The systemic mastocytosis-specific activating cKit mutation D816V can be inhibited by the tyrosine kinase inhibitor AMN107  
 AU von Bubnoff, N.; Gorantla, S. H. P.; Kancha, R. K.; Lordick, F.; Peschel, C.; Duyster, J.  
 CS Department of Internal Medicine III, Technical University of Munich, Munich, Germany  
 SO Leukemia (2005), 19(9), 1670-1671  
 CODEN: LEUKED; ISSN: 0887-6924  
 PB Nature Publishing Group  
 DT Journal  
 LA English  
 AB This study detcs. whether AMN107 might be useful in the treatment of systemic mastocytosis. The effect of AMN107 on Ba/F3 cells, which were transformed with murine cKit harboring cKitD814V corresponding to human cKitD816v/. AMN107 was shown to be a promising candidate for the treatment of systemic mastocytosis, a disease which is typically driven by the imatinib-resistant, activating cKit mutation D816V.  
 IT **641571-10-0, AMN107**  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (AMN107 but not imatinib inhibited cell proliferation of Ba/F3 cell transformed with murine cKitD814V implicating systemic mastocytosis-specific activating cKit mutation D816V can be inhibited by tyrosine kinase inhibitor AMN107)  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:696730 CAPLUS  
 DN 143:179627  
 TI Combination of renin inhibitor and PDGF receptor tyrosine kinase inhibitor  
 IN Feldman, David Louis  
 PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SO PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070406	A1	20050804	WO 2005-EP597	20050121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

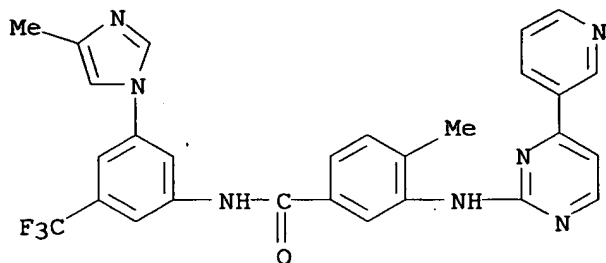
PRAI US 2004-538222P P 20040122

AB The invention relates to a combination pharmaceutical composition comprising a renin inhibitor or a salt thereof and at least one PDGF receptor tyrosine kinase inhibitor. Thus, coated tablets (10,000 tablets each containing 100 mg drug) contained aliskiren hemifumarate 100, corn starch 680, colloidal silicic acid 200, magnesium stearate 20, stearic acid 50, and sodium carboxymethyl starch 250 g, and water qs.

IT **641571-10-0**, 4-Methyl-N-[3-(4-methylimidazol-1-yl)-5-trifluoromethylphenyl]-3-(4-pyridin-3-yl-pyrimidin-2-ylamino)benzamide  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination of renin inhibitor and PDGF receptor tyrosine kinase inhibitor)

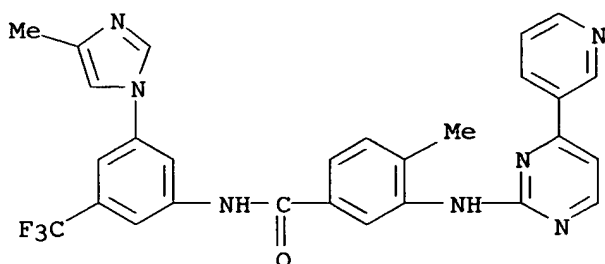
RN 641571-10-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

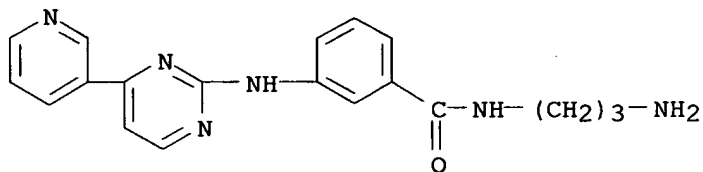
L4 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:693605 CAPLUS  
 DN 143:259304  
 TI Is AMN-107 a step forward from imatinib in the treatment of chronic myeloid leukaemia?  
 AU Doggrell, Sheila A.  
 CS Division of Health Practice, Auckland University of Technology -Akoranga Campus, Northcote, Auckland, N. Z.  
 SO Expert Opinion on Investigational Drugs (2005); 14(8), 1063-1066  
 CODEN: EOIDER; ISSN: 1354-3784  
 PB Ashley Publications Ltd.  
 DT Journal; General Review  
 LA English  
 AB A review. The Philadelphia (Ph) chromosome was the first consistent chromosome abnormality identified in cancer. It is found in 90% of patients with chronic myeloid leukemia (CML) and in a subset of patients with acute lymphoblastic leukemia. The effectiveness of the Bcr-Abl kinase inhibitor imatinib in these conditions reduces with advancing disease and/or the development of resistance to imatinib. AMN-107 inhibited the proliferation of hematopoietic cells expressing the mutants in Ph+ CML and acute lymphoblastic leukemia with concns. causing 50% inhibition of .apprx. 12 nM, making it more potent than imatinib. AMN-107 was also effective against several imatinib-resistant Bcr-Abl mutants, but not T3151. In mice transduced with Bcr-Abl, AMN-107 reduced mortality and tumor burden. In mice transduced with the E255V imatinib-resistant mutant of Bcr-Abl, AMN-107 delayed the onset of leukemia. As AMN-107 is more potent and more selective for Bcr-Abl than imatinib, it may represent a step forward in the treatment of CML, but further animal (and then clin.) studies are needed to test this.  
 IT **641571-10-0, AMN-107**  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (AMN-107 for treatment of chronic myeloid leukemia)  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:638614 CAPLUS  
 DN 143:149136  
 TI Protection of tissues and cells from cytotoxic effects of ionizing radiation by ABL inhibitors  
 IN Reddy, E. Premkumar; Reddy, M. V. Ramana; Cosenza, Stephen C.; Gumireddy, Kiranmai  
 PA Temple University of the Commonwealth System of Higher Education, USA  
 SO PCT Int. Appl., 151 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005065074	A2	20050721	WO 2004-US28654	20040902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2003-501783P	P	20030909		
OS MARPAT 143:149136				
AB Pre-treatment with ABL protein kinase inhibitors protects normal cells from the toxic side effects of ionizing radiation. Administration of one or more radioprotectant to a patient prior to anticancer radiotherapy reduces the cytotoxic side effects of the radiation on normal cells. The radioprotective effect allows for safely increasing the dosage of anticancer radiation. Amelioration of toxicity following inadvertent radiation exposure may also be mitigated.				
IT <b>156790-80-6</b> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (ABL protein kinase inhibitors as radioprotectants)				
RN 156790-80-6 CAPLUS				
CN Benzamide, N-(3-aminopropyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-(9CI) (CA INDEX NAME)				



L4 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:612264 CAPLUS  
 DN 143:133386  
 TI Preparation of pyrimidine compounds having amide moiety as BCR-ABL tyrosine kinase inhibitors  
 IN Asaki, Tetsuo; Sugiyama, Yukiteru; Ino, Takara  
 PA Nippon Shinyaku Co., Ltd., Japan  
 SO PCT Int. Appl., 71 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005063720	A1	20050714	WO 2004-JP19542	20041227
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI JP 2003-431212 A 20031225  
 JP 2004-217602 A 20040726

OS MARPAT 143:133386

AB Title compds. I [R1 = CH2R11, etc; R11 = (un)substituted heterocycle containing nitrogen; R2 = halo, haloalkyl; Z = CH, N] were prepared For example, PyBOP mediated acylation of 4-(4-methylpiperazin-1-ylmethyl)-3-trifluoromethylaniline, e.g., prepared from 4-methyl-3-trifluoromethylbenzoic acid in 5 steps, with 4-methyl-3-[4-(5-pyrimidinyl)pyrimidin-2-ylamino]benzoic acid followed by treatment with HCl afforded compound II hydrochloride. In cell proliferation inhibition assays, the IC50 value of compound II hydrochloride was 4.6  $\mu$ M. Compound I are claimed useful for the treatment of acute myelocytic leukemia, acute lymphocytic leukemia, etc. Formulations are given.

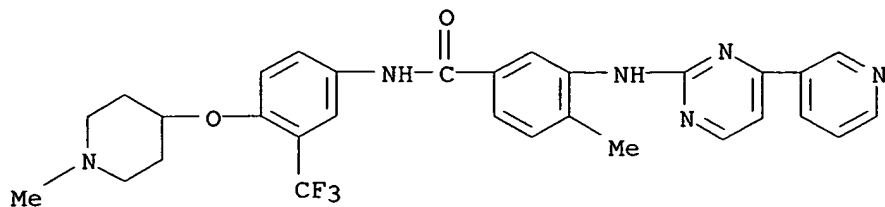
IT **859026-76-9P 859026-77-0P 859026-93-0P**  
**859026-94-1P 859026-95-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine compds. having amide moiety as BCR-ABL tyrosine kinase inhibitors)

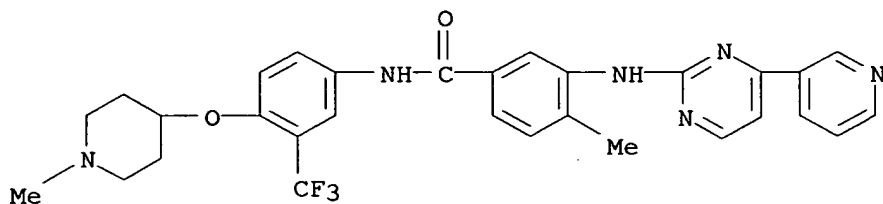
RN 859026-76-9 CAPLUS

CN Benzamide, 4-methyl-N-[4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RN 859026-77-0 CAPLUS

CN Benzamide, 4-methyl-N-[4-[(1-methyl-4-piperidinyloxy)-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

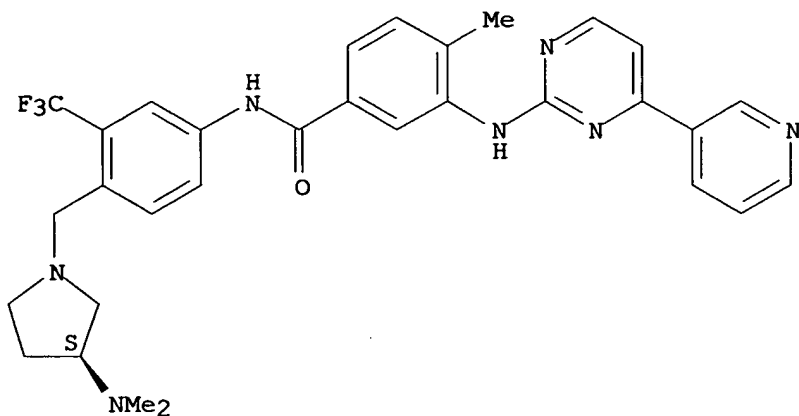


● HCl

RN 859026-93-0 CAPLUS

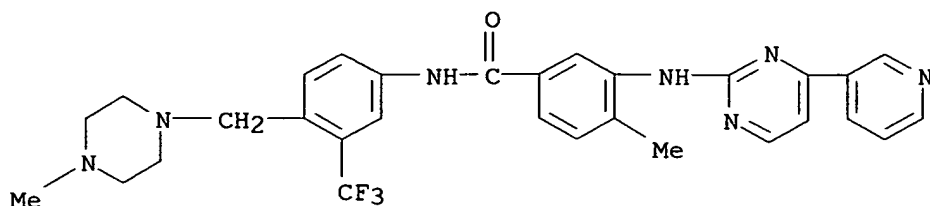
CN Benzamide, N-[4-[[[(3S)-3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-2-pyrimidinyl]benzamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



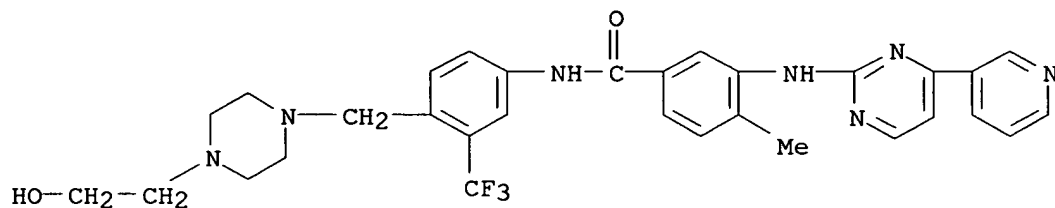
RN 859026-94-1 CAPLUS

CN Benzamide, 4-methyl-N-[4-[(4-methyl-1-piperazinyl)methyl]-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-2-pyrimidinyl]benzamide (9CI) (CA INDEX NAME)



RN 859026-95-2 CAPLUS

CN Benzamide, N-[4-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



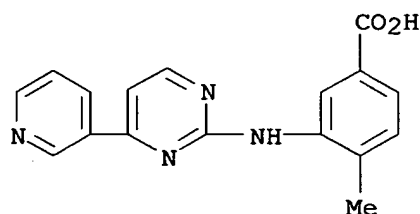
IT 641569-94-0P 859027-46-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine compds. having amide moiety as BCR-ABL tyrosine kinase inhibitors)

RN 641569-94-0 CAPLUS

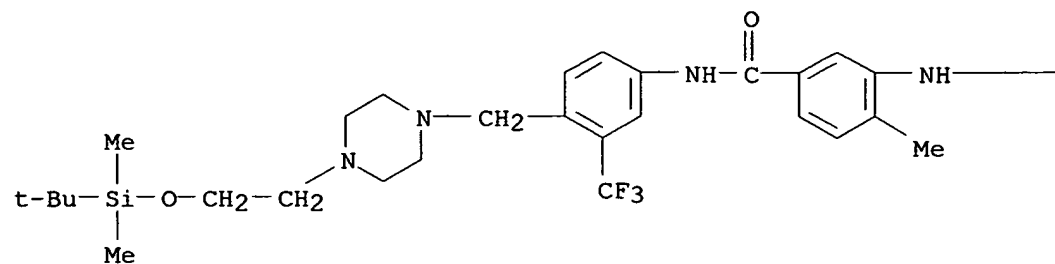
CN Benzoic acid, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



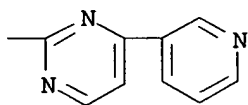
RN 859027-46-6 CAPLUS

CN Benzamide, N-[4-[[4-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-1-piperazinyl]methyl]-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

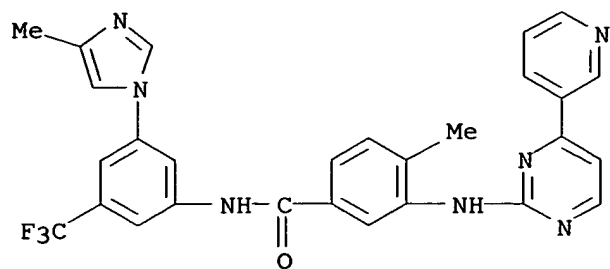


PAGE 1-B



RE.CNT 13      THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:585338 CAPLUS  
 DN 143:399004  
 TI AMN107, a Novel Aminopyrimidine Inhibitor of Bcr-Abl, Has In vitro Activity against Imatinib-Resistant Chronic Myeloid Leukemia  
 AU Golemovic, Mirna; Verstovsek, Srdan; Giles, Francis; Cortes, Jorge; Manshouri, Taghi; Manley, Paul W.; Mestan, Juergen; Dugan, Margaret; Alland, Leila; Griffin, James D.; Arlinghaus, Ralph B.; Sun, Tong; Kantarjian, Hagop; Beran, Miroslav  
 CS Departments of Leukemia and Molecular Pathology, University of Texas M.D. Anderson Cancer Center, Houston, TX, USA  
 SO Clinical Cancer Research (2005), 11(13), 4941-4947  
 CODEN: CCREF4; ISSN: 1078-0432  
 PB American Association for Cancer Research  
 DT Journal  
 LA English  
 AB Resistance to or intolerance of imatinib in patients with Philadelphia chromosome-pos. chronic myelogenous leukemia (CML) has encouraged the development of more potent Bcr-Abl inhibitors. AMN107 is a novel, orally bioavailable ATP-competitive inhibitor of Bcr-Abl. The effects of AMN107 were compared with those of imatinib on imatinib-sensitive (KBM5 and KBM7) and imatinib-resistant CML cell lines (KBM5-STI571R1.0 and KBM7-STI571R1.0). Compared with the antiproliferative activity of imatinib, AMN107 was 43 times more potent in KBM5 (IC50 of 11.3 vs. 480.5 nmol/L) and 60 times more potent in KBM7 (IC50 of 4.3 vs. 259.0 nmol/L) cells. IC50 for AMN107 and imatinib were 2,418.3 and 6,361.4 nmol/L, resp., in KBM5-STI571R1.0, and 97.2 and 2,497.3 nmol/L, resp., in KBM7-STI571R1.0 cells. AMN107 inhibited autophosphorylation of Bcr-Abl kinase more effectively than imatinib in all cell lines. They had similar effects on cell cycle progression and apoptotic response in these cell lines. Among severe combined immunodeficient mice bearing KBM5 cells, mean survival times of groups treated with 10, 20, and 30 mg/kg/d of AMN107, starting day 20 after leukemic cell grafting and continuing for 20 days, were 144%, 159%, and 182%, resp., compared with controls. These results strongly support investigation of the clin. efficacy of AMN107 in patients with CML.  
 IT **641571-10-0, AMN107**  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (AMN107 effectively inhibited cell proliferation, cell cycle, Bcr-Abl phosphorylation, induced apoptosis than imatinib in imatinib sensitive and resistant CML cell line and showed antitumor activity in CML mouse model)  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:471957 CAPLUS  
 DN 143:1256  
 TI Inhibitors of the mutant form of kit  
 IN Buchdunger, Elisabeth; Fabbro, Doriano  
 PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SO PCT Int. Appl., 17 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005049032	A1	20050602	WO 2004-EP13045	20041117
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2003-520714P P 20031118

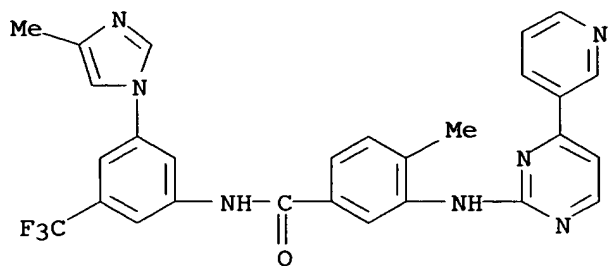
AB The present invention relates to the treatment of KIT dependent diseases that are characterized by a mutant form of KIT whereby the mutant KIT is identified and an appropriate inhibitor of the mutant KIT selected from midostaurin, vatalanib and compound A is administered.

IT **641571-10-0**

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (inhibitors of mutant form of kit)

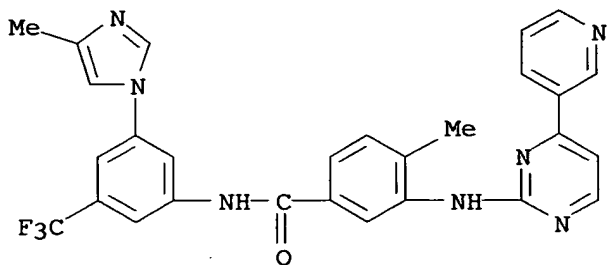
RN 641571-10-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:467251 CAPLUS  
 DN 143:71222  
 TI In vitro Activity of Bcr-Abl Inhibitors AMN107 and BMS-354825 against Clinically Relevant Imatinib-Resistant Abl Kinase Domain Mutants  
 AU O'Hare, Thomas; Walters, Denise K.; Stoffregen, Eric P.; Jia, Taiping; Manley, Paul W.; Mestan, Juergen; Cowan-Jacob, Sandra W.; Lee, Francis Y.; Heinrich, Michael C.; Deininger, Michael W. N.; Druker, Brian J.  
 CS Howard Hughes Medical Institute, Oregon Health and Science University Cancer Institute, Portland, OR, 97239, USA  
 SO Cancer Research (2005), 65(11), 4500-4505  
 CODEN: CNREA8; ISSN: 0008-5472  
 PB American Association for Cancer Research  
 DT Journal  
 LA English  
 AB Imatinib, a Bcr-Abl tyrosine kinase inhibitor, is a highly effective therapy for patients with chronic myelogenous leukemia (CML). Despite durable responses in most chronic phase patients, relapses have been observed and are much more prevalent in patients with advanced disease. The most common mechanism of acquired imatinib resistance has been traced to Bcr-Abl kinase domain mutations with decreased imatinib sensitivity. Thus, alternate Bcr-Abl kinase inhibitors that have activity against imatinib-resistant mutants would be useful for patients who relapse on imatinib therapy. Two such Bcr-Abl inhibitors are currently being evaluated in clin. trials: the improved potency, selective Abl inhibitor AMN107 and the highly potent dual Src/Abl inhibitor BMS-354825. In the current article, the authors compared imatinib, AMN107, and BMS-354825 in cellular and biochem. assays against a panel of 16 kinase domain mutants representing >90% of clin. isolates. The authors report that AMN107 and BMS-354825 are 20-fold and 325-fold more potent than imatinib against cells expressing wild-type Bcr-Abl and that similar improvements are maintained for all imatinib-resistant mutants tested, with the exception of T315I. Thus, both inhibitors hold promise for treating imatinib-refractory CML.  
 IT 641571-10-0, AMN107  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (in vitro activity of Bcr-Abl inhibitors AMN107 and BMS-354825 against clin. relevant imatinib-resistant Abl kinase domain mutants)  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:395105 CAPLUS  
 DN 142:441902  
 TI Use of pyridinyl-pyrimidinylamino-benzamide derivatives for the treatment of amyloid related disorders  
 IN Bilbe, Graeme  
 PA Novartis Ag, Switz.; Novartis Pharma GmbH  
 SO PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005039586	A1	20050506	WO 2004-EP12080	20041026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI GB 2003-25031 A 20031027

OS MARPAT 142:441902

AB The invention relates to the use of an enzyme inhibitor of formula (I) or a N-Oxide or a pharmaceutically acceptable salt thereof [wherein R1 = H, lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower alkyl, carboxy-lower alkyl, lower alkoxy-carbonyl-lower alkyl, phenyl-lower alkyl; R2 = H, each (un)substituted lower alkyl, cycloalkyl, benzocycloalkyl, heterocyclyl, aryl, or a mono- or bicyclic heteroaryl; or wherein R1 and R2 together represent (un)substituted C4-6 alkylene, C4-5 benzalkylene, oxaalkylene with one oxygen and three or four carbon atoms; or azaalkylene with one nitrogen and three or four carbon atoms wherein nitrogen is optionally substituted; R4 = H, lower alkyl, or halogen] having an activity on protein kinases VEGFR-2, Tie-2, c-Src, c-Met, FGFR-1, Flt-1, HER-2, c-Abl, c-Raf, PDGFR-beta, c-Kit, or on a combination of the above enzymes, for the treatment and/or prevention of neurol. and vascular neurol. disorders related to beta-amyloid generation and/or aggregation such as neurodegenerative diseases like Alzheimer's disease, Down's Syndrome, memory and cognitive impairment, dementia, amyloid neuropathies, brain inflammation, nerve and brain trauma, vascular amyloidosis, or cerebral hemorrhage with amyloidosis. Most preferred compound, 4-methyl-N-[3-(4-methylimidazol-1-yl)-5-trifluoromethylphenyl]-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]benzamide (II), exhibited the following inhibitor activities in cellfree enzyme assays on protein kinases: protein kinase 3.2, Tie-2 4.6, Src 4.6, c-Met 4.7, FGFR-1 6.7, Flt-1 7.7, HER-2 7.2  $\mu$ M, c-Abl 295 nM, c-Raf-1 1.1, PDGFR- $\beta$  5.8, and c-Kit 7.8  $\mu$ M. The compound I demonstrated a clear reduction of Abeta secretion in the medium of HEK/APPswe cell cultures at concns. below 10  $\mu$ M, without having any effect on cellular viability.

IT **641570-70-9**, N-[3-[3-(1-Imidazolyl)propoxy]phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-71-0**, N-[3-[2-(1-Imidazolyl)ethoxy]phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-72-1**, N-(4-Ethylamino-3-

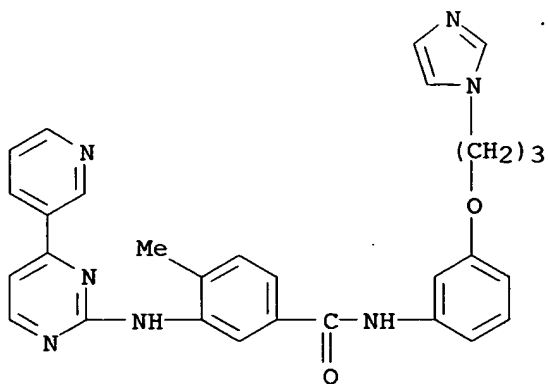
trifluoromethylphenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-74-3**, N-(4-Diethylamino-3-trifluoromethylphenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-75-4**, N-[4-(2-Hydroxypropylamino)-3-trifluoromethylphenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-76-5**, N-[4-[Di(2-methoxyethyl)amino]-3-trifluoromethylphenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-77-6**, 4-Methyl-N-[4-(4-methyl-1-piperazinyl)-3-trifluoromethylphenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-78-7**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(piperidino)-3-trifluoromethylphenyl]benzamide **641570-79-8**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(pyrrolidino)-3-trifluoromethylphenyl]benzamide **641570-80-1**, 4-Methyl-N-[4-(morpholino)-3-trifluoromethylphenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-81-2**, 4-Methyl-N-(4-phenyl-3-trifluoromethylphenyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-83-4**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[[4-(3-pyridinyl)-3-(trifluoromethyl)phenyl]methyl]benzamide **641570-85-6**, N-[4-(1-Imidazolyl)-3-trifluoromethylphenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-86-7**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(2,4-dimethyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide **641570-88-9**, 4-Methyl-N-[4-(4-methyl-1-imidazolyl)-3-trifluoromethylphenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-90-3**, 4-Methyl-N-[4-(2-methyl-1-imidazolyl)-3-trifluoromethylphenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-91-4**, 4-Methyl-N-[3-methylcarbamoyl-5-(morpholino)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-97-0**, 4-Methyl-N-(3-methylcarbamoyl-5-trifluoromethylphenyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-99-2**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-(3-pyridinyl)-5-trifluoromethylphenyl]benzamide **641571-01-9**, 4-Methyl-N-[3-(morpholino)-5-trifluoromethylphenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641571-05-3**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(2-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide **641571-10-0**, 4-Methyl-N-[3-(4-methylimidazol-1-yl)-5-trifluoromethylphenyl]-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]benzamide **641571-15-5**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(5-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide **641571-20-2**, 4-Methyl-N-[3-(4-methyl-1-piperazinyl)-5-trifluoromethylphenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641571-23-5**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[2-(pyrrolidino)-5-trifluoromethylphenyl]benzamide **851137-91-2**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **851137-92-3**, N-Phenyl-4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **851137-93-4**, 4-Methyl-N-(3-pyridinyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **851137-94-5**, N-(4-Chlorophenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **851137-95-6**, 2(R)-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoylamino]propanoic acid **851137-96-7**, 2(S)-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoylamino]propanoic acid **851137-97-8**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-(8-quinolinyl)benzamide **851137-98-9**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-(trifluoromethoxy)phenyl]benzamide **851137-99-0**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-

[2-(pyrrolidino)ethyl]benzamide **851138-00-6**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-(pyrrolidino)phenyl]benzamide **851138-01-7**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[1-(2-pyrimidinyl)-4-piperidinyl]benzamide **851138-02-8**, N-(3,4-Difluorophenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **851138-03-9**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-(3-trifluoromethylbenzyl)benzamide **851138-04-0**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-(3-trifluoromethylphenyl)benzamide **851138-05-1**, N-(3-Chloro-5-trifluoromethylphenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **851138-06-2**, N-(4-Dimethylaminobutyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **851138-07-3**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(2,2,2-trifluoroethoxy)-3-trifluoromethylphenyl]benzamide **851138-08-4**, Methyl 2(R)-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoylamino]-3-(4-hydroxyphenyl)propanoate **851138-09-5**, Methyl 2(S)-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoylamino]-3-(4-hydroxyphenyl)propanoate **851138-10-8**, N-[2-[(N-Cyclohexyl-N-methylamino)methyl]phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **851138-11-9**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(3-pyridinyl)-3-trifluoromethylphenyl]benzamide  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of pyridinyl-pyrimidinylamino-benzamide derivs. for treatment of amyloid related disorders)

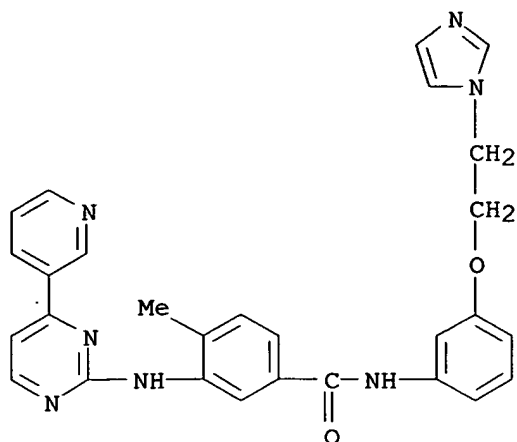
RN 641570-70-9 CAPLUS

CN Benzamide, N-[3-[3-(1H-imidazol-1-yl)propoxy]phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



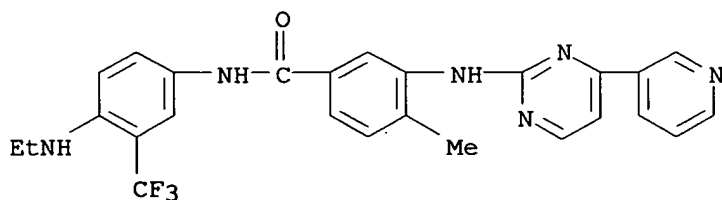
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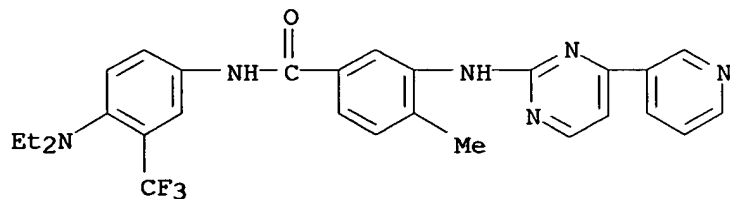
RN 641570-72-1 CAPLUS

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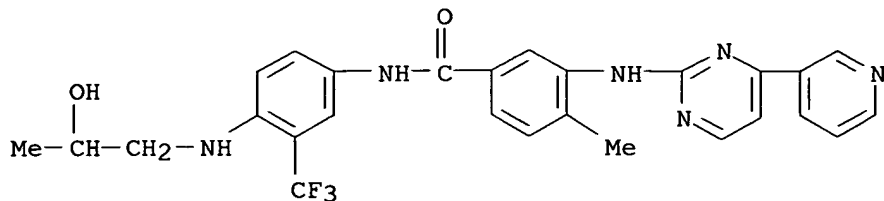
RN 641570-74-3 CAPLUS

CN Benzamide, N-[4-(diethylamino)-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



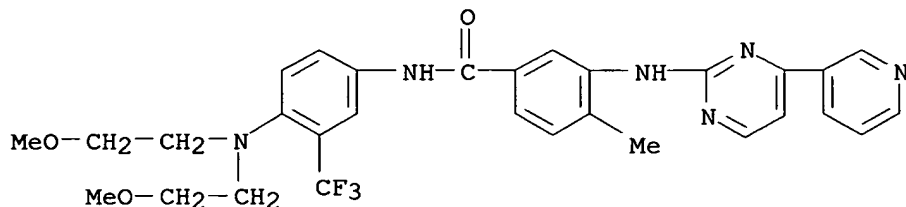
RN 641570-75-4 CAPLUS

CN Benzamide, N-[4-[(2-hydroxypropyl)amino]-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



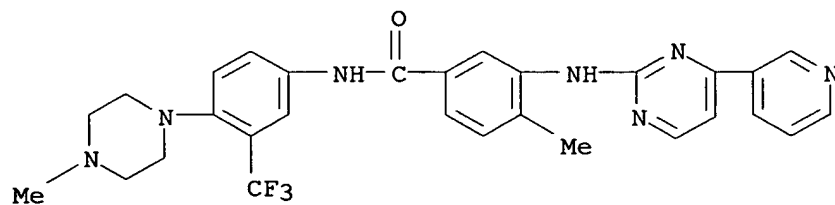
RN 641570-76-5 CAPLUS

CN Benzamide, N-[4-[bis(2-methoxyethyl)amino]-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



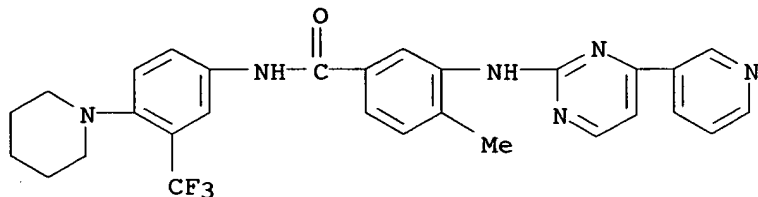
RN 641570-77-6 CAPLUS

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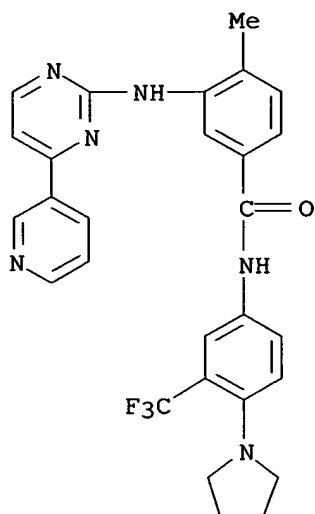
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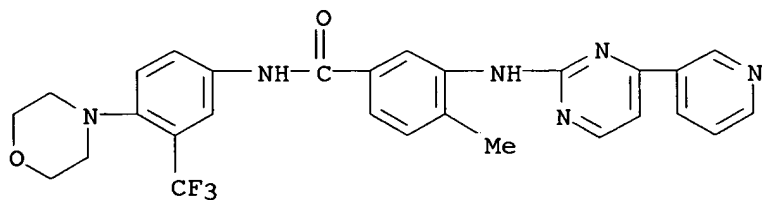
RN 641570-79-8 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(1-pyrrolidinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



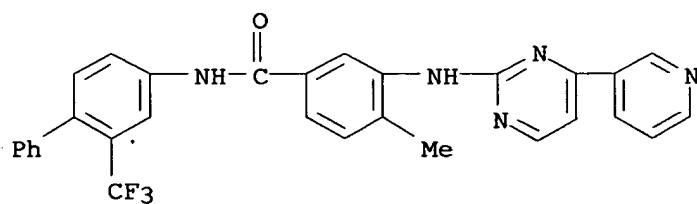
RN 641570-80-1 CAPLUS

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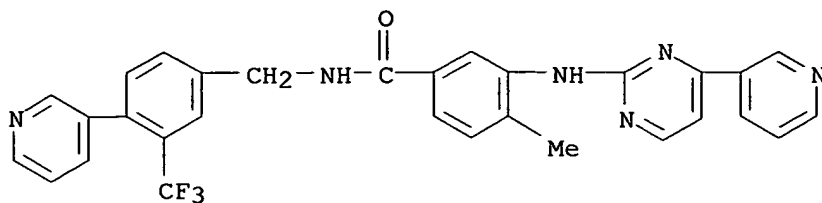
RN 641570-81-2 CAPLUS

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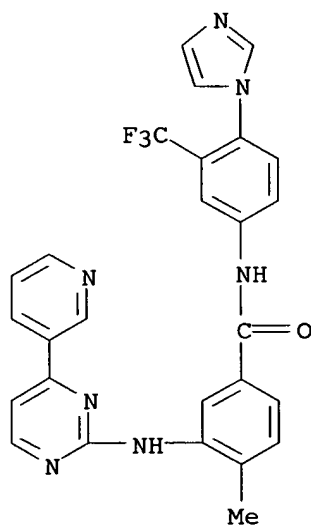
RN 641570-83-4 CAPLUS

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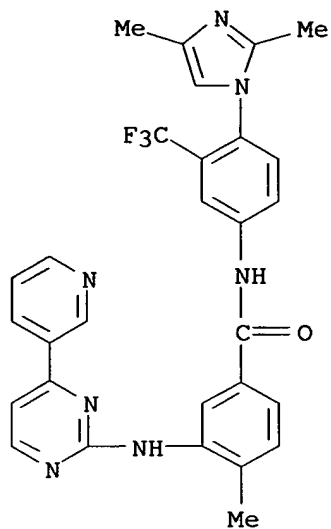
RN 641570-85-6 CAPLUS

CN Benzamide, N-[4-(1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



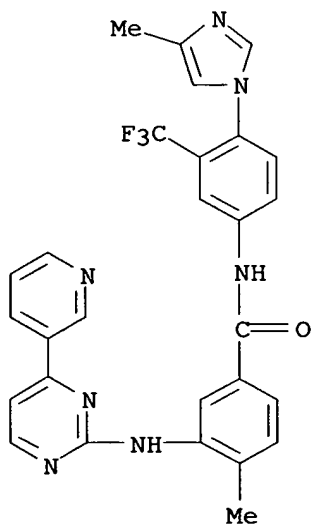
RN 641570-86-7 CAPLUS

CN Benzamide, N-[4-(2,4-dimethyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



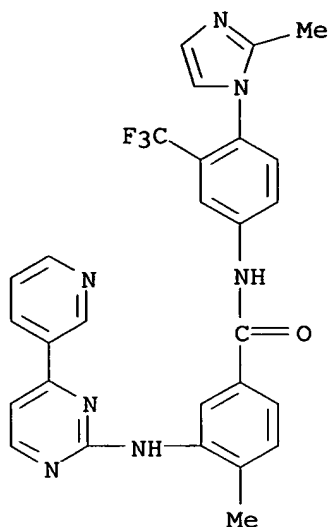
RN 641570-88-9 CAPLUS

CN Benzamide, 4-methyl-N-[4-(4-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



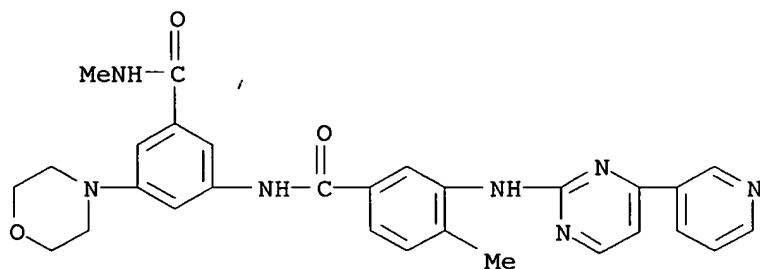
RN 641570-90-3 CAPLUS

CN Benzamide, 4-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



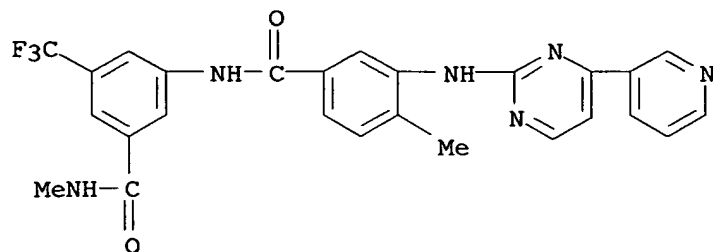
RN 641570-91-4 CAPLUS

CN Benzamide, 4-methyl-N-[3-[(methylamino)carbonyl]-5-(4-morpholinyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



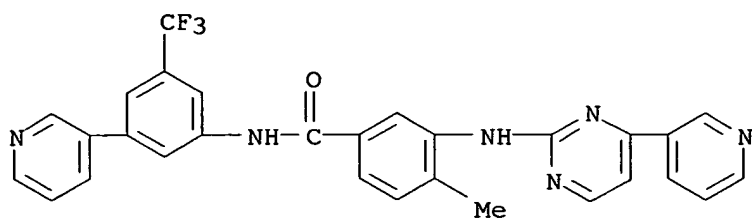
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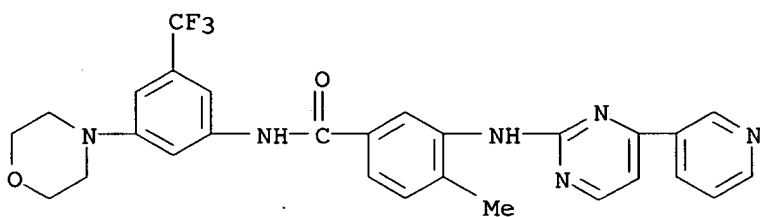
RN 641570-99-2 CAPLUS

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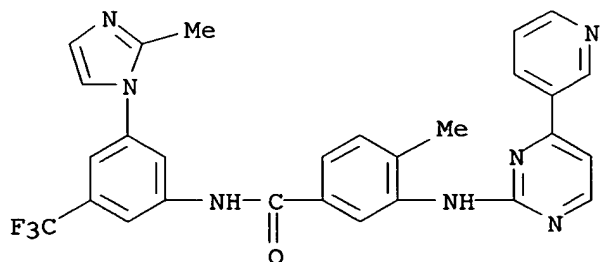
RN 641571-01-9 CAPLUS

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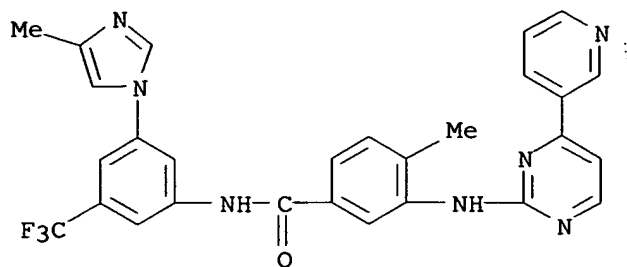
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CN Benzamide, 4-methyl-N-[3-(2-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



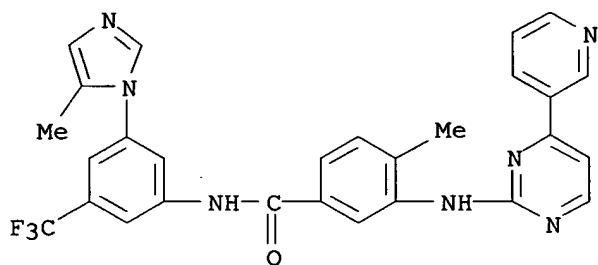
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CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



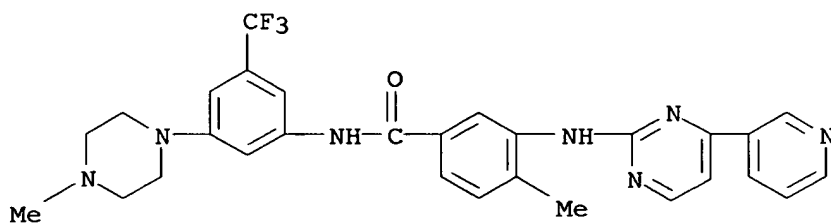
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CN Benzamide, 4-methyl-N-[3-(5-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



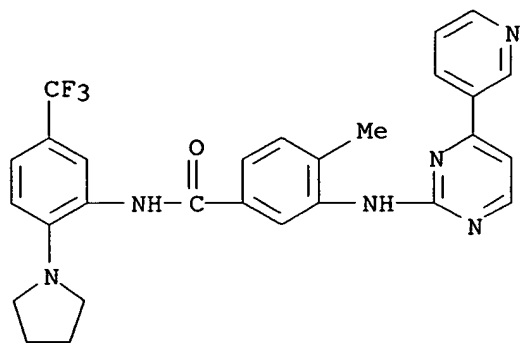
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CN Benzamide, 4-methyl-N-[3-(4-methyl-1-piperazinyl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



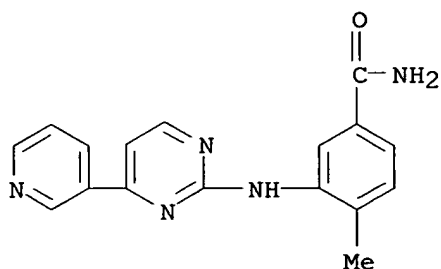
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CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[2-(1-methylpyrrolidinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



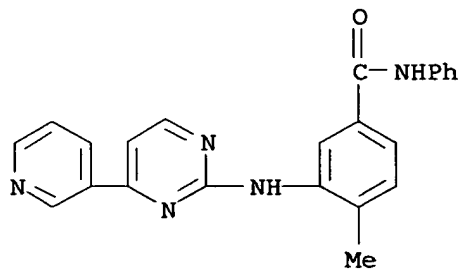
RN 851137-91-2 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



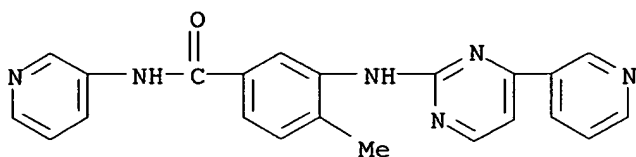
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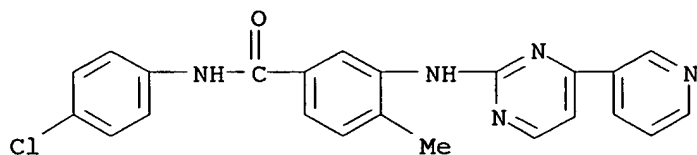
RN 851137-93-4 CAPLUS

CN Benzamide, 4-methyl-N-3-pyridinyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 851137-94-5 CAPLUS

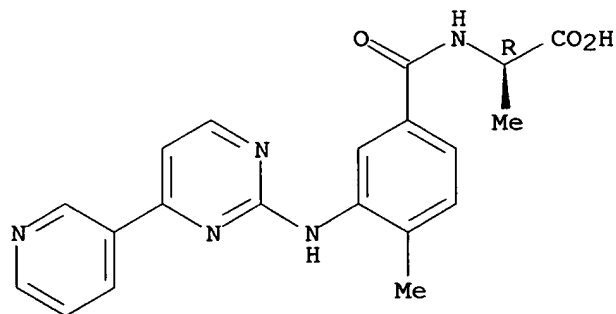
CN Benzamide, N-(4-chlorophenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 851137-95-6 CAPLUS

CN D-Alanine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

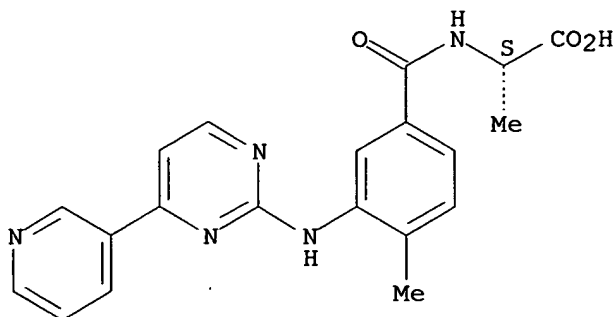
Absolute stereochemistry.



RN 851137-96-7 CAPLUS

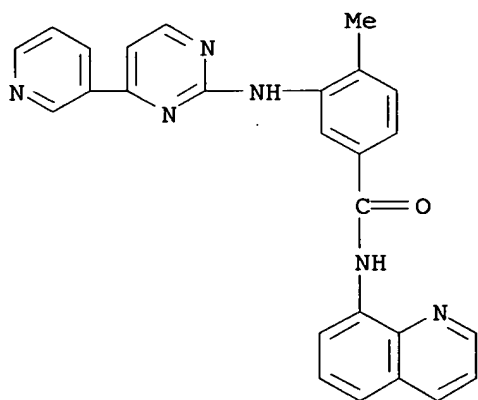
CN L-Alanine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



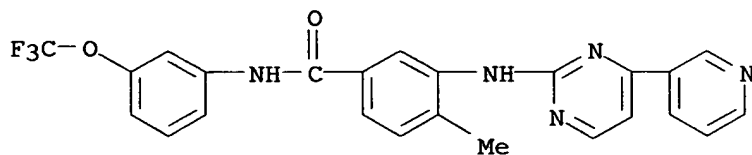
RN 851137-97-8 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-8-quinolinyl- (9CI) (CA INDEX NAME)



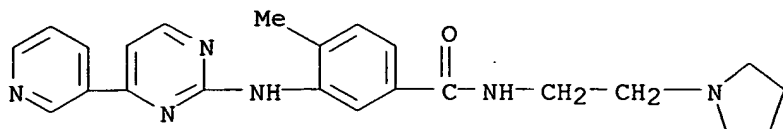
RN 851137-98-9 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



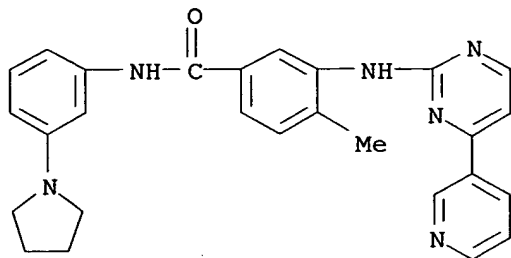
RN 851137-99-0 CAPLUS

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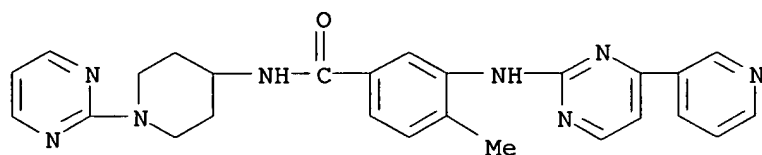
RN 851138-00-6 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



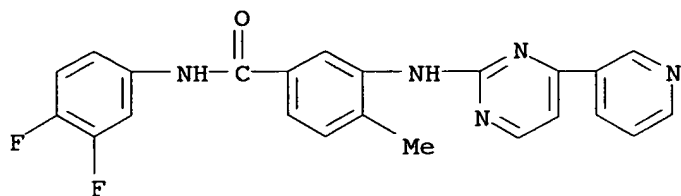
RN 851138-01-7 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



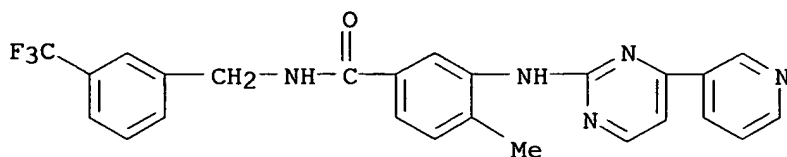
RN 851138-02-8 CAPLUS

CN Benzamide, N-(3,4-difluorophenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



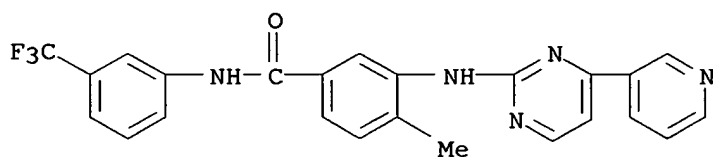
RN 851138-03-9 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



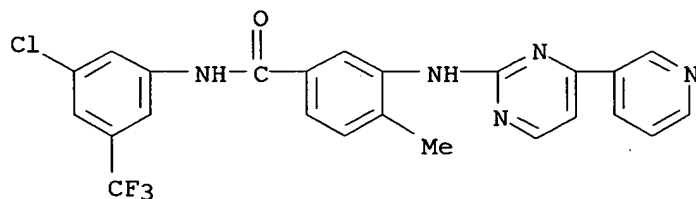
RN 851138-04-0 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



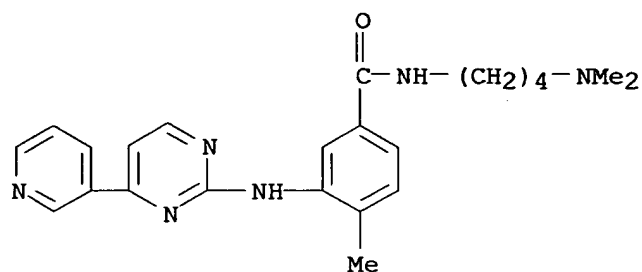
RN 851138-05-1 CAPLUS

CN Benzamide, N-[3-chloro-5-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



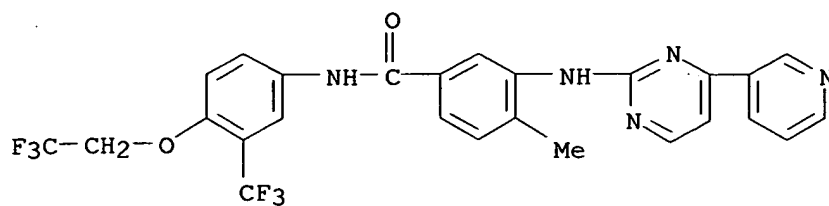
RN 851138-06-2 CAPLUS

CN Benzamide, N-[4-(dimethylamino)butyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 851138-07-3 CAPLUS

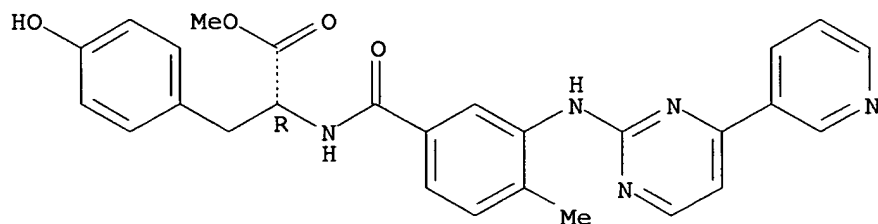
CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(2,2,2-trifluoroethoxy)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 851138-08-4 CAPLUS

CN D-Tyrosine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)

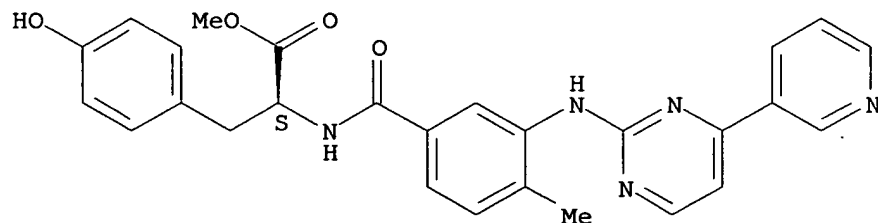
Absolute stereochemistry.



RN 851138-09-5 CAPLUS

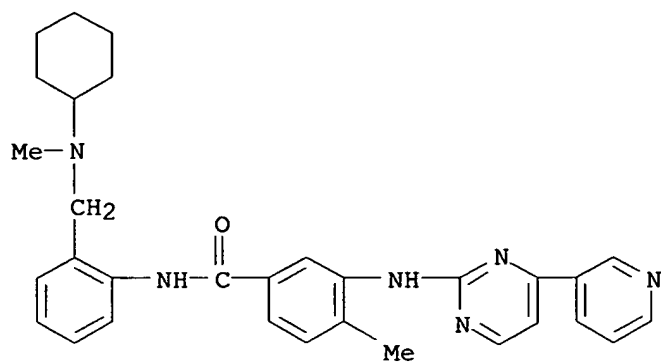
CN L-Tyrosine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



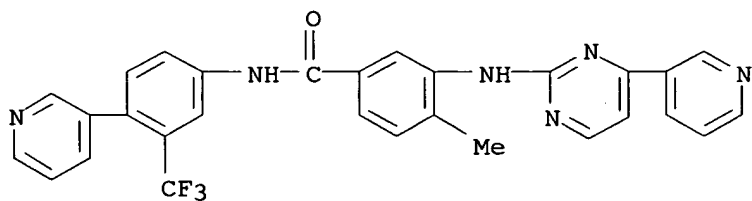
RN 851138-10-8 CAPLUS

CN Benzamide, N-[2-[(cyclohexylmethylamino)methyl]phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



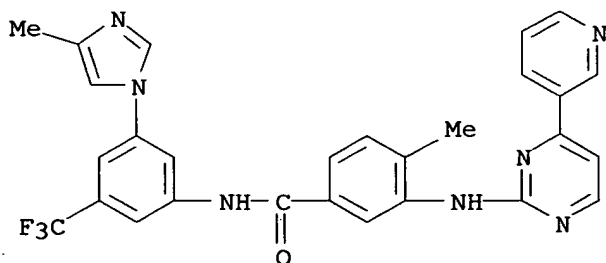
RN 851138-11-9 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(3-pyridinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

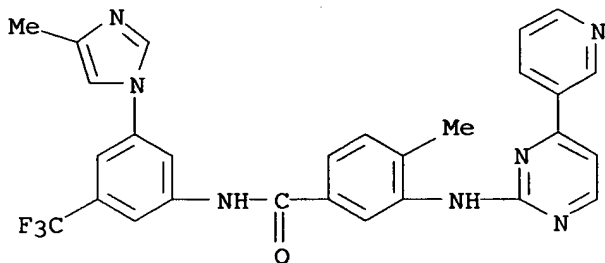


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:390795 CAPLUS  
 DN 143:398986  
 TI Characterization of AMN107, a selective inhibitor of native and mutant Bcr-Abl. [Erratum to document cited in CA142:403626]  
 AU Weisberg, Ellen; Manley, Paul W.; Breitenstein, Werner; Brueggen, Josef; Cowan-Jacob, Sandra W.; Ray, Arghya; Huntly, Brian; Fabbro, Dorian; Fendrich, Gabriele; Hall-Meyers, Elizabeth; Kung, Andrew L.; Mestan, Juergen; Daley, George Q.; Callahan, Linda; Catley, Laurie; Cavazza, Cara; Azam, Mohammad; Neuberg, Donna; Wright, Renee D.; Gilliland, D. Gary; Griffin, James D.  
 CS Dana-Farber Cancer Institute, Boston, MA, 02115, USA  
 SO Cancer Cells (2005), 7(4), 399  
 CODEN: CCAECI; ISSN: 1535-6108  
 PB Cell Press  
 DT Journal  
 LA English  
 AB The name of the seventeenth author, Mohammad Azam, was misspelled.  
 IT **641571-10-0**, AMN 107  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (characterization of AMN107 as selective inhibitor of native and mutant Bcr-Abl (Erratum))  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)

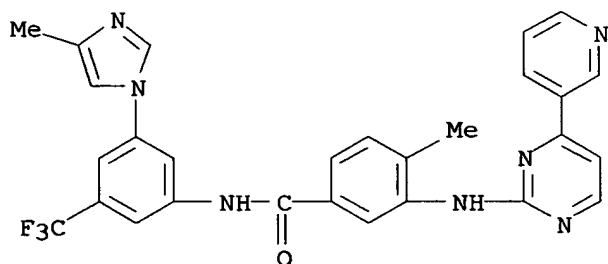


L4 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:218394 CAPLUS  
 DN 142:403626  
 TI Characterization of AMN107, a selective inhibitor of native and mutant Bcr-Abl  
 AU Weisberg, Ellen; Manley, Paul W.; Breitenstein, Werner; Brueggen, Josef; Cowan-Jacob, Sandra W.; Ray, Arghya; Huntly, Brian; Fabbro, Dorian; Fendrich, Gabriele; Hall-Meyers, Elizabeth; Kung, Andrew L.; Mestan, Juergen; Daley, George Q.; Callahan, Linda; Catley, Laurie; Cavazza, Cara; Mohammed, Azam; Neuberg, Donna; Wright, Renee D.; Gilliland, D. Gary; Griffin, James D.  
 CS Dana-Farber Cancer Institute, Boston, MA, 02115, USA  
 SO Cancer Cell (2005), 7(2), 129-141  
 CODEN: CCAECI; ISSN: 1535-6108  
 PB Cell Press  
 DT Journal  
 LA English  
 AB The Bcr-Abl tyrosine kinase oncogene causes chronic myelogenous leukemia (CML) and Philadelphia chromosome-pos. (Ph+) acute lymphoblastic leukemia (ALL). We describe a novel selective inhibitor of Bcr-Abl, AMN107 (IC50 < 30 nM), which is significantly more potent than imatinib, and active against a number of imatinib-resistant Bcr-Abl mutants. Crystallog. anal. of Abl-AMN107 complexes provides a structural explanation for the differential activity of AMN107 and imatinib against imatinib-resistant Bcr-Abl. Consistent with its in vitro and pharmacokinetic profile, AMN107 prolonged survival of mice injected with Bcr-Abl-transformed hematopoietic cell lines or primary marrow cells, and prolonged survival in imatinib-resistant CML mouse models. AMN107 is a promising new inhibitor for the therapy of CML and Ph+ ALL.  
 IT **641571-10-0, AMN 107**  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (characterization of AMN107 as selective inhibitor of native and mutant Bcr-Abl)  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:218392 CAPLUS  
 DN 142:366577  
 TI AMN107: tightening the grip of imatinib  
 AU O'Hare, Thomas; Walters, Denise K.; Deininger, Michael W. N.; Druker, Brian J.  
 CS Howard Hughes Medical Institute, Oregon Health and Science University Cancer Institute, Portland, OR, 97239, USA  
 SO Cancer Cells (2005), 7(2), 117-119  
 CODEN: CCAECI; ISSN: 1535-6108  
 PB Cell Press  
 DT Journal; General Review  
 LA English  
 AB A review with refs. The Abl inhibitor imatinib is a highly effective therapy for patients with chronic myeloid leukemia. Relapses are relatively uncommon in newly diagnosed patients, but are the rule in patients with more advanced disease. Mutations in the BCR-ABL gene are the most common cause of relapse. Working from the imatinib chemical structure, a higher-affinity family member, AMN107, was designed. AMN107 is approx. 20-fold more potent than imatinib, and this translates into improved inhibitory activity against most of the common BCR-ABL mutations. The implications of these results, and the potential role this and other novel ABL inhibitors may have in treating patients with CML, are discussed.  
 IT **641571-10-0**, AMN 107  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (imatinib and AMN107 in treatment of chronic myeloid leukemia)  
 RN 641571-10-0 CAPLUS  
 CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:817608 CAPLUS  
 DN 141:308988  
 TI N-phenyl-[(4-pyridyl)-azinyl]amine derivatives as plant protection agents  
 IN Ackermann, Peter; Stierli, Daniel; Diggelmann, Martin; Cederbaum, Fredrik  
 Emil Malcolm; Wenger, Jean-Frederic; Tutulaer, Gerardus Theodorus Maria  
 PA Syngenta Participations A.-G., Switz.  
 SO PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004084634	A1	20041007	WO 2004-IB1075	20040325
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2519288	AA	20041007	CA 2004-2519288	20040325
	EP 1613156	A1	20060111	EP 2004-723281	20040325
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
PRAI	GB 2003-7268	A	20030328		
	WO 2004-IB1075	W	20040325		

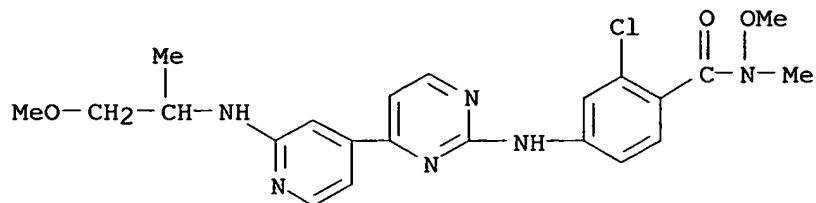
OS MARPAT 141:308988

AB A method of controlling and preventing an infection of crop plants by phytopathogenic microorganisms comprises the application of the title compds. (I, wherein A and A' are both N, both CH, or A is CH and A' is N; j = 0, 1; R1 = (un)substituted hydrazino, cyclohexylamino, piperazinyl, etc.; R2 = H, halo, C1-C4 alkyl, etc.; R3 = H, halo, C1-C4 alkyl, OH, CN, etc.; R4, R5 and R6 = independently H, halo, (un)substituted alkyl, alkenyl, or thioalkyl, etc.). Thus, 5-wk-old grape seedlings cv. Gutedel were treated with formulated I, where A = CH, A' = N, n = 0, R1 = NHCH2CH2CH2OH, R2, R3, R5 and R6 = H, and R4 = NO2, at 0.02% active substance in a spray chamber. One day after application grape plants were inoculated with a sporangial suspension of Plasmopara viticola. After incubation for 6 days at 22° and 95% r. h. in a greenhouse, the control of the fungal infection was >70%.

IT **768389-16-8 768389-24-8 768389-43-1**  
**768389-50-0 768389-51-1 768389-52-2**  
**768389-53-3 768389-54-4 768389-55-5**  
**768389-56-6 768389-57-7 768389-58-8**  
**768389-59-9 768389-76-0 768390-41-6**  
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)  
 (antimicrobial agent for crop plant protection)

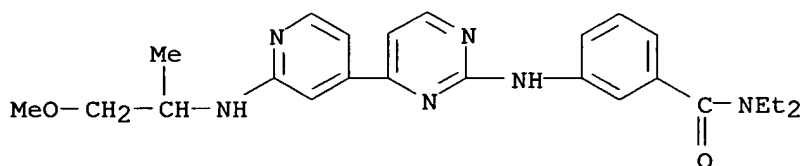
RN 768389-16-8 CAPLUS

CN Benzamide, 2-chloro-N-methoxy-4-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



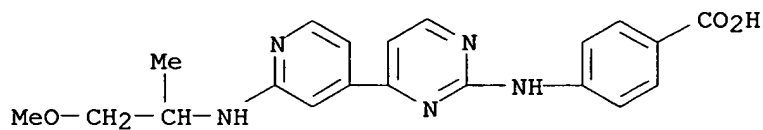
RN 768389-24-8 CAPLUS

CN Benamide, N,N-diethyl-3-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 768389-43-1 CAPLUS

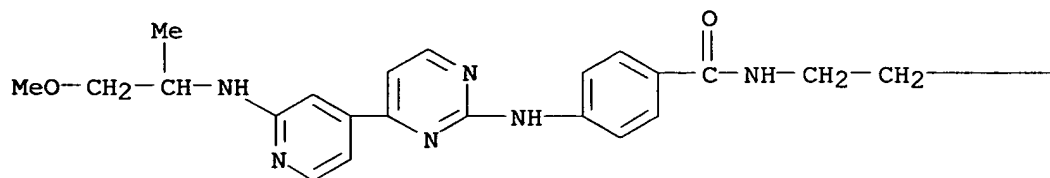
CN Benzoic acid, 4-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



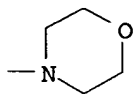
RN 768389-50-0 CAPLUS

CN Benamide, 4-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

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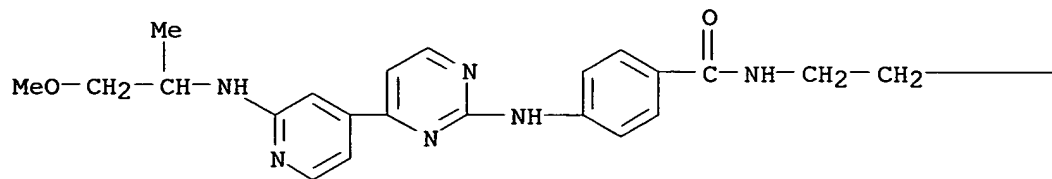
PAGE 1-B



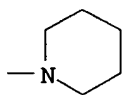
RN 768389-51-1 CAPLUS

CN Benzamide, 4-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

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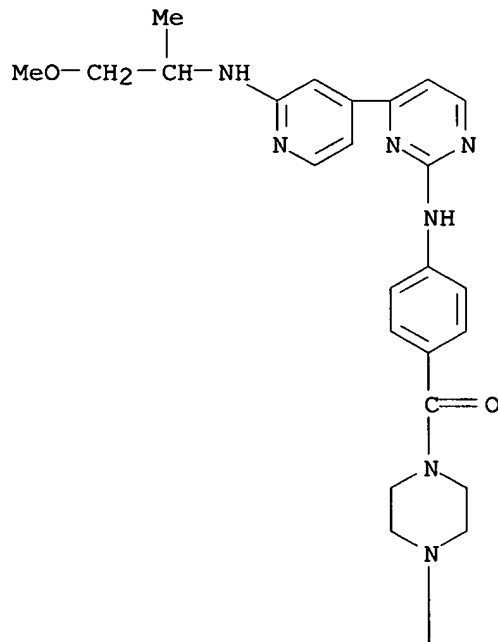
PAGE 1-B

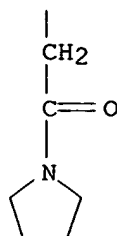


RN 768389-52-2 CAPLUS

CN Piperazine, 1-[4-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]benzoyl]-4-[2-oxo-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

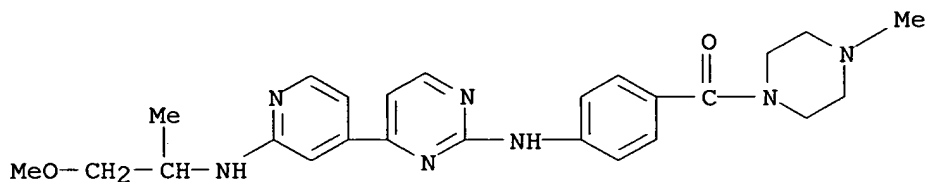
PAGE 1-A





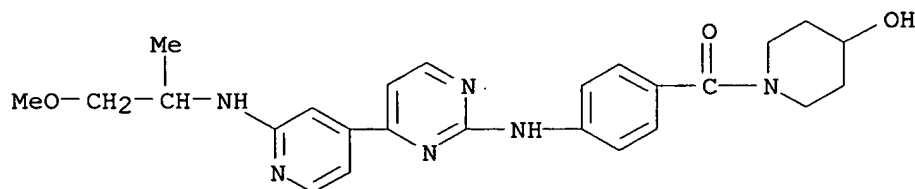
RN 768389-53-3 CAPLUS

CN Piperazine, 1-[4-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



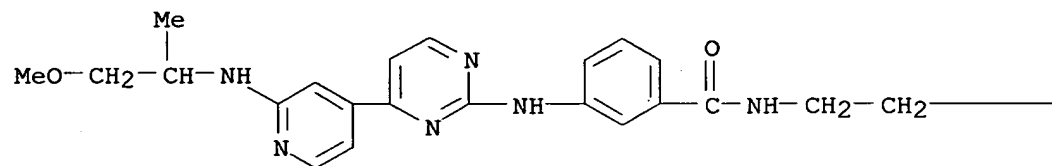
RN 768389-54-4 CAPLUS

CN 4-Piperidinol, 1-[4-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

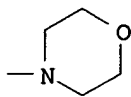


RN 768389-55-5 CAPLUS

CN Benzamide, 3-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

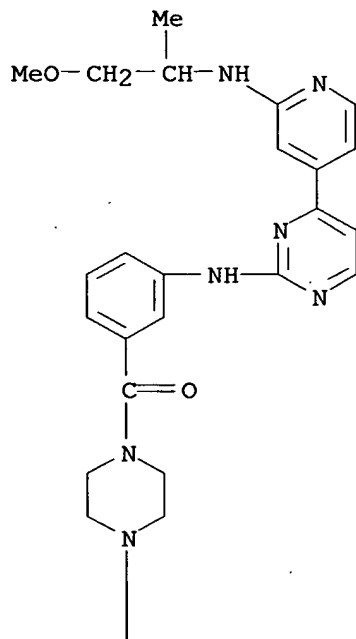


PAGE 1-B

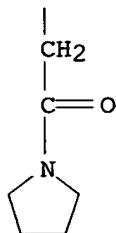


RN 768389-56-6 CAPLUS  
 CN Piperazine, 1-[3-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]benzoyl]-4-[2-oxo-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

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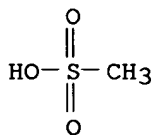


RN 768389-57-7 CAPLUS  
 CN Benzamide, 3-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]-N-[2-(4-morpholinyl)ethyl]-, monomethanesulfonate (9CI)

CRN 768389-55-5  
CMF C26 H33 N7 O3

COCC(C)Nc1ccc2nc3ccccc3nc2c1Nc4ccc(cc4)C(=O)NCC\*N1CCOCC1

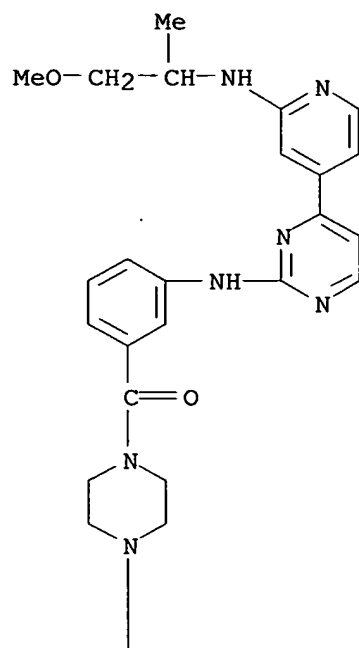
CRN 75-75-2  
CMF C H4 O3 S



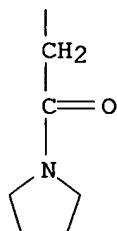
RN	768389-58-8	CAPLUS
CN	Piperazine, 1-[3-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]benzoyl]-4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)	

CRN 768389-56-6  
CMF C30 H38 N8 O3

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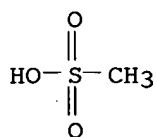
PAGE 2-A



CM 2

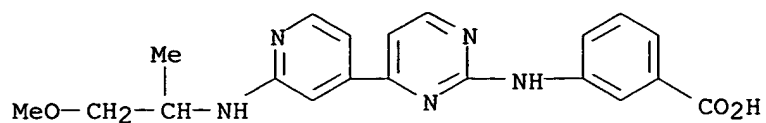
CRN 75-75-2

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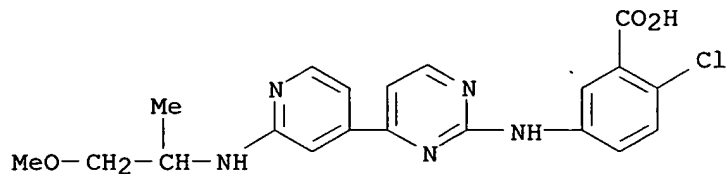
RN 768389-59-9 CAPLUS

CN Benzoic acid, 3-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



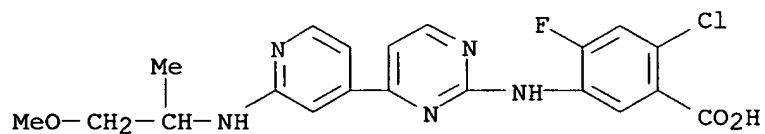
RN 768389-76-0 CAPLUS

CN Benzoic acid, 2-chloro-5-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 768390-41-6 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:756664 CAPLUS  
 DN 141:243576  
 TI Preparation of macrocycle amino compounds and compositions as  
 cyclin-dependent protein kinase inhibitors  
 IN Ren, Pingda; Adrian, Francisco; Gray, Nathanael S.; Wang, Xia  
 PA IRM LLC, Bermuda  
 SO PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078682	A2	20040916	WO 2004-US6947	20040305
	WO 2004078682	A3	20051208		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2004235841	A1	20041125	US 2004-794454	20040305
PRAI	US 2003-452633P	P	20030305		

OS MARPAT 141:243576

AB The invention provides a novel class of cyclic compds. I, wherein n is 0-3; R1 hydrogen and alkyl; R2-R3 are independently substituted arylene and hetero-arylene; R4 4 is -XIR6(CH2)mNR7C(O)-, -XNR6(CH2)mNR7C(O)CH2-, -XR6(CH2)mNR7(CH2)mNR7C(O)-, -O(CH2)mNR7C(O)-, -NR6(CH2)mO- and -XNR6(CH2)mNR7CH2-; wherein X is a bond or C-alkylene; m is 1-6; R6 and R7 independently are hydrogen and alkyl; and R5 is halo, alkyl, halo-substituted alkyl, alkoxy and halo-substituted alkoxy and heterocycloalkyl; wherein any heterocycloalkyl of R5 is substituted with a group halo, alkyl, halo-substituted alkyl, alkoxy, halo-substituted alkoxy, heterocycloalkyl-alkyl and -XNR8R9, wherein X is a bond or alkylene; R8 and R9 are independently hydrogen and alkyl; or a salt thereof pharmaceutical compns. comprising such cyclic compds. and methods of using such compds. to treat or prevent diseases and disorders associated with cyclin-dependent kinases (CDKs) activity, particularly diseases associated with the activity of CDK2 and CDK5. Thus, macrocycle II was prepared and tested as CDK2 and CDK5 inhibitors.

IT **164658-44-0P 752245-45-7P 752245-48-0P**

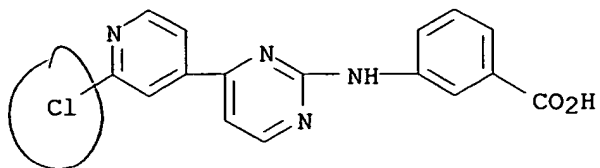
**752245-50-4P**

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of macrocycle amino compds. and compns. as cyclin-dependent protein kinase inhibitors)

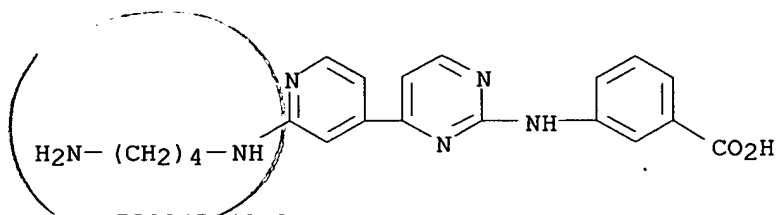
RN 164658-44-0 CAPLUS

CN Benzoic acid, 3-[[4-(2-chloro-4-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



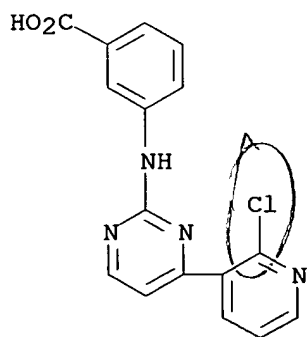
RN 752245-45-7 CAPLUS

CN Benzoic acid, 3-[[4-[2-[(4-aminobutyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



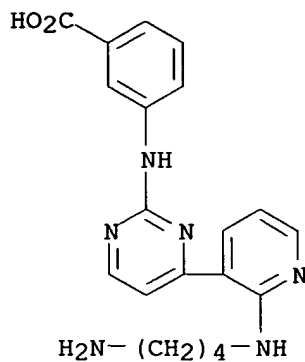
RN 752245-48-0 CAPLUS

CN Benzoic acid, 3-[[4-(2-chloro-3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 752245-50-4 CAPLUS

CN Benzoic acid, 3-[[4-[2-[(4-aminobutyl)amino]-3-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:451634 CAPLUS  
 DN 141:23544  
 TI Preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition  
 IN Satoh, Yoshitaka; Bhagwat, Shripad S.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 4,645.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004106634	A1	20040603	US 2003-395811	20030324
	US 2003220330	A1	20031127	US 2001-4645	20011204
	CA 2520440	AA	20041007	CA 2004-2520440	20040324
	WO 2004084901	A1	20041007	WO 2004-US9208	20040324

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1608375	A1	20051228	EP 2004-758138	20040324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRAI US 2000-251904P	P	20001206
US 2001-4645	A2	20011204
US 2003-395811	A	20030324
WO 2004-US9208	W	20040324

OS MARPAT 141:23544

AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2, R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)acOR9, (CH2)acO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of  $\leq 10 \mu\text{M}$  in the JNK2 assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition (such as obesity).

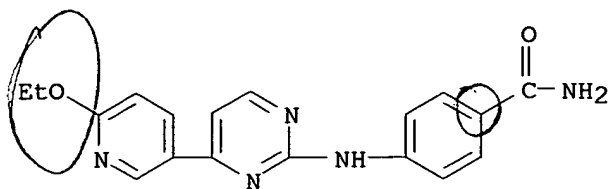
IT **434945-07-0P 434945-08-1P 434945-09-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition)

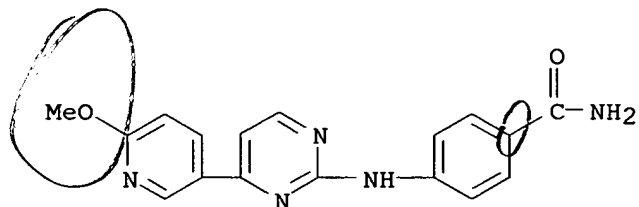
RN 434945-07-0 CAPLUS

CN Benzamide, 4-[[4-(6-ethoxy-3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



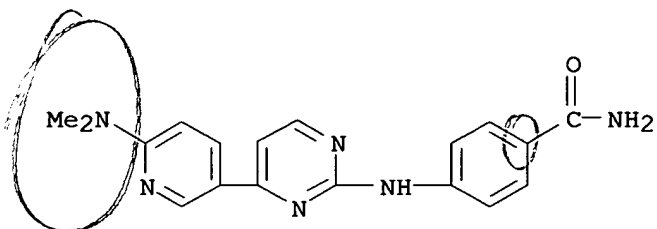
RN 434945-08-1 CAPLUS

CN Benzamide, 4-[[4-(6-methoxy-3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 434945-09-2 CAPLUS

CN Benzamide, 4-[[4-[6-(dimethylamino)-3-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:41463 CAPLUS  
 DN 140:77161  
 TI Preparation of pyrimidinylaminobenzamides as inhibitors of protein  
 kinases, in particular tyrosine kinases for treating neoplasm, especially  
 leukemia  
 IN Breitenstein, Werner; Furet, Pascal; Jacob, Sandra; Manley, Paul William  
 PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SO PCT Int. Appl., 83 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

*Appl  
PCT*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004005281	A1	20040115	WO 2003-EP7198	20030704
	WO 2004005281	C1	20040506		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW			
	RW:	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR			
	CA 2491632	AA	20040115	CA 2003-2491632	20030704
	AU 2003249962	A1	20040123	AU 2003-249962	20030704
	BR 2003012464	A	20050503	BR 2003-12464	20030704
	EP 1532138	A1	20050525	EP 2003-762632	20030704
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	JP 2005533827	T2	20051110	JP 2004-518718	20030704
	NO 2005000636	A	20050204	NO 2005-636	20050204
PRAI	GB 2002-15676	A	20020705		
	GB 2002-29893	A	20021220		
	WO 2003-EP7198	W	20030704		

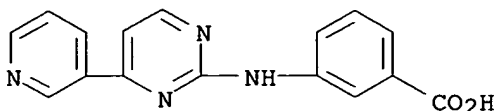
OS MARPAT 140:77161

AB Title compds. I [wherein R1 = H, alkoxy/carboxy/alkoxycarbonyl/phenyl/alkyl; R2 = H, (un)substituted cyclo/benzcyclo/alkyl, heterocyclyl, aryl, mono- or bicyclic heteroaryl; R1R2 = (un)substituted alkylene with 4-6 C atoms, benzalkylene with 4 or 5 C atoms, oxaalkylene with one O and 3 or 4 C atoms, azaalkylene with one N and 3 or 4 C atoms where N is (un)substituted by phenyl/alkoxycarbonyl/carboxy/carbamoyl/alkyl, alkoxycarbonyl, carboxy, (un)substituted Ph, pyridyl, pyrimidinyl, pyrazinyl, etc.; R4 = H, alkyl, halo; their N-oxides, tautomers, and pharmaceutical acceptable salts] were prepared as inhibitors of protein kinases, in particular tyrosine kinases for treating neoplastic diseases, especially leukemia. II was prepared by amidation of

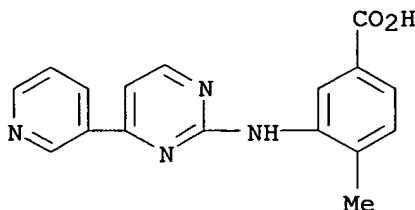
4-Methyl-3-[[4-(3-pyridinyl)-

2-pyrimidinyl]amino]benzoic acid (preparation given) with N,N-diethyl-1,3-benzenediamine in the presence of propylphosphonic anhydride/TEA/DMF at room temperature for 24 h. In an in vitro test, II inhibited C-Abl, KDR, and Flt3 tyrosine kinase in 98%, 88%, and 41% resp. I exhibited IC50 values for the inhibition of Flt-1 VEGF receptor tyrosine kinase in the range of 1-10,000 nM, preferably in the range of 1-100 nM. Thus, I and their pharmaceutical compns. are useful for treatment of neoplasm, in particular leukemia.

IT **188260-51-7P**, 3-[[4-(3-Pyridinyl)-2-pyrimidinyl]amino]benzoic acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (intermediate; preparation of pyrimidinylaminobenzamides as inhibitors of  
 tyrosine kinases in particular tyrosine kinases for treatment of  
 leukemia)  
 RN 188260-51-7 CAPLUS  
 CN Benzoic acid, 3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX  
 NAME)



IT **641569-94-0**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoic acid  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of pyrimidinylaminobenzamides as inhibitors of tyrosine kinases  
 in particular tyrosine kinases for treatment of leukemia)  
 RN 641569-94-0 CAPLUS  
 CN Benzoic acid, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
 (CA INDEX NAME)



IT **641569-93-9P**, N-(2-Furanylmethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641569-98-4P**, N-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-[(4-methyl-1-piperazinyl)methyl]benzeneamine **641569-99-5P**, 1-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-(2-pyridinyl)piperazine **641570-00-5P**, 4-Methyl-N-[2-(2-pyridinyl)ethyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-01-6P**, 4-Methyl-N-[1-(phenylmethyl)-4-piperidinyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-02-7P**, 4-Methyl-N-(4-pyridinylmethyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-03-8P**, 4-Methyl-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-04-9P**, N-[(4-Methoxyphenyl)methyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-05-0P**, 4-Methyl-N-(2-methylpropyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-06-1P**, 4-Methyl-N-(2-morpholinoethyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-07-2P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[(tetrahydro-2-furanyl)methyl]benzamide **641570-08-3P**, N-[2-(2,4-Dihydroxy-5-pyrimidinyl)ethyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-09-4P**,

N-Cyclohexyl-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide  
**641570-10-7P**, N-((3S)-Hexahydro-2-oxo-1H-azepin-3-yl)-4-methyl-3-  
 [[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-11-8P**,  
 N-[2-(3,4-Dimethoxyphenyl)ethyl]-4-methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzamide **641570-12-9P**, 2-[[4-Methyl-3-[[4-(3-  
 pyridinyl)-2-pyrimidinyl]amino]benzoyl]amino]-4-thiazole  
**641570-13-0P**, N-[3-(1H-Imidazol-1-yl)propyl]-4-methyl-3-[[4-(3-  
 pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-14-1P**,  
 N-(Cyclopropylmethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzamide **641570-15-2P**, N-(2-Methoxyethyl)-4-  
 methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide  
**641570-16-3P**, 4-Methyl-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-3-[[4-(3-  
 pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-17-4P**,  
 N-Methyl-4-methyl-N-(phenylmethyl)-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzamide **641570-18-5P**, N-[4-  
 (Acetylamino)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzamide **641570-19-6P**, N-(4-Methoxy-2-  
 methylphenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide  
**641570-20-9P**, 4-Methyl-N-[4-(methylsulfonyl)benzyl]-3-[[4-(3-  
 pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-21-0P**,  
 N-[[4-(Dimethylamino)phenyl]methyl]-4-methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzamide **641570-22-1P**, N-(2-Amino-2-oxoethyl)-  
 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide  
**641570-23-2P**, N-[4-Methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzoyl]glycine methyl ester **641570-24-3P**,  
 N-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]β-alanine  
 methyl ester **641570-25-4P**, N-[[4-(Aminosulfonyl)phenyl]methyl]-4-  
 methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide  
**641570-26-5P**, N-(3-Hydroxypropyl)-4-methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzamide **641570-27-6P**, N,N-Diethyl-4-methyl-3-  
 [[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-28-7P**,  
 N-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-(L)-  
 phenylalanine 1,1-dimethylethyl ester **641570-29-8P**  
**641570-30-1P**, N-[1-[4-Methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzoyl]-4-piperidinyl]benzamide **641570-31-2P**,  
 4-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]morpholine  
**641570-32-3P**, 1-(4-Methoxyphenyl)-4-[4-methyl-3-[[4-(3-pyridinyl)-  
 2-pyrimidinyl]amino]benzoyl]piperazine **641570-33-4P**,  
 1-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-(4-  
 pyridinyl)piperazine **641570-34-5P**, 1-[4-Methyl-3-[[4-(3-  
 pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-(2-pyrazinyl)piperazine  
**641570-35-6P**, 1-[4-Methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzoyl]-4-(phenylmethyl)piperazine **641570-36-7P**  
 , 1-Cyclopentyl-4-[4-methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzoyl]piperazine **641570-37-8P**,  
 4-[[4-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-1-  
 piperazinyl]acetyl]morpholine **641570-38-9P**, 1-[4-Methyl-3-[[4-(3-  
 pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-[2-oxo-2-(1-  
 pyrrolidinyl)ethyl]piperazine **641570-39-0P**, 4-[4-Methyl-3-[[4-(3-  
 pyridinyl)-2-pyrimidinyl]amino]benzoyl]-1-piperazinecarboxylic acid ethyl  
 ester **641570-40-3P**, 2-[4-Methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzoyl]-1,2,3,4-tetrahydroisoquinoline  
**641570-41-4P**, N,N-Bis(2-Methoxyethyl)-4-methyl-3-[[4-(3-pyridinyl)-  
 2-pyrimidinyl]amino]benzamide **641570-42-5P**, 1'-[4-Methyl-3-[[4-  
 (3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-1,4'-bipiperidine  
**641570-43-6P**, N-[4-Methyl-3-[[4-(3-pyridinyl)-2-  
 pyrimidinyl]amino]benzoyl]-N-(phenylmethyl)glycine ethyl ester  
**641570-44-7P**, N-(3-Chlorophenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-

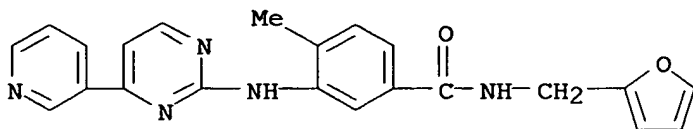
pyrimidinyl]amino]benzamide **641570-45-8P**, N-(2,2-Diphenylethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-46-9P**, N-(2,3-Dihydro-1H-inden-1-yl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-47-0P**, N-(Diphenylmethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-48-1P**, 4-Methyl-N-[2-(1-piperidinyl)ethyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-49-2P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)benzamide **641570-50-5P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[[4-(trifluoromethyl)phenyl]methyl]benzamide **641570-51-6P**, 4-Methyl-N-[(5-methylpyrazinyl)methyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-52-7P**, N-(2-Ethoxyethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-53-8P**, 4-Methyl-N-[2-(2-oxo-1-imidazolidinyl)ethyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-54-9P**, 4-Methyl-N-(5-methyl-2-pyridinyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-55-0P**, 1-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-phenyl-4-piperidinol **641570-56-1P**, N-(3-Benzoylphenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-57-2P**, N-[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]glycine 1,1-dimethylethyl ester **641570-58-3P**, 4-[[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]amino]benzeneacetic acid ethyl ester **641570-59-4P**, 4-Methyl-N-[3-(methylphenylamino)propyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-60-7P**, 1-[[3-[[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]amino]phenyl]methyl]-4-piperidinecarboxylic acid ethyl ester **641570-61-8P**, [[4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]amino]propane dioic acid diethyl ester **641570-62-9P**, N-[2-[Bis(1-methylethyl)amino]ethyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-63-0P**, N-[3-(Diethylamino)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide **641570-64-1P**, 4-Methyl-3-[4-(3-pyridinyl)-2-pyrimidinylamino]-N-[[3-(1-hydroxy-1-methylethyl)-5-(trifluoromethyl)phenyl]methyl]benzamide **641570-66-3P**, 3-[[4-(3-Pyridinyl)-2-pyrimidinyl]amino]-N-[(4-methyl-1-piperazinyl)methyl]benzamide **641570-69-6P**, 3-[[4-(3-Pyridinyl)-2-pyrimidinyl]amino]-N-[3-(1-hydroxy-1-methylethyl)-5-(trifluoromethyl)phenyl]benzamide **641570-70-9P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-[3-(1H-imidazol-1-yl)propoxy]phenyl]benzamide **641570-71-0P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-[2-(1H-imidazol-1-yl)ethoxy]phenyl]benzamide **641570-72-1P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(ethylamino)-3-(trifluoromethyl)phenyl]benzamide **641570-74-3P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(diethylamino)-3-(trifluoromethyl)phenyl]benzamide **641570-75-4P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-[bis(2-methoxyethyl)amino]-3-(trifluoromethyl)phenyl]benzamide **641570-77-6P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(4-methyl-1-piperazinyl)-3-(trifluoromethyl)phenyl]benzamide **641570-78-7P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(1-piperidinyl)-3-(trifluoromethyl)phenyl]benzamide **641570-79-8P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(1-pyrrolidinyl)-3-(trifluoromethyl)phenyl]benzamide **641570-80-1P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(4-morpholinyl)-3-(trifluoromethyl)phenyl]benzamide

**641570-81-2P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-phenyl-3-(trifluoromethyl)phenyl]benzamide **641570-83-4P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-[4-(3-pyridinyl)-3-(trifluoromethyl)phenyl]methyl]benzamide **641570-85-6P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide **641570-86-7P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(2,4-dimethyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide **641570-88-9P**,  
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 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(2-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide **641570-91-4P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-(4-morpholinyl)-5-[(methylamino)carbonyl]phenyl]benzamide **641570-97-0P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-[(methylamino)carbonyl]-5-(trifluoromethyl)phenyl]benzamide **641570-99-2P**, 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(3-pyridinyl)-3-(trifluoromethyl)phenyl]benzamide **641571-01-9P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(4-morpholinyl)-3-(trifluoromethyl)phenyl]benzamide **641571-05-3P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(2-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide **641571-10-0P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(4-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide **641571-15-5P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(5-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide **641571-20-2P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-(4-methyl-1-piperazinyl)-5-(trifluoromethyl)phenyl]benzamide **641571-23-5P**,  
 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[2-(1-pyrrolidinyl)-5-(trifluoromethyl)phenyl]benzamide **641571-24-6P**,  
 3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(4-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tyrosine kinases inhibitor; preparation of pyrimidinylaminobenzamides as inhibitors of tyrosine kinases in particular tyrosine kinases for treatment of leukemia)

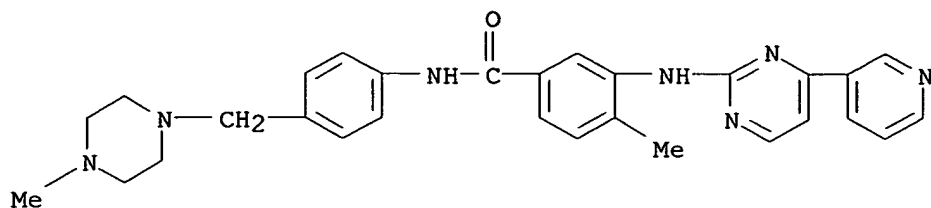
RN 641569-93-9 CAPLUS

CN Benzamide, N-(2-furanylmethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



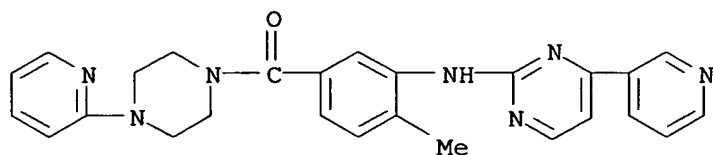
RN 641569-98-4 CAPLUS

CN Benzamide, 4-methyl-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



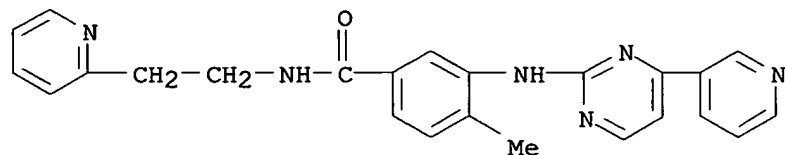
RN 641569-99-5 CAPLUS

CN Piperazine, 1-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



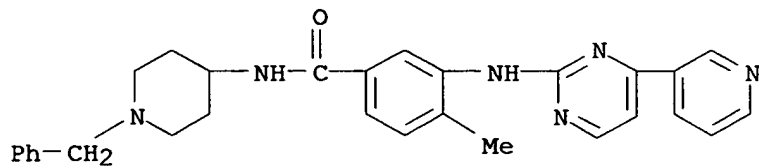
RN 641570-00-5 CAPLUS

CN Benzamide, 4-methyl-N-[2-(2-pyridinyl)ethyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



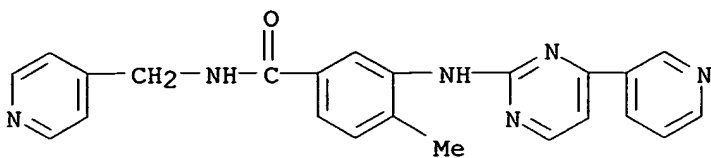
RN 641570-01-6 CAPLUS

CN Benzamide, 4-methyl-N-[1-(phenylmethyl)-4-piperidinyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



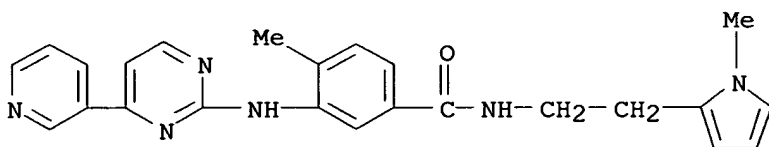
RN 641570-02-7 CAPLUS

CN Benzamide, 4-methyl-N-(4-pyridinylmethyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



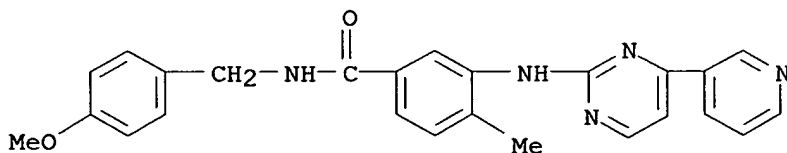
RN 641570-03-8 CAPLUS

CN Benzamide, 4-methyl-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



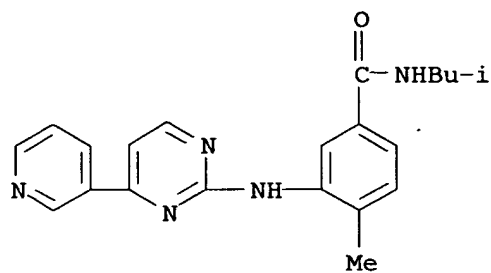
RN 641570-04-9 CAPLUS

CN Benzamide, N-[(4-methoxyphenyl)methyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



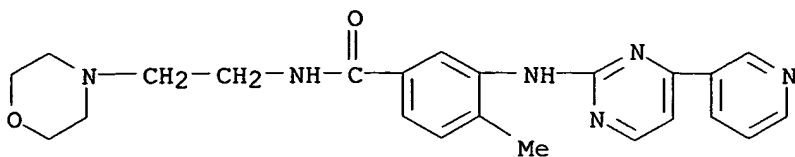
RN 641570-05-0 CAPLUS

CN Benzamide, 4-methyl-N-(2-methylpropyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



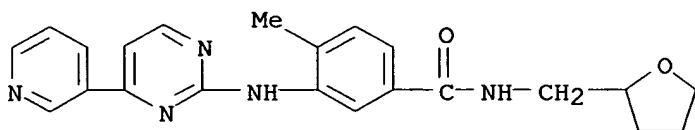
RN 641570-06-1 CAPLUS

CN Benzamide, 4-methyl-N-[2-(4-morpholinyl)ethyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



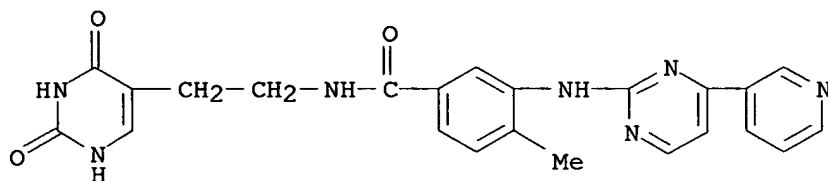
RN 641570-07-2 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



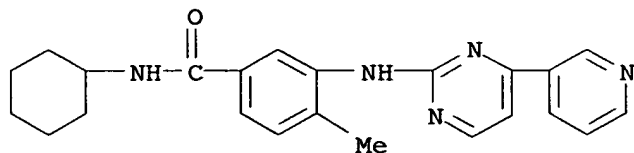
RN 641570-08-3 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[2-(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 641570-09-4 CAPLUS

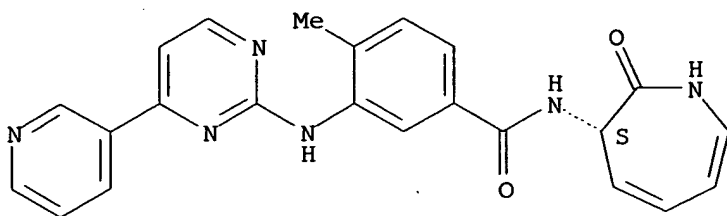
CN Benzamide, N-cyclohexyl-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 641570-10-7 CAPLUS

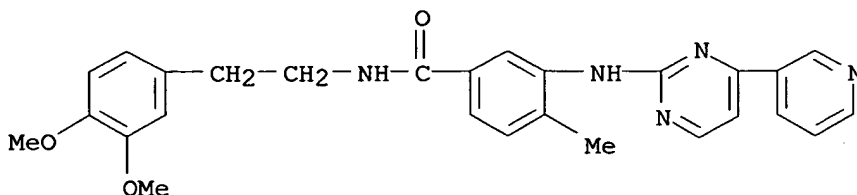
CN Benzamide, N-[(3S)-2,3-dihydro-2-oxo-1H-azepin-3-yl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



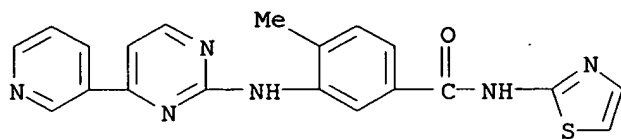
RN 641570-11-8 CAPLUS

CN Benzamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



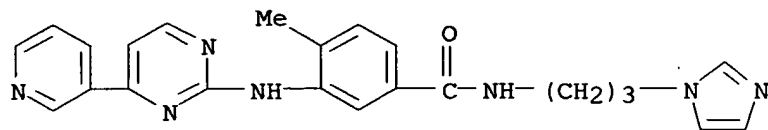
RN 641570-12-9 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-2-thiazolyl- (9CI) (CA INDEX NAME)



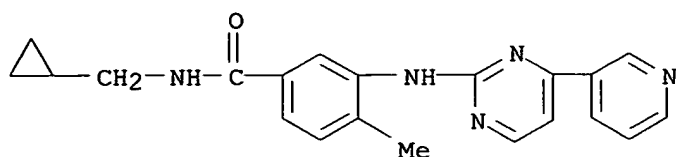
RN 641570-13-0 CAPLUS

CN Benzamide, N-[3-(1H-imidazol-1-yl)propyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



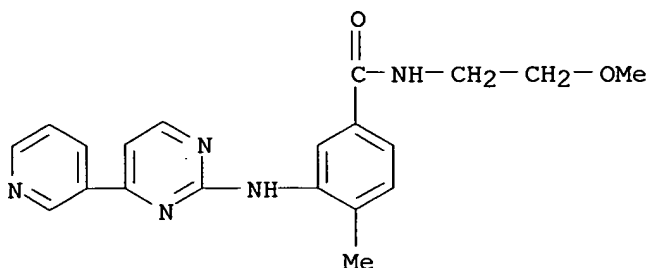
RN 641570-14-1 CAPLUS

CN Benzamide, N-(cyclopropylmethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



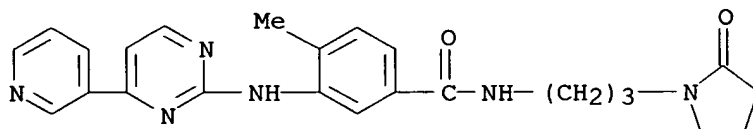
RN 641570-15-2 CAPLUS

CN Benzamide, N-(2-methoxyethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



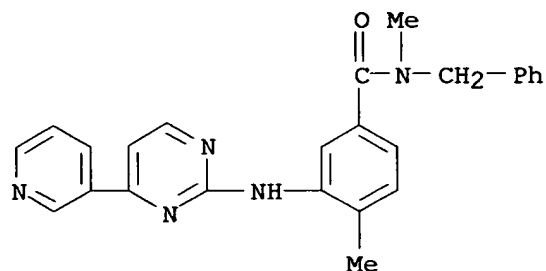
RN 641570-16-3 CAPLUS

CN Benzamide, 4-methyl-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



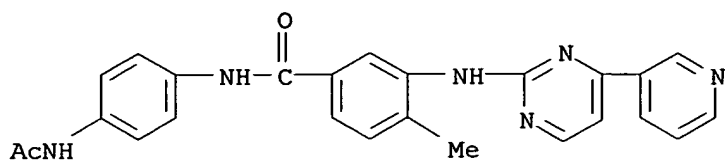
RN 641570-17-4 CAPLUS

CN Benzamide, N,4-dimethyl-N-(phenylmethyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



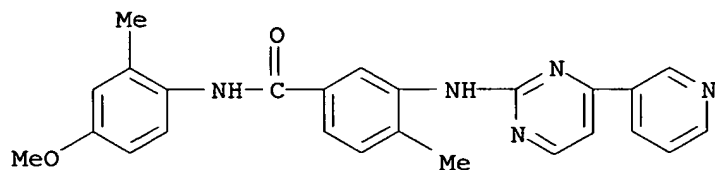
RN 641570-18-5 CAPLUS

CN Benzamide, N-[4-(acetylamino)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



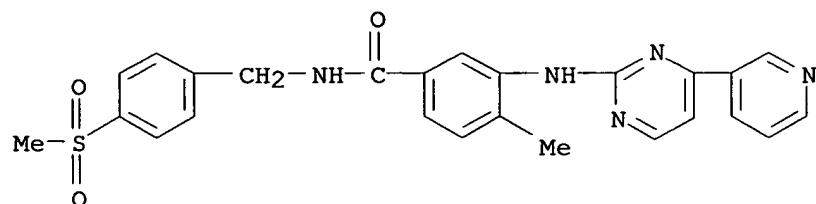
RN 641570-19-6 CAPLUS

CN Benzamide, N-(4-methoxy-2-methylphenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



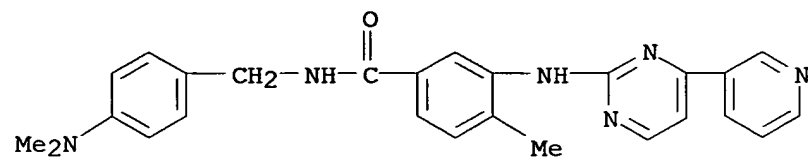
RN 641570-20-9 CAPLUS

CN Benzamide, 4-methyl-N-[[4-(methylsulfonyl)phenyl]methyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



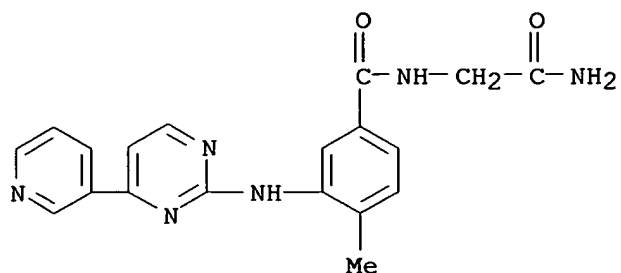
RN 641570-21-0 CAPLUS

CN Benzamide, N-[[4-(dimethylamino)phenyl]methyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



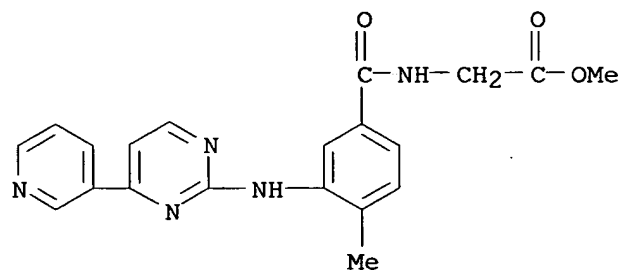
RN 641570-22-1 CAPLUS

CN Benzamide, N-(2-amino-2-oxoethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



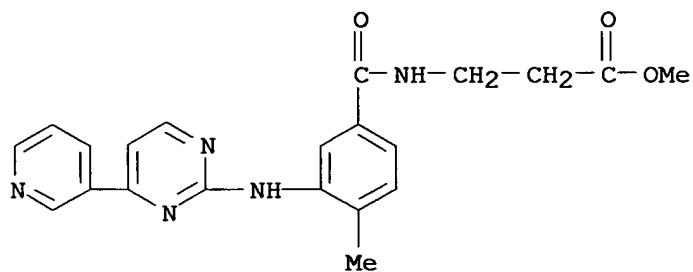
RN 641570-23-2 CAPLUS

CN Glycine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



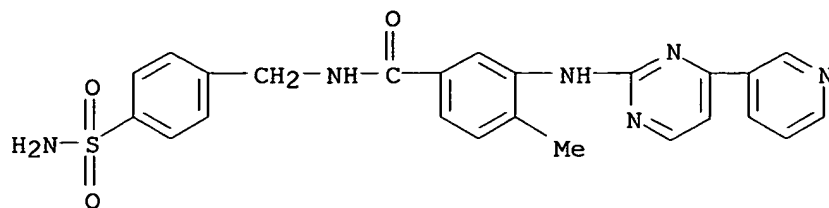
RN 641570-24-3 CAPLUS

CN  $\beta$ -Alanine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



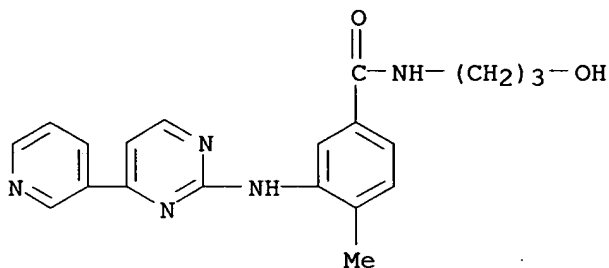
RN 641570-25-4 CAPLUS

CN Benzamide, N-[4-(aminosulfonyl)phenylmethyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



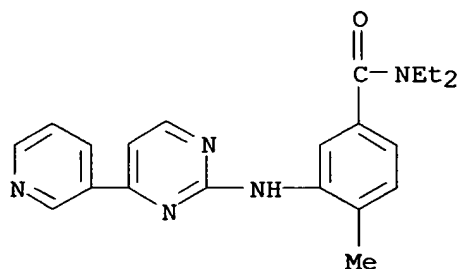
RN 641570-26-5 CAPLUS

CN Benzamide, N-(3-hydroxypropyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 641570-27-6 CAPLUS

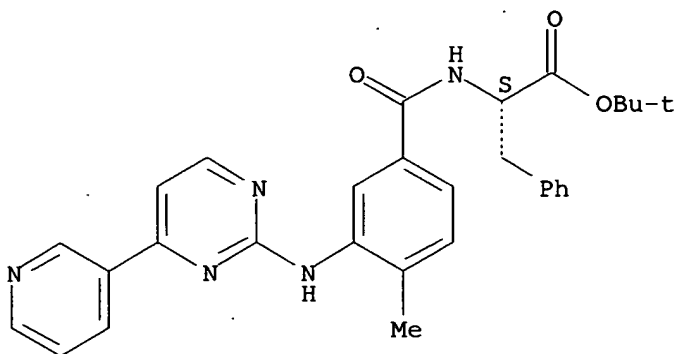
CN Benzamide, N,N-diethyl-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 641570-28-7 CAPLUS

CN L-Phenylalanine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

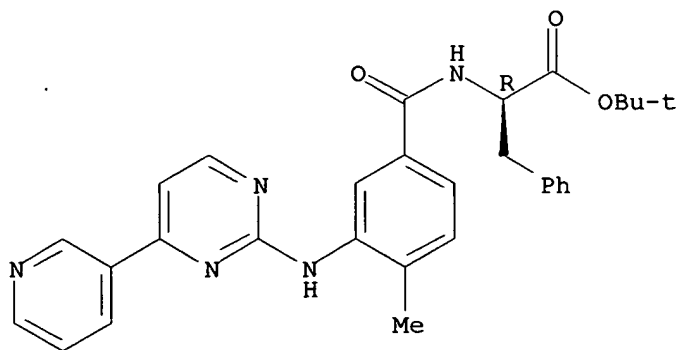
Absolute stereochemistry.



RN 641570-29-8 CAPLUS

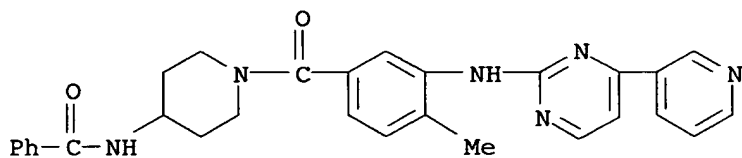
CN D-Phenylalanine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



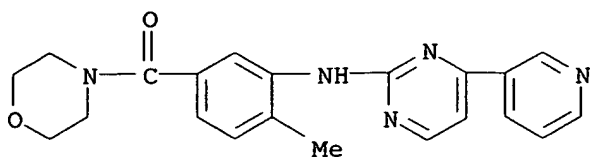
RN 641570-30-1 CAPLUS

CN Benzamide, N-[1-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



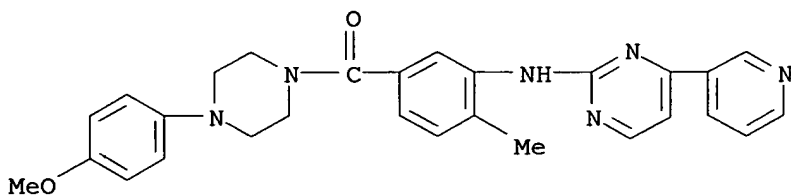
RN 641570-31-2 CAPLUS

CN Morpholine, 4-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



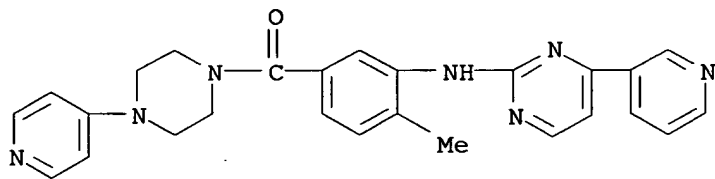
RN 641570-32-3 CAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



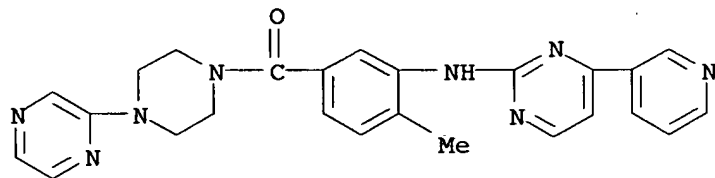
RN 641570-33-4 CAPLUS

CN Piperazine, 1-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



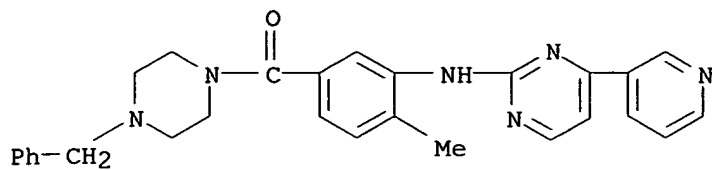
RN 641570-34-5 CAPLUS

CN Piperazine, 1-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-pyrazinyl- (9CI) (CA INDEX NAME)



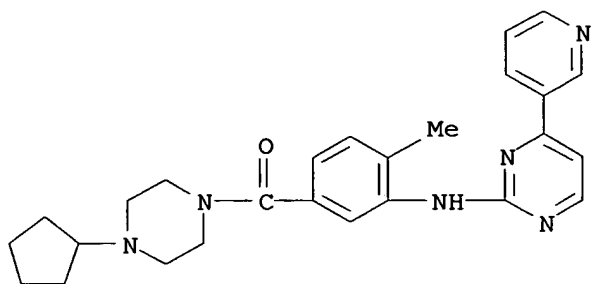
RN 641570-35-6 CAPLUS

CN Piperazine, 1-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



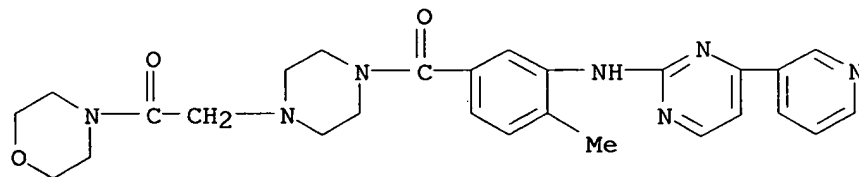
RN 641570-36-7 CAPLUS

CN Piperazine, 1-cyclopentyl-4-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



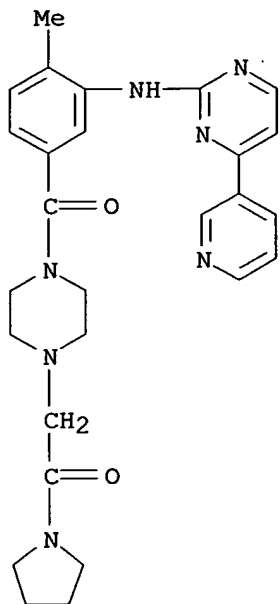
RN 641570-37-8 CAPLUS

CN Morpholine, 4-[[4-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



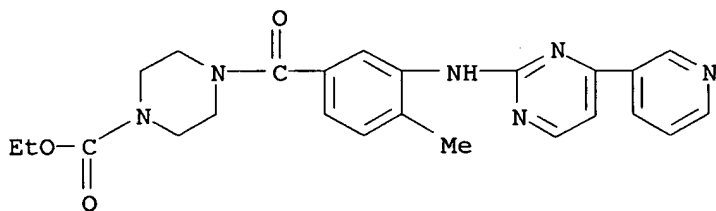
RN 641570-38-9 CAPLUS

CN Piperazine, 1-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-[2-oxo-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



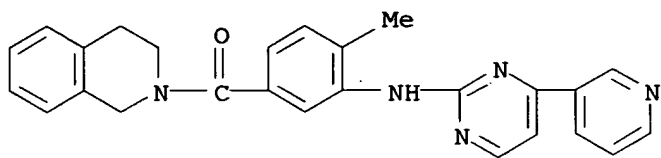
RN 641570-39-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)



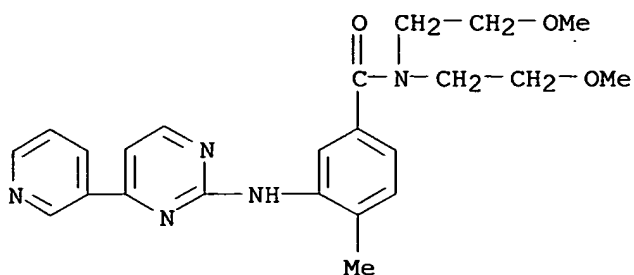
RN 641570-40-3 CAPLUS

CN Isoquinoline, 1,2,3,4-tetrahydro-2-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



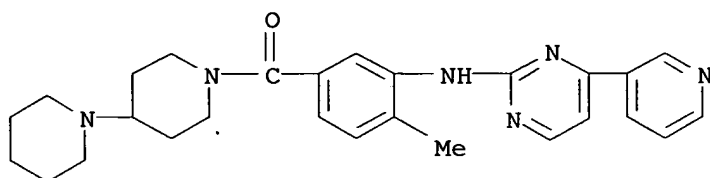
RN 641570-41-4 CAPLUS

CN Benzamide, N,N-bis(2-methoxyethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



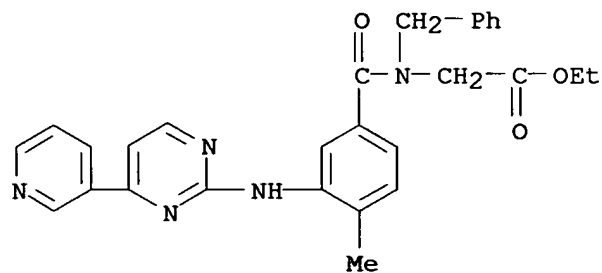
RN 641570-42-5 CAPLUS

CN 1,4'-Bipiperidine, 1'-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



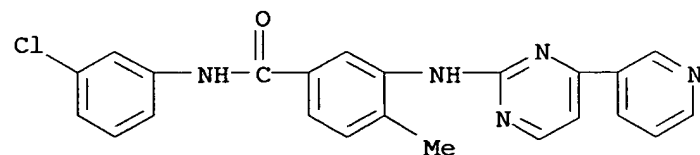
RN 641570-43-6 CAPLUS

CN Glycine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-N-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 641570-44-7 CAPLUS

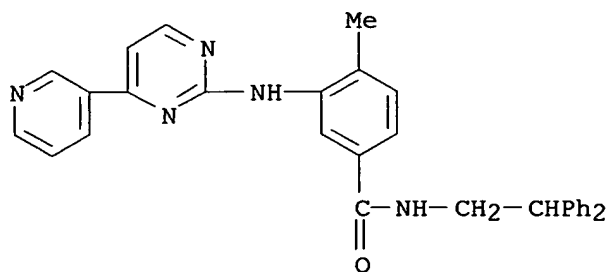
CN Benzamide, N-(3-chlorophenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 641570-45-8 CAPLUS

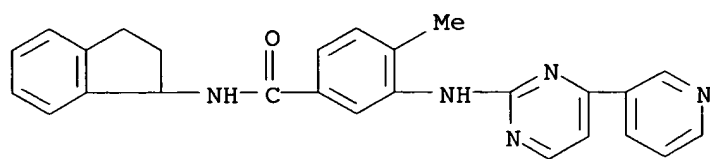
CN Benzamide, N-(2,2-diphenylethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-

pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



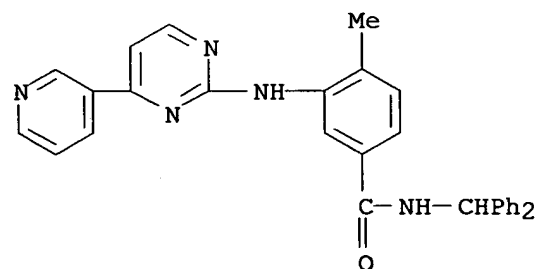
RN 641570-46-9 CAPLUS

CN Benzamide, N-(2,3-dihydro-1H-inden-1-yl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



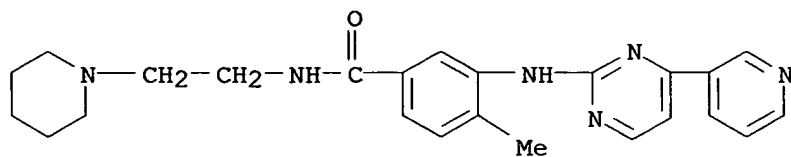
RN 641570-47-0 CAPLUS

CN Benzamide, N-(diphenylmethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



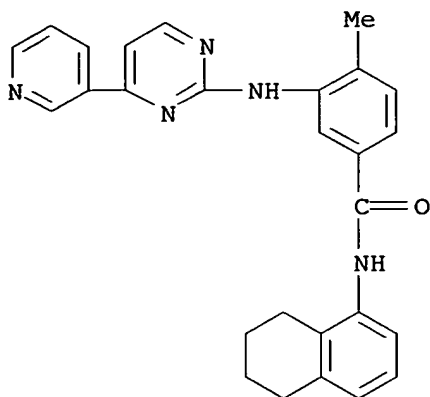
RN 641570-48-1 CAPLUS

CN Benzamide, 4-methyl-N-[2-(1-piperidinyl)ethyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



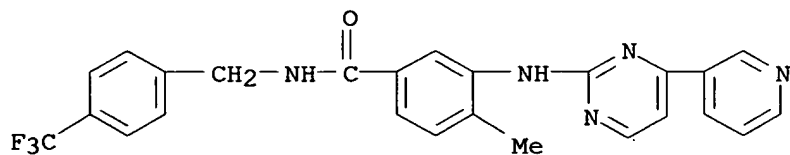
RN 641570-49-2 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



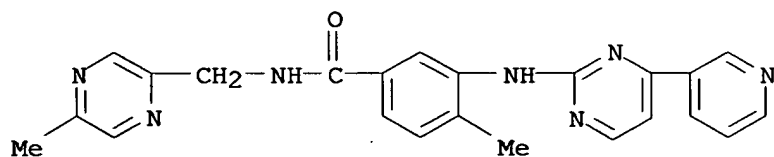
RN 641570-50-5 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



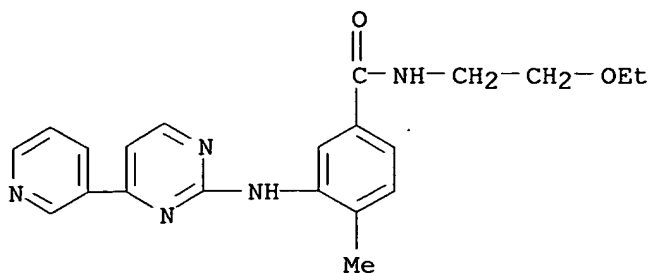
RN 641570-51-6 CAPLUS

CN Benzamide, 4-methyl-N-[(5-methylpyrazinyl)methyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



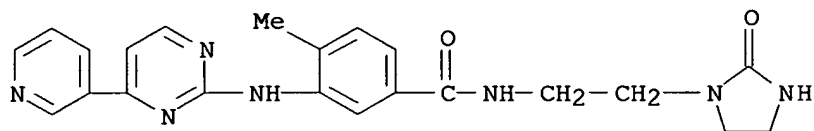
RN 641570-52-7 CAPLUS

CN Benzamide, N-(2-ethoxyethyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



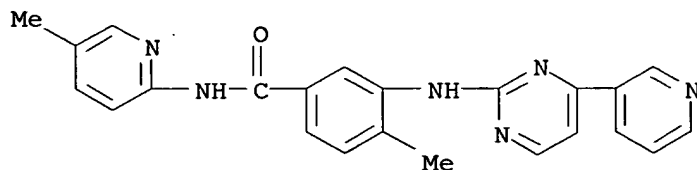
RN 641570-53-8 CAPLUS

CN Benzamide, 4-methyl-N-[2-(2-oxo-1-imidazolidinyl)ethyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



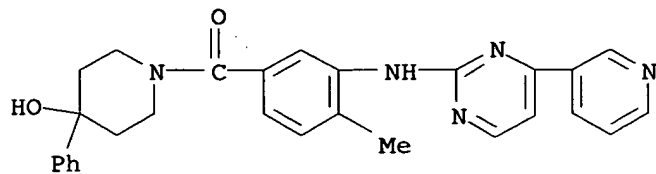
RN 641570-54-9 CAPLUS

CN Benzamide, 4-methyl-N-(5-methyl-2-pyridinyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



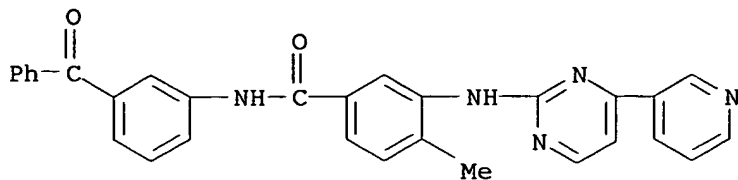
RN 641570-55-0 CAPLUS

CN 4-Piperidinol, 1-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-4-phenyl- (9CI) (CA INDEX NAME)



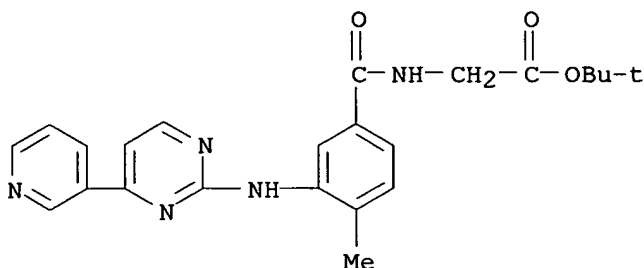
RN 641570-56-1 CAPLUS

CN Benzamide, N-(3-benzoylphenyl)-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



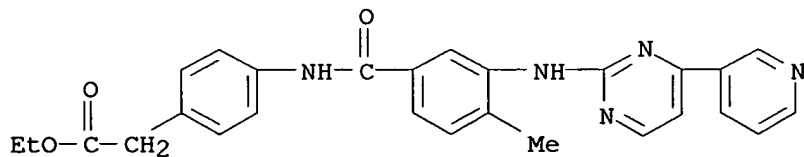
RN 641570-57-2 CAPLUS

CN Glycine, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



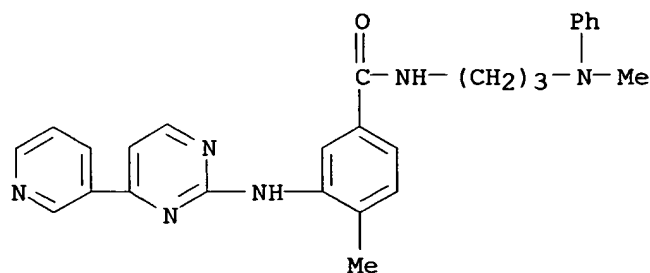
RN 641570-58-3 CAPLUS

CN Benzeneacetic acid, 4-[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 641570-59-4 CAPLUS

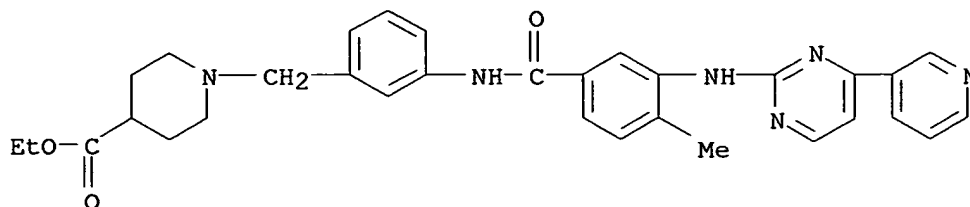
CN Benzamide, 4-methyl-N-[3-(methylphenylamino)propyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 641570-60-7 CAPLUS

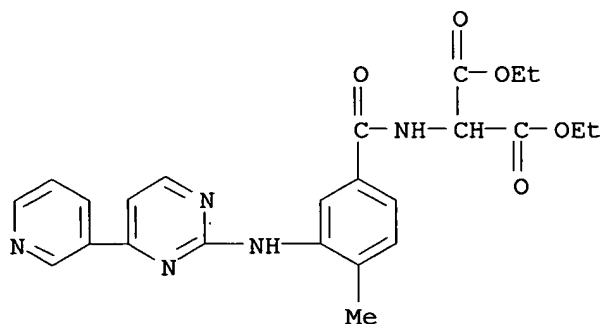
CN 4-Piperidinecarboxylic acid, 1-[[3-[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]amino]propyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

pyrimidinyl]amino]benzoyl]amino]phenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



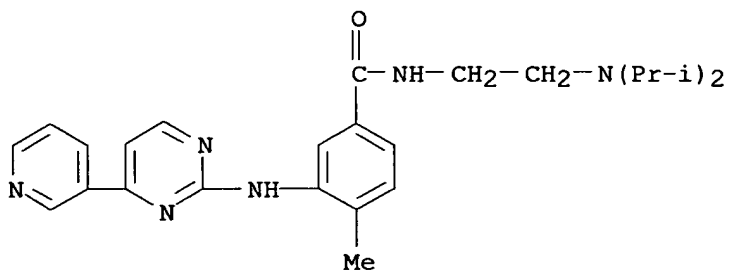
RN 641570-61-8 CAPLUS

CN Propanedioic acid, [[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzoyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)



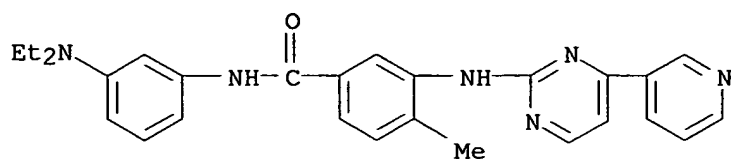
RN 641570-62-9 CAPLUS

CN Benzamide, N-[2-[bis(1-methylethyl)amino]ethyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



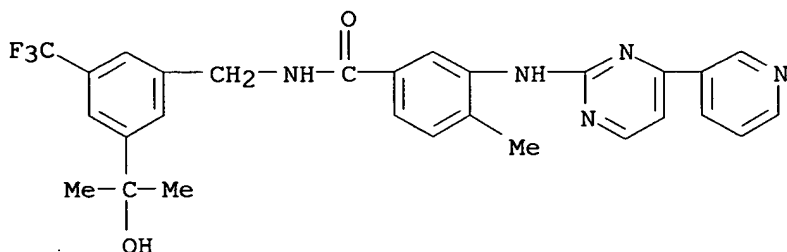
RN 641570-63-0 CAPLUS

CN Benzamide, N-[3-(diethylamino)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



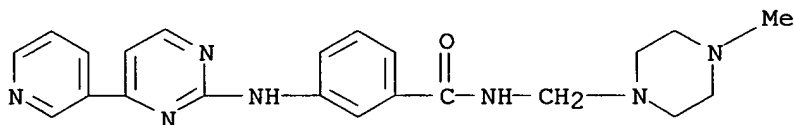
RN 641570-64-1 CAPLUS

CN Benzamide, N-[[3-(1-hydroxy-1-methylethyl)-5-(trifluoromethyl)phenyl]methyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



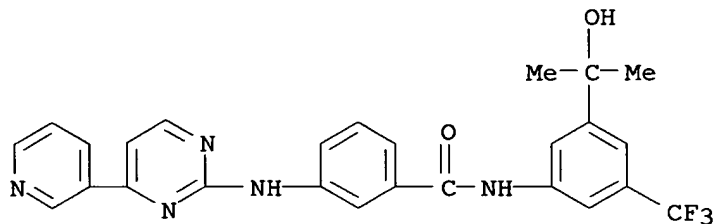
RN 641570-66-3 CAPLUS

CN Benzamide, N-[[4-methyl-1-piperazinyl]methyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



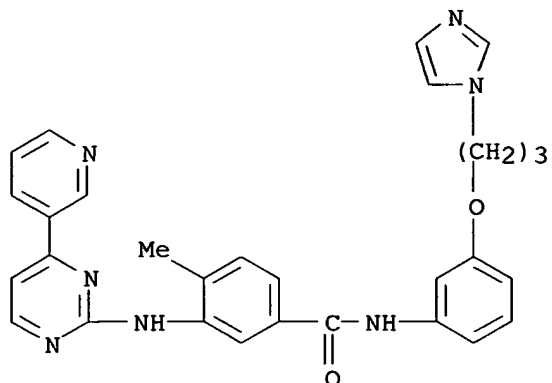
RN 641570-69-6 CAPLUS

CN Benzamide, N-[[3-(1-hydroxy-1-methylethyl)-5-(trifluoromethyl)phenyl]methyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



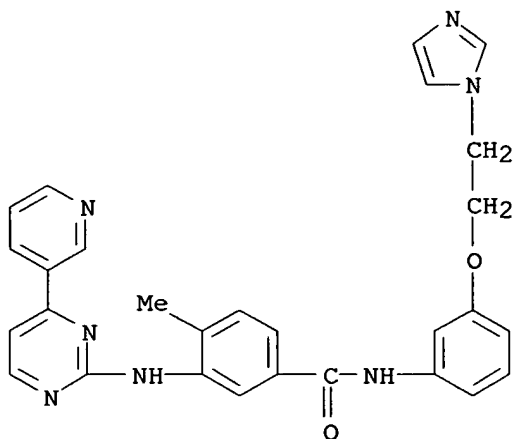
RN 641570-70-9 CAPLUS

CN Benzamide, N-[[3-[3-(1H-imidazol-1-yl)propoxy]phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



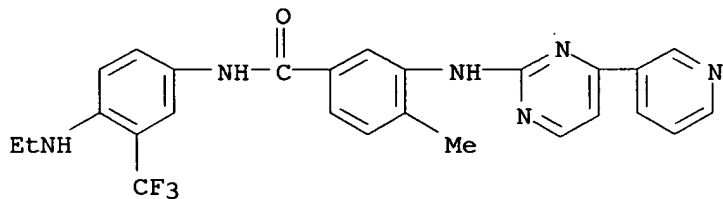
RN 641570-71-0 CAPLUS

CN Benzamide, N-[3-[2-(1H-imidazol-1-yl)ethoxy]phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



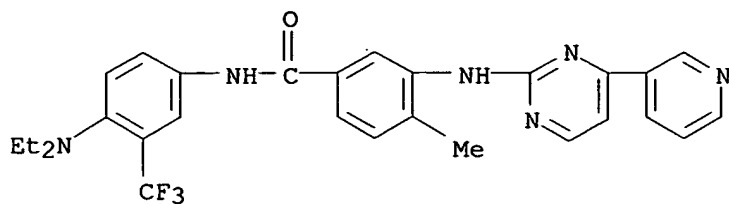
RN 641570-72-1 CAPLUS

CN Benzamide, N-[4-(ethylamino)-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



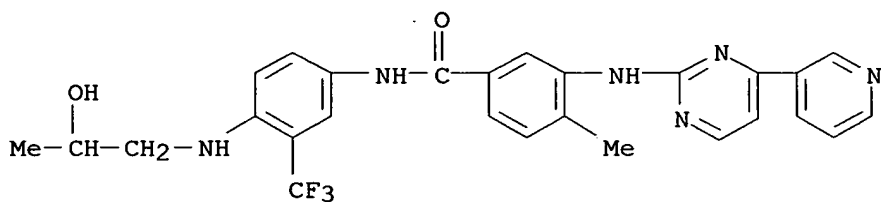
RN 641570-74-3 CAPLUS

CN Benzamide, N-[4-(diethylamino)-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



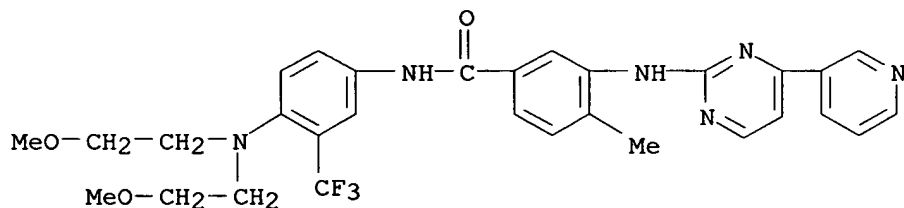
RN 641570-75-4 CAPLUS

CN Benzamide, N-[4-[(2-hydroxypropyl)amino]-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



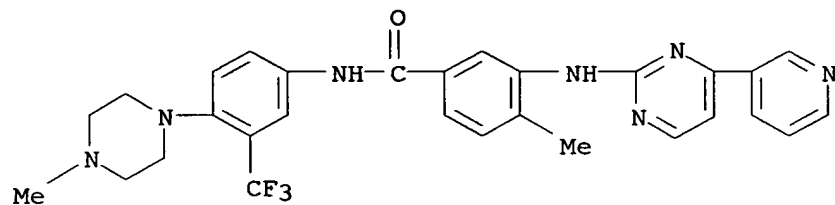
RN 641570-76-5 CAPLUS

CN Benzamide, N-[4-[[bis(2-methoxyethyl)amino]-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



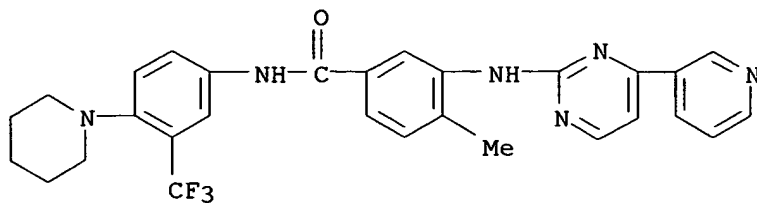
RN 641570-77-6 CAPLUS

CN Benzamide, 4-methyl-N-[4-(4-methyl-1-piperazinyl)-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



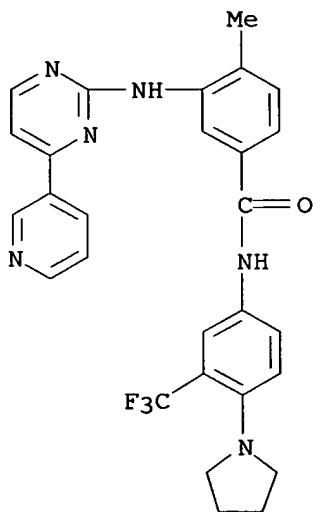
RN 641570-78-7 CAPLUS

CN Benzamide, 4-methyl-N-[4-(1-piperidinyl)-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



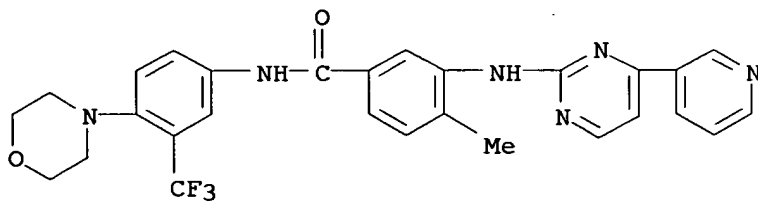
RN 641570-79-8 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[4-(1-pyrrolidinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



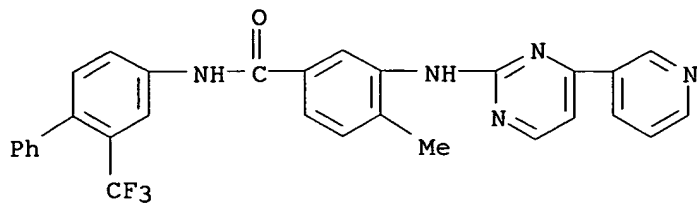
RN 641570-80-1 CAPLUS

CN Benzamide, 4-methyl-N-[4-(4-morpholinyl)-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



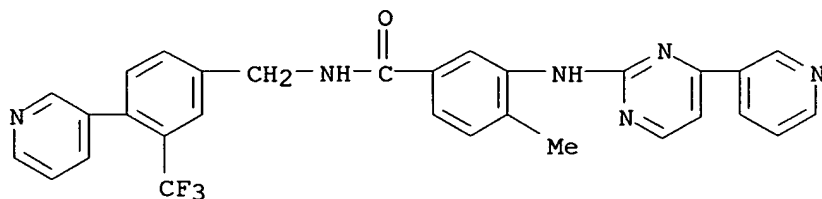
RN 641570-81-2 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[2-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



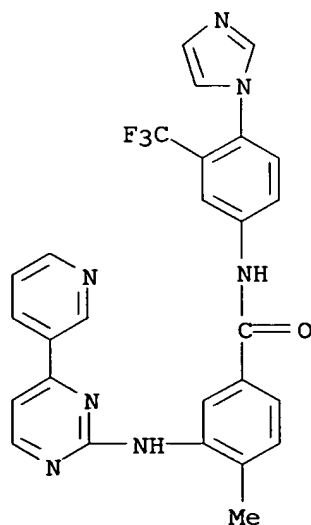
RN 641570-83-4 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[[4-(3-pyridinyl)-3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



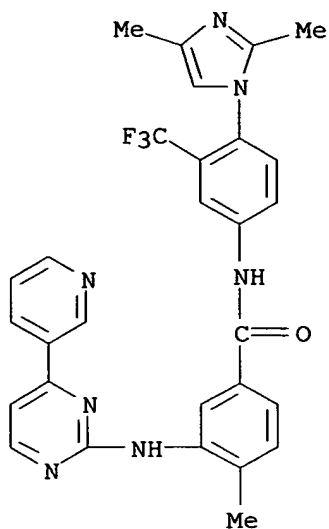
RN 641570-85-6 CAPLUS

CN Benzamide, N-[4-(1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



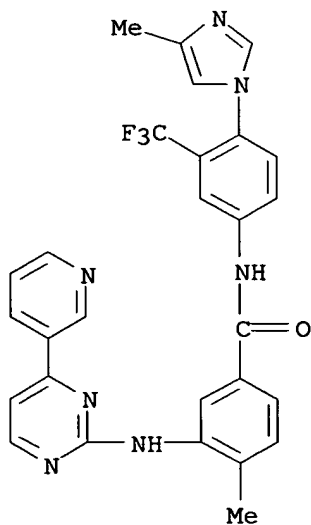
RN 641570-86-7 CAPLUS

CN Benzamide, N-[4-(2,4-dimethyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]-4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



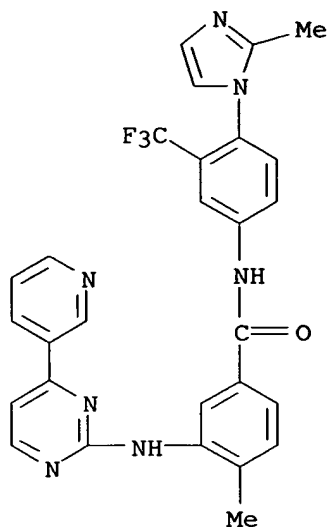
RN 641570-88-9 CAPLUS

CN Benzamide, 4-methyl-N-[4-(4-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



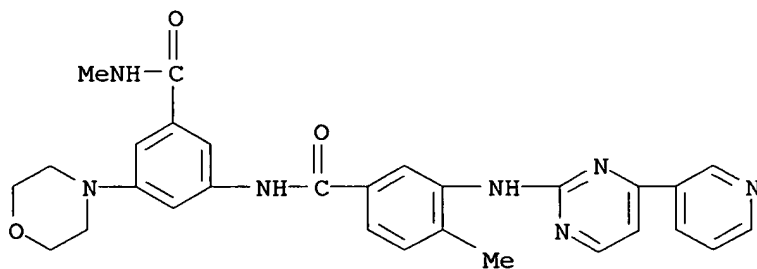
RN 641570-90-3 CAPLUS

CN Benzamide, 4-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



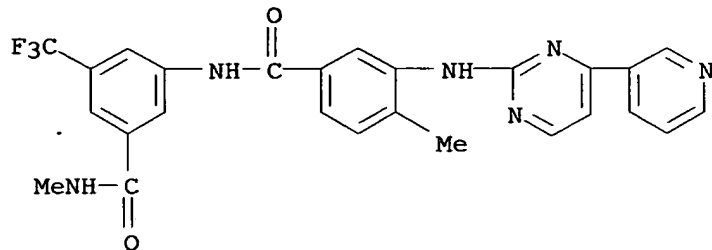
RN 641570-91-4 CAPLUS

CN Benzamide, 4-methyl-N-[3-[(methylamino)carbonyl]-5-(4-morpholinyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



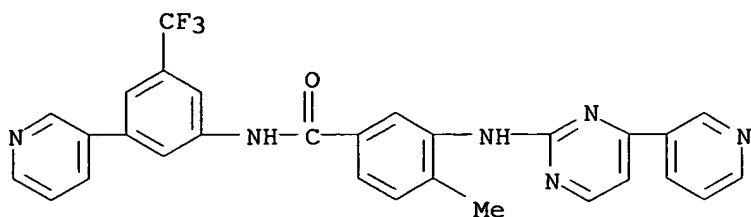
RN 641570-97-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-[(methylamino)carbonyl]-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



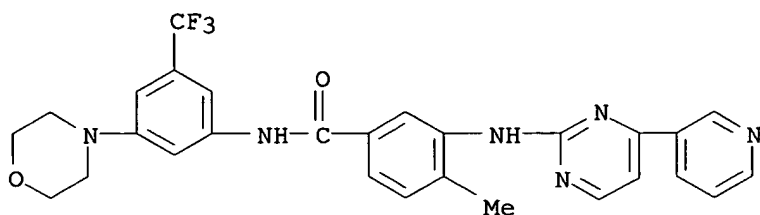
RN 641570-99-2 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[3-(3-pyridinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



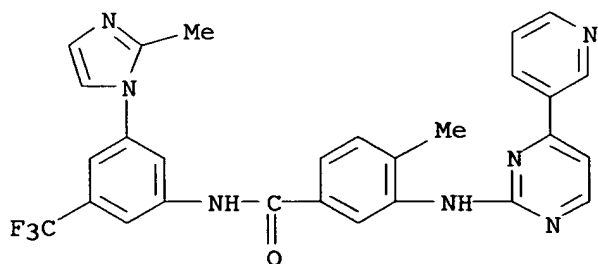
RN 641571-01-9 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-morpholinyl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



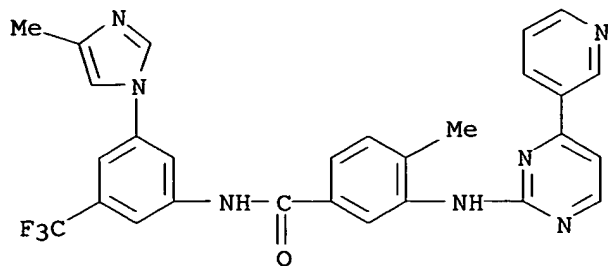
RN 641571-05-3 CAPLUS

CN Benzamide, 4-methyl-N-[3-(2-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



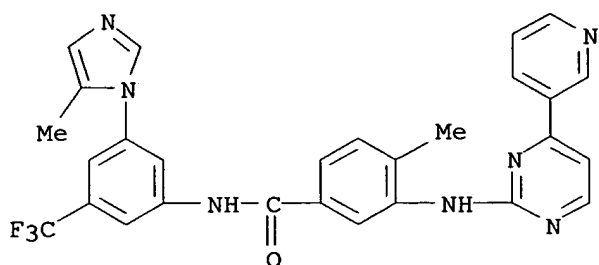
RN 641571-10-0 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



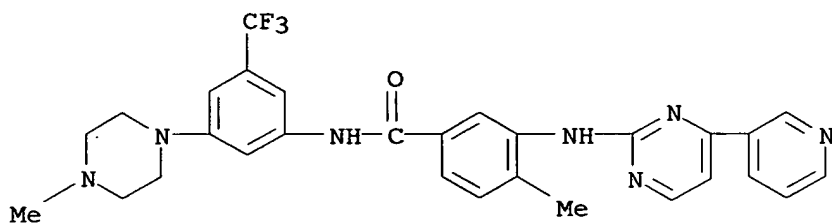
RN 641571-15-5 CAPLUS

CN Benzamide, 4-methyl-N-[3-(5-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



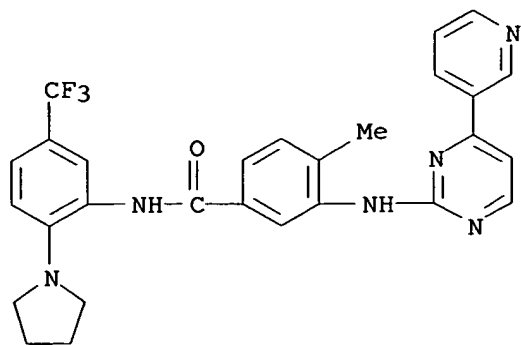
RN 641571-20-2 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-methyl-1-piperazinyl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)



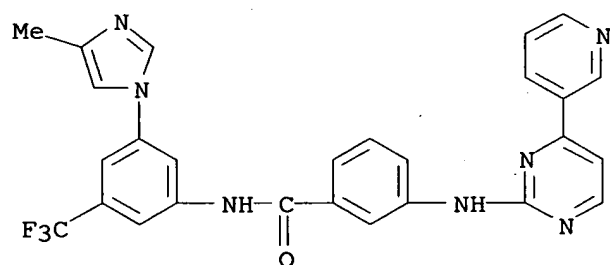
RN 641571-23-5 CAPLUS

CN Benzamide, 4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[2-(1-pyrrolidinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 641571-24-6 CAPLUS

CN Benzamide, N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:449662 CAPLUS  
 DN 137:33310  
 TI Preparation of anilinopyrimidines as IKK inhibitors  
 IN Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.;  
 Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.  
 PA Signal Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 194 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046171	A2	20020613	WO 2001-US46403	20011205
	WO 2002046171	A3	20030123		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2003203926	A1	20031030	US 2001-4642	20011204
	CA 2431160	AA	20020613	CA 2001-2431160	20011205
	AU 2002020195	A5	20020618	AU 2002-20195	20011205
	EP 1349841	A2	20031008	EP 2001-999564	20011205
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004523497	T2	20040805	JP 2002-547910	20011205
	US 2006030576	A1	20060209	US 2005-211383	20050824
PRAI	US 2000-251816P	P	20001206		
	US 2001-4642	A1	20011204		
	WO 2001-US46403	W	20011205		

OS MARPAT 137:33310

AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of IKK, particularly IKK-2, were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of  $\leq 1 \mu\text{M}$  in the IKK-2 enzyme assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to IKK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. containing one or more compds. of the above compds.

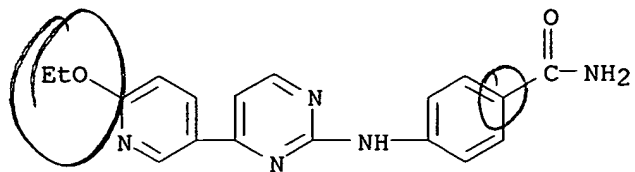
IT **434945-07-0P 434945-08-1P 434945-09-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anilinopyrimidines as IKK inhibitors)

RN 434945-07-0 CAPLUS

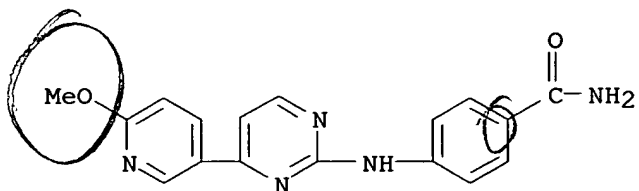
CN Benzamide, 4-[[4-(6-ethoxy-3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



2045

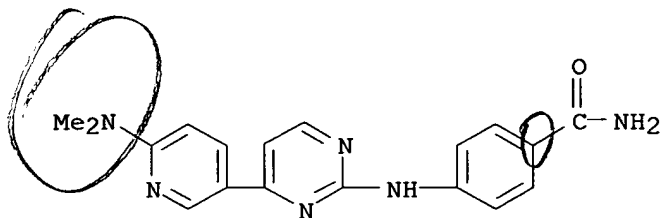
RN 434945-08-1 CAPLUS

CN Benzamide, 4-[[4-(6-methoxy-3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 434945-09-2 CAPLUS

CN Benzamide, 4-[[4-[6-(dimethylamino)-3-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:449661 CAPLUS  
 DN 137:33309  
 TI Preparation of anilinopyrimidines as JNK pathway inhibitors  
 IN Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.;  
 Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.  
 PA Signal Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 199 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046170	A2	20020613	WO 2001-US46402	20011205
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LB, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2430966	AA	20020613	CA 2001-2430966	20011205
	AU 2002027214	A5	20020618	AU 2002-27214	20011205
	EP 1349840	A2	20031008	EP 2001-996103	20011205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004534728	T2	20041118	JP 2002-547909	20011205
PRAI	US 2000-251904P	P	20001206		
	WO 2001-US46402	W	20011205		

OS MARPAT 137:33309

AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of  $\leq 10 \mu\text{M}$  in the JNK2 assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to inhibition of the JNK pathway. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. containing one or more compds. of the above compds.

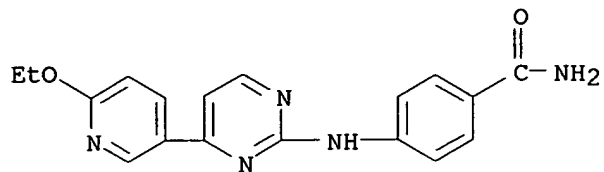
IT **434945-07-0P 434945-08-1P 434945-09-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anilinopyrimidines as JNK pathway inhibitors)

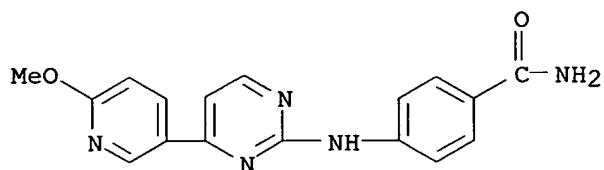
RN 434945-07-0 CAPLUS

CN Benzamide, 4-[[4-(6-ethoxy-3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



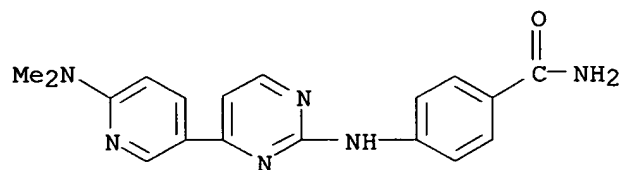
RN 434945-08-1 CAPLUS

CN Benzamide, 4-[[4-(6-methoxy-3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 434945-09-2 CAPLUS

CN Benzamide, 4-[[4-[6-(dimethylamino)-3-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1998:293493 CAPLUS  
 DN 129:4655  
 TI 2-Pyrimidineamines and their preparation  
 IN Davis, Peter David; Moffat, David Festus Charles; Batchelor, Mark James; Hutchings, Martin Clive; Parry, David Mark  
 PA Celltech Therapeutics Ltd., UK; Davis, Peter David; Moffat, David Festus Charles; Batchelor, Mark James; Hutchings, Martin Clive; Parry, David Mark  
 SO PCT Int. Appl., 58 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9818782	A1	19980507	WO 1997-GB2949	19971027
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2269095	AA	19980507	CA 1997-2269095	19971027
	AU 9749540	A1	19980522	AU 1997-49540	19971027
	AU 732155	B2	20010412		
	EP 934304	A1	19990811	EP 1997-912296	19971027
	EP 934304	B1	20030226		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6114333	A	20000905	US 1997-958419	19971027
	JP 2001503047	T2	20010306	JP 1998-520184	19971027
	AT 233256	E	20030315	AT 1997-912296	19971027
	ES 2193362	T3	20031101	ES 1997-912296	19971027
	US 6552029	B1	20030422	US 1999-420755	19991020
PRAI	GB 1996-22363	A	19961028		
	US 1997-958419	A1	19971027		
	WO 1997-GB2949	W	19971027		

OS MARPAT 129:4655

AB The title compds. [I; Ar = (un)substituted aromatic group; R = H, halo, ZR2; R1 = (un)substituted heterocyclyl; R2 = (un)substituted alk(en)yl or alkynyl; Z = bond, linker atom or group] and their salts, solvates, hydrates and N-oxides, selective inhibitors of tyrosine kinases ZAP-70 and Syk (no data), useful in the prophylaxis and treatment of immune or allergic diseases and diseases involving inappropriate platelet activation, were prepared Pharmaceutical compns. containing I are also claimed.

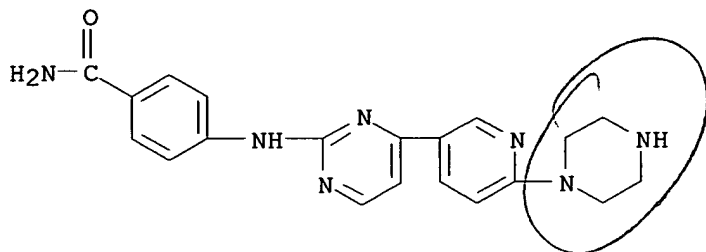
For example, refluxing a solution of 3,4,5-trimethoxyphenylguanidine, 1-(2-chloropyridin-5-yl)-3-dimethylamino-2-propen-1-one [preparation from 5-acetyl-2-chloropyridine and Me2NCH(OEt)2 given] and NaOH in Me2CHOH gave 4-(2-chloropyridin-5-yl)-N-(3,4,5-trimethoxyphenyl)-2-pyridineamine which was heated with piperazine at 140° to give a title compound II (m. 134-135°).

IT 207283-10-1P 207283-44-1P

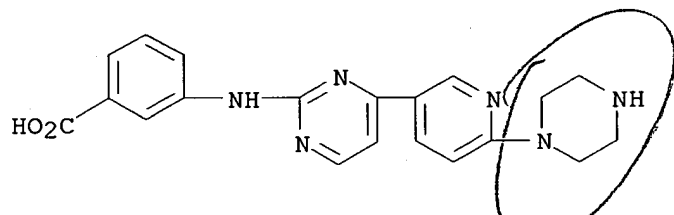
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(2-pyrimidineamines and their preparation)

RN 207283-10-1 CAPLUS

CN Benzamide, 4-[[4-[6-(1-piperazinyl)-3-pyridinyl]-2-pyrimidinyl]amino]-  
(9CI) (CA INDEX NAME)

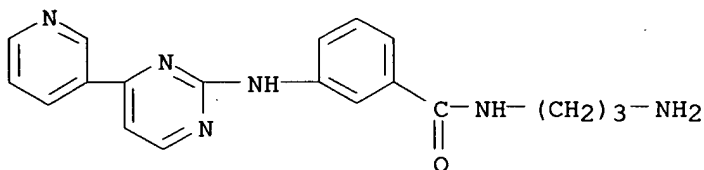
RN 207283-44-1 CAPLUS

CN Benzoic acid, 3-[[4-[6-(1-piperazinyl)-3-pyridinyl]-2-pyrimidinyl]amino]-  
(9CI) (CA INDEX NAME)

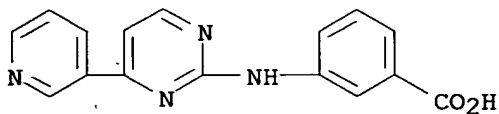
RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1997:123312 CAPLUS  
 DN 126:220297  
 TI Potent and selective inhibitors of the ABL-kinase: phenylaminopyrimidine (PAP) derivatives  
 AU Zimmermann, Jurg; Buchdunger, Elisabeth; Mett, Helmut; Meyer, Thomas; Lydon, Nicholas B.  
 CS Ciba Pharmaceuticals Division, Oncology Research Department, Ciba-Geigy Limited, Basel, CH-4002, Switz.  
 SO Bioorganic & Medicinal Chemistry Letters (1997), 7(2), 187-192  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier  
 DT Journal  
 LA English  
 AB Due to its relatively clear etiol., chronic myelogenous leukemia (CML) represents an ideal disease target for a therapy using a selective inhibitor of the Bcr-Abl tyrosine protein kinase. Extensive optimization of the class of phenylamino-pyrimidines yielded highly potent and selective Bcr-Abl kinase inhibitors.  
 IT **156790-80-6P 188260-51-7P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenylaminopyrimidine derivs. as inhibitors of ABL-kinase)  
 RN 156790-80-6 CAPLUS  
 CN Benzamide, N-(3-aminopropyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 188260-51-7 CAPLUS  
 CN Benzoic acid, 3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:662502 CAPLUS

DN 123:55915

TI Preparation of 2-anilinopyrimidines as protein kinase C inhibitors

IN Zimmermann, Juerg

PA Ciba-Geigy A.-G., Switz.

SO PCT Int. Appl., 38 pp.

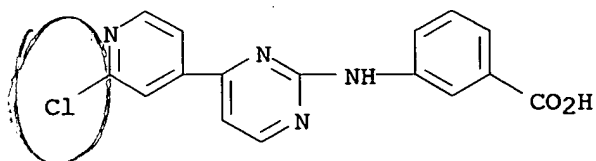
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9509851	A1	19950413	WO 1994-EP3148	19940921
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2149147	AA	19950413	CA 1994-2149147	19940921
	AU 9477833	A1	19950501	AU 1994-77833	19940921
	AU 693114	B2	19980625		
	EP 672042	A1	19950920	EP 1994-928384	19940921
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 08503970	T2	19960430	JP 1995-510575	19940921
	JP 3588116	B2	20041110		
	US 5705502	A	19980106	US 1995-446742	19950531
PRAI	CH 1993-2968	A	19931001		
	CH 1994-2280	A	19940718		
	WO 1994-EP3148	W	19940921		
OS	MARPAT 123:55915				
AB	Title compds. (I; R = 3-R <sub>2</sub> C <sub>6</sub> H <sub>4</sub> ; R <sub>1</sub> = substituted Ph, -pyridyl, -pyrazinyl, -imidazolyl, etc.; R <sub>2</sub> = halo, cyano, CONH <sub>2</sub> , CO <sub>2</sub> H, alkoxycarbonyl, etc.) were prepared Thus, 3-ClC <sub>6</sub> H <sub>4</sub> NHC(:NH)NH <sub>2</sub> was cyclocondensed with R <sub>1</sub> COCH:CHNMe <sub>2</sub> (R <sub>1</sub> = 2-chloro-4-pyridyl) (preparation each given) to give title compound II. I had IC <sub>50</sub> of 1-75µM against protein kinase C α-isotype in vitro.				
IT	<b>164658-44-0P</b> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-anilinopyrimidines as protein kinase C inhibitors)				
RN	164658-44-0 CAPLUS				
CN	Benzoic acid, 3-[[4-(2-chloro-4-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)				



L4 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1995:662501 CAPLUS  
 DN 123:55914  
 TI Process for preparation of pharmacologically active pyridylpyrimidinamine derivatives  
 IN Zimmermann, Juerg  
 PA Ciba-Geigy A.-G., Switz.  
 SO PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9509853	A1	19950413	WO 1994-EP3151	19940921
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	TW 378208	B	20000101	TW 1994-83108147	19940905
	CA 2148928	AA	19950413	CA 1994-2148928	19940921
	CA 2148928	C	20051018		
	AU 9476977	A1	19950501	AU 1994-76977	19940921
	AU 691834	B2	19980528		
	EP 672041	A1	19950920	EP 1994-927635	19940921
	EP 672041	B1	20011114		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1115982	A	19960131	CN 1994-190753	19940921
	CN 1047776	B	19991229		
	JP 08504215	T2	19960507	JP 1995-510578	19940921
	JP 2983636	B2	19991129		
	HU 72609	A2	19960528	HU 1995-1953	19940921
	RU 2135491	C1	19990827	RU 1995-112504	19940921
	PL 179417	B1	20000929	PL 1994-309225	19940921
	AT 208772	E	20011115	AT 1994-927635	19940921
	PT 672041	T	20020429	PT 1994-927635	19940921
	ES 2167377	T3	20020516	ES 1994-927635	19940921
	CZ 290681	B6	20020911	CZ 1995-1722	19940921
	IL 111077	A1	19991028	IL 1994-111077	19940929
	ZA 9407657	A	19950403	ZA 1994-7657	19940930
	FI 9502607	A	19950529	FI 1995-2607	19950529
	FI 112227	B1	20031114		
	NO 9502132	A	19950530	NO 1995-2132	19950530
	NO 308794	B1	20001030		
	US 5728708	A	19980317	US 1995-446743	19950531
PRAI	CH 1993-2969	A	19931001		
	CH 1994-2281	A	19940718		
	WO 1994-EP3151	W	19940921		
OS	CASREACT 123:55914; MARPAT 123:55914				
AB	Title compds. I (R0 = H, halo,alkoxy, alkyl; R1 = substituted carbamoyl, H2NH, (substituted)cyclohexylamino, (substituted)piperazinyl, morpholino, substituted alkylamino; R2 = C1-7 alkyl, C1-3 alkoxy Br, Cl, iodo, Ho, Ph, amino, (substituted)phenylpiperazino, etc.) or salt thereof, useful e.g, in treatment of tumors (no data), are prepared 2-Chloro-4-cyanopyridine and MeMgCl were reacted to give 4-acetyl-2-chloropyridine which was converted in 3 steps to N-(3-trifluoromethyl)phenyl-4-(2-chloro-4-pyridyl)-2-				

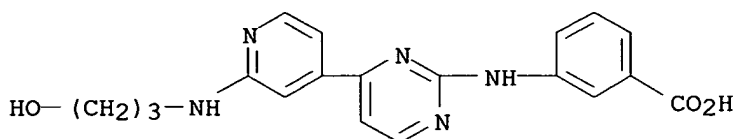
pyrimidinamine which was stirred for 44 h at 100° in 3-amino-1-propanol to give I (R0 = H, R1 = 3-hydroxypropylamino, R2 = F3C). Pharmaceutical compns. comprising I are given.

IT 164658-21-3P 164658-27-9P 164658-28-0P  
164658-29-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(process for preparation of pharmacol. active pyridylpyrimidinamine derivs.)

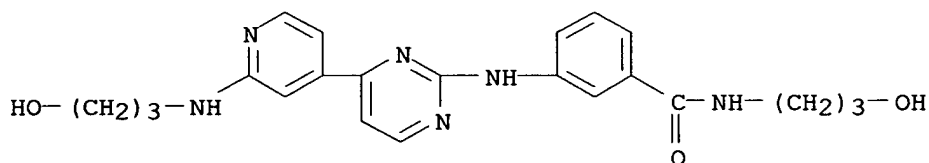
RN 164658-21-3 CAPLUS

CN Benzoic acid, 3-[[4-[2-[(3-hydroxypropyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



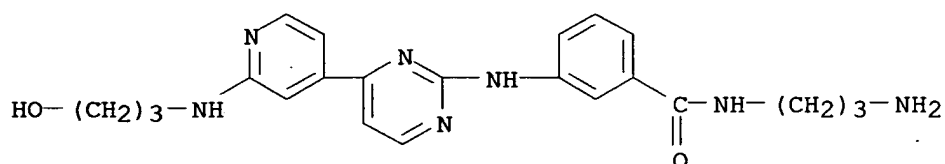
RN 164658-27-9 CAPLUS

CN Benzamide, N-(3-hydroxypropyl)-3-[[4-[2-[(3-hydroxypropyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



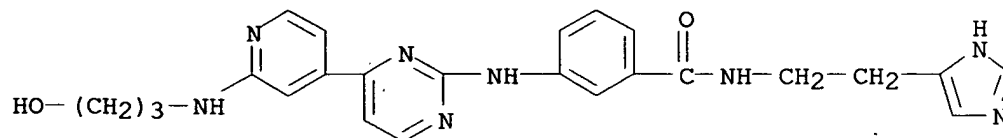
RN 164658-28-0 CAPLUS

CN Benzamide, N-(3-aminopropyl)-3-[[4-[2-[(3-hydroxypropyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 164658-29-1 CAPLUS

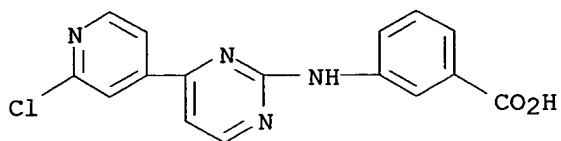
CN Benzamide, 3-[[4-[2-[(3-hydroxypropyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



IT **164658-44-0P**RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(process for preparation of pharmacol. active pyridylpyrimidinamine derivs.)

RN 164658-44-0 CAPLUS

CN Benzoic acid, 3-[[4-(2-chloro-4-pyridinyl)-2-pyrimidinyl]amino]- (9CI)  
(CA INDEX NAME)

L4 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:499786 CAPLUS

DN 121:99786

TI Use of pyrimidine derivatives as inhibitors of protein kinase C and antitumor drugs

IN Zimmermann, Juerg; Caravatti, Giorgio

PA Ciba-Geigy A.-G., Switz.

SO Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 588762	A1	19940323	EP 1993-810595	19930823
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5516775	A	19960514	US 1993-103493	19930806
	JP 06184116	A2	19940705	JP 1993-211387	19930826
PRAI	CH 1992-2729	A	19920831		

OS MARPAT 121:99786

AB Known N-phenyl-2-pyrimidinamine derivs. I [R1, R2 = H, C1-3 alkyl; R3 = (substituted) pyridyl, furyl, thienyl, phenothiazinyl, pyrrolyl, etc.; R4 = R1, C(O)CO2Et, Me2NCH2CH2; ≥1 of R5-R8 = alkyl, alkoxy, halo, OH, Ph, (substituted) amino, N-heterocyclyl, CO2H, etc.; remaining R5-R8 = H] which inhibit mammalian protein kinase C are useful as antitumor drugs. Thus, N-(3-trifluoromethylphenyl)-4-(3-pyridyl)-2-pyrimidinamine (II) (50 mg/day orally for 15 days) inhibited growth of s.c. implanted human bladder carcinoma by 54%. II inhibited pig brain protein kinase C by 50% at 2.5 μM in vitro. Tablets were prepared each containing I 20, corn starch 60, lactose 50, colloidal SiO2 5, talc 9, and Mg stearate 1 mg.

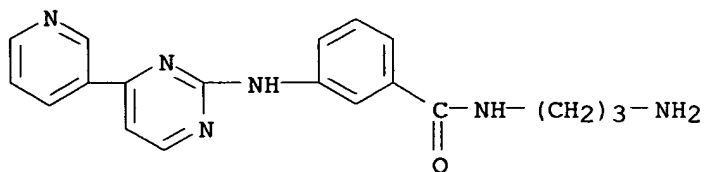
IT 156790-80-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(as neoplasm inhibitor, protein kinase C inhibition by)

RN 156790-80-6 CAPLUS

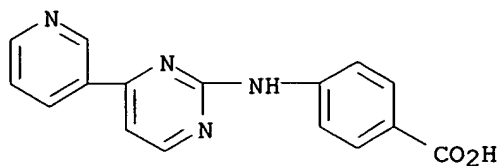
CN Benzamide, N-(3-aminopropyl)-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1988:112478 CAPLUS  
 DN 108:112478  
 TI Preparation of 4,5,6-substituted 2-pyrimidinamines as allergy inhibitors, antiasthmatics, and hypoglycemics  
 IN Torley, Lawrence Wayne; Johnson, Bernard B.; Dusza, John Paul  
 PA American Cyanamid Co., USA  
 SO Eur. Pat. Appl., 94 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 233461	A2	19870826	EP 1987-100277	19870112
	EP 233461	A3	19880525		
	EP 233461	B1	19960320		
	EP 233461	B2	20020529		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	US 4788195	A	19881129	US 1986-927572	19861106
	AT 135699	E	19960415	AT 1987-100277	19870112
	ES 2087056	T3	19960716	ES 1987-100277	19870112
	DK 8700151	A	19870714	DK 1987-151	19870113
	DK 171251	B1	19960812		
	FI 8700113	A	19870714	FI 1987-113	19870113
	FI 91150	B	19940215		
	FI 91150	C	19940525		
	AU 8767518	A1	19870716	AU 1987-67518	19870113
	AU 591223	B2	19891130		
	ZA 8700219	A	19870826	ZA 1987-219	19870113
	JP 62223177	A2	19871001	JP 1987-5867	19870113
	JP 07080857	B4	19950830		
	HU 43582	A2	19871130	HU 1987-100	19870113
	HU 198708	B	19891128		
	CA 1320201	A1	19930713	CA 1987-527173	19870113
	US 4876252	A	19891024	US 1988-194751	19880517
	AU 9050578	A1	19900726	AU 1990-50578	19900228
	AU 621461	B2	19920312		
PRAI	US 1986-817951	A	19860113		
	US 1986-927572	A3	19861106		
OS	CASREACT 108:112478; MARPAT 108:112478				
AB	<p>The title compds. [I; R1 = H, C1-3 alkyl, EtO2CCO, Et2NCH2CH2; R2 = substituted Ph; R3 = Me2NC6H4, AcNMeC6H4, (un)substituted furanyl, thienyl, N-containing heteroaryl; R4, R5 = H, C1-3 alkyl] and their pharmacol. acceptable salts were prepared for treating asthma and allergic diseases, inflammation, and diabetes mellitus. A mixture of 7.04 g 3-(dimethylamino)-1-(3-pyridinyl)-2-propen-1-one and 18.72 g 3-F3CC6H4NHC(:NH)NH2.H2CO3 was refluxed 16 h in PROH to give 5.55 g pyridinylpyrimidinamine II. II inhibited histamine release from immunol. stimulated human basophils with an IC50 of 0.7 µM. II also gave 58.1% inhibition of lipoxigenase activity in guinea pig neutrophils at 10 µg/mL.</p>				
IT	<p><b>112677-66-4P</b>          RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)          (preparation and reaction of, in preparation of pyrimidinamine pharmaceuticals)</p>				
RN	112677-66-4 CAPLUS				

CN Benzoic acid, 4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

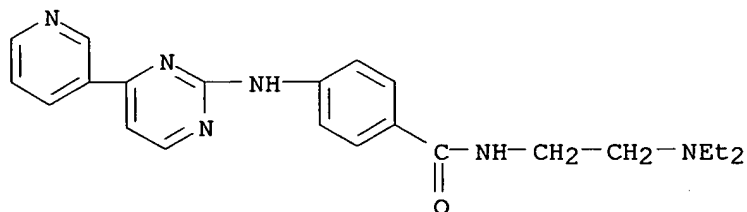


IT 112676-85-4P 112676-86-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as drug)

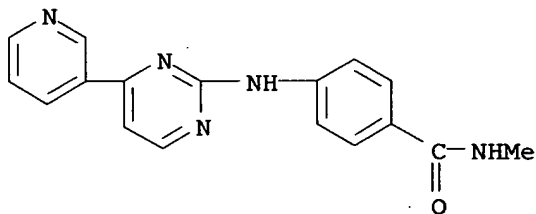
RN 112676-85-4 CAPLUS

CN Benzamide, N-[2-(diethylamino)ethyl]-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 112676-86-5 CAPLUS

CN Benzamide, N-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 17:44:38 ON 20 MAR 2006)

FILE 'REGISTRY' ENTERED AT 17:44:43 ON 20 MAR 2006

L1 STRUCTURE UPLOADED

L2 5 S L1 SSS SAM

L3 158 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 17:45:55 ON 20 MAR 2006

L4 36 S L3

FILE 'CAOLD' ENTERED AT 17:46:39 ON 20 MAR 2006

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L5 0 L3

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.44

352.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-27.00

STN INTERNATIONAL LOGOFF AT 17:46:57 ON 20 MAR 2006